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STRUCTURE FILE UPDATES: 29 OCT 2006 HIGHEST RN 911424-89-0
DICTIONARY FILE UPDATES: 29 OCT 2006 HIGHEST RN 911424-89-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

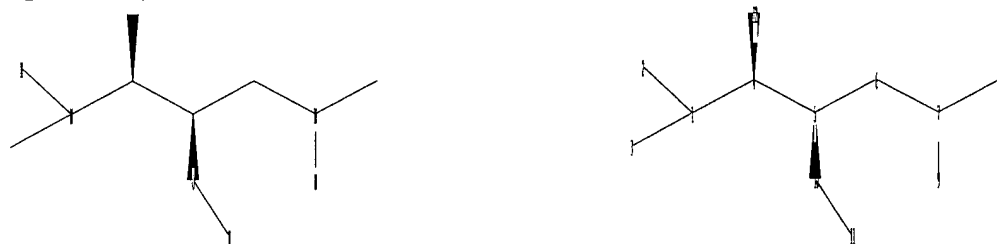
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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conducting SmartSELECT searches.

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predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10750213C.str



chain nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
1-2 1-3 1-4 4-5 4-12 5-6 5-10 6-7 7-8 7-9 10-11
exact/norm bonds :
1-3 1-4 5-10 6-7 7-8
exact bonds :
1-2 4-5 4-12 5-6 7-9 10-11

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS

Stereo Bonds:

10-5 (Single Wedge).
12-4 (Single Wedge).

Stereo Chiral Centers:

4 (Parity=Odd)
5 (Parity=Even)

Stereo RSS Sets:

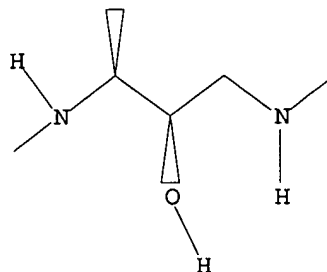
Type=Relative (Default). 2 Nodes= 4 5

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR

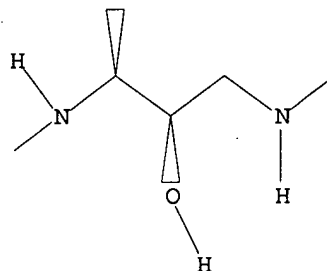


Structure attributes must be viewed using STN Express query preparation.

=> d L1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1

SAMPLE SEARCH INITIATED 16:08:42 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 18281 TO ITERATE

10.9% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

37 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 357525 TO 373715
PROJECTED ANSWERS: 5660 TO 7866

L2 37 SEA SSS SAM L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST

0.44

0.65

FILE 'CAPLUS' ENTERED AT 16:08:52 ON 30 OCT 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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against lopinavir-resistant mutant HIV)

IT 192725-17-0, Lopinavir
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (imidazolidinedione-linked HIV protease inhibitors with activity against lopinavir-resistant mutant HIV)

IT 78-81-9, Isobutylamine 79-06-1, Acrylamide, reactions 97-08-5, 4-Chloro-3-NitroBenzenesulfonyl chloride 98-09-9, Benzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-74-8, 4-NitroBenzenesulfonyl chloride 100-44-7, reactions 105-36-2, Ethylbromoacetate 121-51-7, 3-NitroBenzenesulfonyl chloride 645-36-3 1189-71-5, Chlorosulfonylisocyanate 3012-80-4 4254-02-8, Cyclopentanecarbonitrile 4377-41-7 4760-35-4 5813-64-9, Neopentyl amine 6053-81-2, Cyclopentanemethanamine 13518-40-6 39238-07-8 40516-60-7 69320-89-4 87001-32-9, 4-BenzyloxyBenzenesulfonyl chloride 98737-29-2 162537-10-2 167155-54-6 192725-48-7 192725-50-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (imidazolidinedione-linked HIV protease inhibitors with activity against lopinavir-resistant mutant HIV)

IT 7467-35-8P 157566-91-1P 157567-13-0P 159005-71-7P 159006-03-8P
 160230-53-5P 160232-08-6P 160232-45-1P 163116-17-4P
 169280-56-2P 169280-63-1P 191226-98-9P 192725-87-4P 192725-88-5P
 192725-89-6P 475292-71-8P 775259-65-9P 854739-76-7P 854741-04-1P
 854743-38-7P 854745-46-3P 854745-76-9P 854745-80-5P 854745-81-6P
 854745-82-7P 854746-19-3P 911067-08-8P 911067-09-9P 911067-10-2P
 911067-11-3P 911067-12-4P 911067-13-5P 911067-14-6P 911067-15-7P
 911067-16-8P 911067-17-9P 911067-18-0P 911067-19-1P 911067-21-5P
 911067-22-6P 911067-23-7P 911067-24-8P 911067-25-9P 911067-26-0P
 911067-27-1P 911067-28-2P 911067-29-3P 911067-30-6P 911067-31-7P
 911067-32-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (imidazolidinedione-linked HIV protease inhibitors with activity against lopinavir-resistant mutant HIV)

IT 911067-20-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (imidazolidinedione-linked HIV protease inhibitors with activity against lopinavir-resistant mutant HIV)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Baush, M; J Phys Org Chem 1991, V4, P67
- (2) Carrillo, A; J Virol 1998, V72, P7532 CAPLUS
- (3) Flentge, C; in preparation
- (4) Hirsch, M; JAMA 2000, V283, P2417 CAPLUS
- (5) Hurst, M; Drugs 2000, V60, P1371 CAPLUS
- (6) Kempf, D; Antiviral Ther 2002, V7, P165 CAPLUS
- (7) Kempf, D; J Med Chem 1998, V41, P602 CAPLUS
- (8) Kempf, D; J Virol 2001, V75, P7462 CAPLUS
- (9) Koh, Y; Antimicrob Agents Chemother 2003, V47, P3123 CAPLUS
- (10) Mo, H; J Clin Microbiol 2004, V42, P4169
- (11) Mo, H; J Virol 2005, V79, P3329 CAPLUS
- (12) Molla, A; Virology 1998, V250, P255 CAPLUS
- (13) Randolph, J; Curr Top Med Chem 2004, V4, P1079 CAPLUS
- (14) Sham, H; Antimicrob Agents Chemother 1998, V42, P3218 MEDLINE
- (15) Sham, H; Bioorg Med Chem Lett 2004, V14, P2643 CAPLUS
- (16) Stoll, V; Bioorg Med Chem 2002, V10, P2803 CAPLUS
- (17) Tung, R; Infect Dis Ther 2002, V26, P101

L3 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:298806 CAPLUS

DN 144:350399

ED Entered STN: 31 Mar 2006

TI Preparation of N-acyl-1-benzyl-2-hydroxy-N'-methyl-1,3-propanediamines useful in treating CNS conditions

IN Kleinman, Edward Fox; Murray, John Charles

PA Pfizer Products Inc., USA
 SO PCT Int. Appl., 37 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 63

FAN.CNT 1

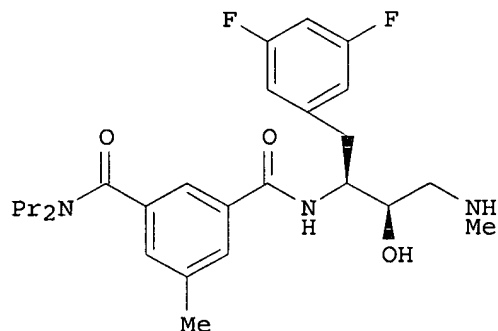
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006032999	A1	20060330	WO 2005-IB2877	20050909
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRAI US 2004-611777P P 20040921

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2006032999	IPCI	C07C0233-37 [I,A]; C07C0233-39 [I,A]; C07C0233-40 [I,A]; C07C0233-73 [I,A]; C07C0233-00 [I,C*]; A61K0031-165 [I,A]; A61K0031-166 [I,A]

OS MARPAT 144:350399
 GI



I

AB The invention relates to N-methylethanolamine derivs. R2(CHR1)0-3CONHCH(CH2Ar)CH(OH)CH2NHMe [Ar is Ph which may be substituted by 1-3 groups halogen, OH, CN, SH, NH2, alkyl, alkoxy, alkylthio, alkylamino, aryl, heteroaryl, etc.; R1 is H, alkyl, (hetero)aryl(CH2)0-5; R2 is (hetero)aryl, alkanoyl, cycloalkylcarbonyl, etc.] which are useful in treating CNS conditions, including Alzheimer's disease. Thus, compound I was prepared by a multistep procedure starting with reaction of (1R,2S)-[2-(3,5-difluorophenyl)-1-oxiranylethyl]carbamic acid tert-Bu ester with NaI.

ST propanediamine acylbenzylhydroxymethyl prepn treatment CNS Alzheimer disease; benzylpropanediamine acylhydroxymethyl prepn treatment CNS Alzheimer disease

IT Nervous system, disease
 (degeneration; preparation of acylbenzylhydroxymethylpropanediamines for

treating CNS conditions)

IT Alzheimer's disease
Anti-Alzheimer's agents
Central nervous system
Human
(preparation of acylbenzylhydroxymethylpropanediamines for treating CNS conditions)

IT 158736-49-3, β -Secretase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of acylbenzylhydroxymethylpropanediamines for treating CNS conditions)

IT 881424-52-8P 881424-53-9P 881424-54-0P 881424-55-1P
881424-56-2P 881424-57-3P 881424-58-4P 881424-59-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylbenzylhydroxymethylpropanediamines for treating CNS conditions)

IT 116-11-0, 2-Methoxypropene 627-37-2, N,N-Allylmethylamine 388071-09-8
388071-27-0 388071-73-6 388072-25-1 388072-83-1 597561-42-7
597563-26-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of acylbenzylhydroxymethylpropanediamines for treating CNS conditions)

IT 881424-35-7P 881424-36-8P 881424-37-9P 881424-38-0P 881424-39-1P
881424-40-4P 881424-41-5P 881424-42-6P 881424-43-7P 881424-44-8P
881424-45-9P 881424-46-0P 881424-47-1P 881424-48-2P 881424-49-3P
881424-50-6P 881424-51-7P 881424-60-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acylbenzylhydroxymethylpropanediamines for treating CNS conditions)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Demont, E; WO 2004050619 A 2004 CAPLUS
- (2) Elan Pharmaceuticals Inc; WO 0202505 A 2002 CAPLUS
- (3) Gailunas, A; WO 03006423 A 2003 CAPLUS

L3 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:55000 CAPLUS

DN 144:143077

ED Entered STN: 20 Jan 2006

TI Methods of treatment of amyloidosis using bi-aryl aspartyl protease inhibitors

IN John, Varghese; Hom, Roy; Sealy, Jennifer; Tucker, John

PA USA

SO U.S. Pat. Appl. Publ., 86 pp.

CODEN: USXXCO

DT Patent

LA English

INCL 514227500; 514237500; 514255030; 514317000; 514651000

CC 1-11 (Pharmacology)

Section cross-reference(s): 28

FAN.CNT 1

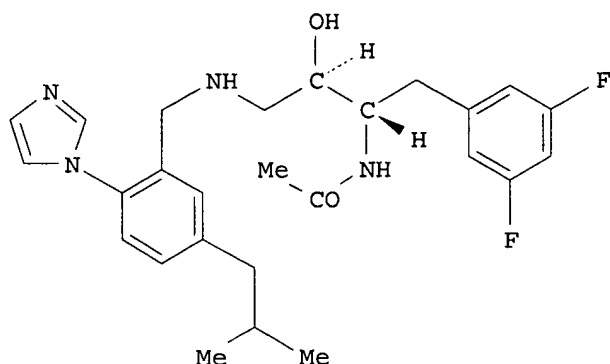
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2006014737	A1	20060119	US 2005-75445	20050309
PRAI	US 2004-551013P	P	20040309		
	US 2004-551205P	P	20040309		
	US 2004-575859P	P	20040602		
	US 2004-575964P	P	20040602		
	US 2004-591856P	P	20040729		
	US 2004-591906P	P	20040729		
	US 2004-614035P	P	20040930		
	US 2004-614060P	P	20040930		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2006014737	INCL	514227500; 514237500; 514255030; 514317000; 514651000
	IPCI	A61K0031-54 [I,A]; A61K0031-5377 [I,A]; A61K0031-5375 [I,C*]; A61K0031-495 [I,A]; A61K0031-138 [I,A]; A61K0031-445 [I,A]
	IPCR	A61K0031-54 [I,A]; A61K0031-138 [I,C]; A61K0031-138 [I,A]; A61K0031-445 [I,C]; A61K0031-445 [I,A]; A61K0031-495 [I,C]; A61K0031-495 [I,A]; A61K0031-5375 [I,C]; A61K0031-5377 [I,A]; A61K0031-54 [I,C]
	NCL	514/227.500; 514/237.500; 514/255.030; 514/317.000; 514/651.000

OS MARPAT 144:143077

GI



I

- AB The invention relates to novel $R_2NHCH(R_1)CH(OH)CH_2NHR_c$ (I; R_1 = (un)substituted benzyl, thien-2-ylmethyl, et al.; R_2 = $C(O)Me$, $C(O)CH_2(halogen)$, $C(O)CH(halogen)2$, et al.; R_c = -Gn-I-Jm-K-L-Q ($n = 0, 1$; $m = 0, 1$; $G = C(O)$, CO_2 ; $I = (CH_2)_{0-4}$; $J =$ (un)substituted methylene; $K =$ aryl, heteroaryl; $L =$ bond, (un)substituted alkyl, $-(CH_2)_{0-4}[C(O)]_{0-1}N(R_{220})-$, et al.; $Q =$ aryl, heteroaryl, cycloalkyl, heterocycloalkyl); addnl. details are given in the claims; e.g. N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[2-(1H-imidazol-1-yl)-5-isobutylbenzyl]amino]propyl]acetamide (shown as II) and methods of treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A-beta protein. Although I and the methods of preparation of I are not claimed, prepn. and/or characterization data for .apprx.30 examples of I are included. For example, II was prepared from BH3-THF-treated 2-(1H-imidazol-1-yl)-5-isobutylbenzonitrile and tert-Bu [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate (55 %) giving tert-Bu [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[2-(1H-imidazol-1-yl)-5-isobutylbenzyl]amino]propyl]carbamate, in which the Boc group was replaced by acetyl (60 %) using HCl in 1,4-dioxane followed by 1-acetylimidazole in CH_2Cl_2 . The effectiveness at inhibiting production of amyloid β and the selectivity for β -secretase over cathepsin D and cathepsin E are tabulated for some examples of I; also oral bioavailability of 1 example of I in rats was determined
- ST aryl heteroaryl aminohydroxypropyl carboxamide prepn amyloidosis treatment; aspartyl protease inhibitor aryl heteroaryl aminohydroxypropyl carboxamide; beta secretase inhibitor aryl heteroaryl aminohydroxypropyl carboxamide
- IT Alzheimer's disease
(Lewy-body variant; methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)
- IT Brain, disease
(amyloid angiopathy; methods of treatment of amyloidosis using bi-aryl

N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT Brain, disease
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT Inflammation
(chronic, due to amyloidosis; methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT Mental and behavioral disorders
(dementia, degenerative dementia and dementia associated with various disorders; methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT Amyloidosis
Nerve, disease
(familial amyloidotic polyneuropathy; methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT Amyloidosis
(hereditary, cerebral hemorrhage type, Dutch type; methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT Alzheimer's disease
Amyloidosis
Anti-Alzheimer's agents
Anti-inflammatory agents
Central nervous system agents
Down's syndrome
Drug bioavailability
Human
Prion diseases
(methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT Amyloid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β -, inhibitors; methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT 873658-33-4
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug candidate, oral bioavailability; methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT 676137-78-3P, N-[(1S,2R)-3-[[[4-Bromo-1,1'-biphenyl-2-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT 676135-91-4P, N-[(1S,2R)-3-[[[3-Bromo-5-(2,2-dimethylpropyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 676136-65-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[4-isobutyl-1,1'-biphenyl-2-yl)methyl]amino]propyl]acetamide 676136-68-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-(1H-imidazol-1-yl)-5-isobutylbenzyl]amino]propyl]acetamide 676136-69-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[5-isobutyl-2-(1H-1,2,4-triazol-1-yl)benzyl]amino]propyl]acetamide 676136-73-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[3'-fluoro-4-isobutyl-1,1'-biphenyl-2-yl)methyl]amino]-2-hydroxypropyl]acetamide 676137-66-9P, N-[(1S,2R)-3-[(3,4-Dibromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 676137-79-4P, N-[(1S,2R)-3-[[[4-Acetyl-1,1'-biphenyl-2-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide hydrochloride 676137-80-7P 676137-87-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-[(2-hydroxyethyl)amino]-5-isobutylbenzyl]amino]propyl]acetamide

786641-14-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[4-(2,2-dimethylpropyl)biphenyl-2-yl)methyl]amino]-2-hydroxypropyl]acetamide
 873658-18-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(2-fluoro-5-isobutylbenzyl)amino]-2-hydroxypropyl]acetamide 873658-23-2P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(6-fluoropyridin-3-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-24-3P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(3-hydroxypyrrolidin-1-yl)benzyl]amino]-2-hydroxypropyl]acetamide
 873658-26-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(pyrrolidin-1-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-30-1P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(piperidin-1-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-31-2P,
 N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(1H-pyrazol-1-yl)benzyl]amino]butan-2-yl]acetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; methods of treatment of amyloidosis using bi-aryl

N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT 873658-34-5, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[2-[4-(hydroxymethyl)-1H-imidazol-1-yl]-5-neopentylbenzyl]amino]butan-2-yl]acetamide
 873658-35-6 873658-36-7, N-[3-[[2-(Benzimidazol-1-yl)-5-(2,2-dimethylpropyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 873658-37-8, 1-[2-[[[3-Acetyl-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]methyl]-4-(2,2-dimethylpropyl)phenyl]-1H-imidazole-4-carboxylic acid 873658-38-9, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(2H-1,2,3-triazol-2-yl)benzyl]amino]butan-2-yl]acetamide 873658-39-0 873658-40-3, N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(2-propylimidazol-1-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-41-4, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(1H-pyrrol-2-yl)benzyl]amino]butan-2-yl]acetamide
 873658-42-5, N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-([1,2,3]thiadiazol-4-yl)benzyl]amino]-2-hydroxypropyl]acetamide
 873658-43-6, N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(thiazol-5-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-44-7,
 N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(3-methylisothiazol-5-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-45-8,
 N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(2H-[1,2,3]triazol-4-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-46-9,
 N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(pyridin-3-yl)benzyl]amino]butan-2-yl]acetamide 873658-47-0, N-[1-(3,5-Difluorophenyl)-4-[[2-(6-fluoropyridin-3-yl)-5-neopentylbenzyl]amino]-3-hydroxybutan-2-yl]acetamide 873658-48-1, N-[4-[[2-[3-(Acetyl)thiophen-2-yl]-5-neopentylbenzyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 873658-49-2, N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(2-fluoropyridin-3-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-50-5, N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(pyridazin-3-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-51-6, N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(pyrimidin-5-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-52-7, N-[1-(3,5-Difluorobenzyl)-3-[[1-[5-(2,2-dimethylpropyl)-2-(imidazol-1-yl)phenyl]cyclopropyl]amino]-2-hydroxypropyl]acetamide 873658-53-8, N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(pyrazin-2-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-54-9, N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(5-ethylimidazol-1-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-55-0, N-[3-[[3-Chloro-5-(2,2-dimethylpropyl)-2-(imidazol-1-yl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 873658-56-1, N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(tetrazol-1-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-57-2, N-[1-(3,5-Difluorophenyl)-4-[[2-(3,5-dimethylisoxazol-4-yl)-5-neopentylbenzyl]amino]-3-hydroxybutan-2-yl]acetamide 873658-58-3, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[3-(thiazol-2-yl)phenyl]cyclopropyl]amino]propyl]acetamide 873658-59-4,
 N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-(4-

hydroxymethylimidazol-1-yl)benzyl]amino]-2-hydroxypropyl]acetamide
873658-61-8, N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-(
(thiophen-2-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-62-9,
N-[3-[[5-(3-(Acetyl)thiophen-2-yl)-2-(2,2-dimethylpropyl)benzyl]amino]-1-(
(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 873658-63-0,
N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-(furan-3-
yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-64-1,
N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-(furan-2-
yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-65-2,
N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-(1H-pyrrol-2-
yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-66-3,
N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-[4-(methyl)thiophen-
2-yl]benzyl]amino]-2-hydroxypropyl]acetamide 873658-67-4,
N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-(thiophen-3-
yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-68-5,
N-[3-[[5-(Benzofuran-2-yl)-2-(2,2-dimethylpropyl)benzyl]amino]-1-(3,5-
difluorobenzyl)-2-hydroxypropyl]acetamide 873658-69-6,
N-[3-[[5-(Benzo[b]thiophen-2-yl)-2-(2,2-dimethylpropyl)benzyl]amino]-1-(
(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 873658-70-9,
N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-(1-propyl-1H-
pyrazol-4-yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-71-0,
N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-[2-(formyl)thiophen-
3-yl]benzyl]amino]-2-hydroxypropyl]acetamide 873658-72-1,
N-[1-(3,5-Difluorobenzyl)-3-[[2-(2,2-dimethylpropyl)-5-[5-(formyl)thiophen-
2-yl]benzyl]amino]-2-hydroxypropyl]acetamide 873658-73-2,
N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[1-[2-(thiazol-2-
yl)phenyl]cyclopropyl]amino]butan-2-yl]acetamide 873658-74-3,
N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(thiophen-2-
yl)benzyl]amino]butan-2-yl]acetamide 873658-75-4, N-[4-[[2-[5-(
(Acetyl)thiophen-2-yl)-5-neopentylbenzyl]amino]-1-(3,5-difluorophenyl)-3-
hydroxybutan-2-yl]acetamide 873658-76-5, N-[1-(3,5-Difluorophenyl)-4-[[2-(
(furan-3-yl)-5-neopentylbenzyl]amino]-3-hydroxybutan-2-yl]acetamide
873658-77-6, N-[1-(3,5-Difluorophenyl)-4-[[2-(furan-2-yl)-5-
neopentylbenzyl]amino]-3-hydroxybutan-2-yl]acetamide 873658-78-7,
N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(thiophen-3-
yl)benzyl]amino]butan-2-yl]acetamide 873658-79-8, N-[1-(3,5-
Difluorophenyl)-3-hydroxy-4-[[2-[4-(methyl)thiophen-2-yl]-5-
neopentylbenzyl]amino]butan-2-yl]acetamide 873658-80-1,
N-[4-[[2-(Benzofuran-2-yl)-5-neopentylbenzyl]amino]-1-(3,5-difluorophenyl)-
3-hydroxybutan-2-yl]acetamide 873658-81-2, N-[1-(3,5-Difluorophenyl)-3-
hydroxy-4-[[5-neopentyl-2-(1-propyl-1H-pyrazol-4-yl)benzyl]amino]butan-2-
yl]acetamide 873658-82-3, N-[4-[[2-(1H-Indol-2-yl)-5-
neopentylbenzyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-
yl]acetamide 873658-83-4, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[2-(1-
methyl-1H-pyrazol-4-yl)-5-neopentylbenzyl]amino]butan-2-yl]acetamide
873658-84-5, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[2-[5-(methyl)thiophen-
2-yl]-5-neopentylbenzyl]amino]butan-2-yl]acetamide 873658-85-6,
N-[1-(3,5-Difluorophenyl)-4-[[2-[2-(formyl)thiophen-3-yl]-5-
neopentylbenzyl]amino]-3-hydroxybutan-2-yl]acetamide 873658-86-7,
N-[1-(3,5-Difluorophenyl)-4-[[2-[5-(formyl)thiophen-2-yl]-5-
neopentylbenzyl]amino]-3-hydroxybutan-2-yl]acetamide 873658-87-8,
N-[4-[[2-(Benzo[b]thiophen-2-yl)-5-neopentylbenzyl]amino]-1-(3,5-
difluorophenyl)-3-hydroxybutan-2-yl]acetamide 873658-88-9,
N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(4-phenyl-1H-
imidazol-1-yl)benzyl]amino]butan-2-yl]acetamide 873658-89-0,
N-[4-[[2-(1H-Benzo[d]imidazol-1-yl)-5-neopentylbenzyl]amino]-1-(3,5-
difluorophenyl)-3-hydroxybutan-2-yl]acetamide 873658-90-3,
N-[4-[[2-(3-Acetyl-1H-pyrrol-1-yl)-5-neopentylbenzyl]amino]-1-(3,5-
difluorophenyl)-3-hydroxybutan-2-yl]acetamide 873658-91-4 873658-92-5,
N-[4-[[2-(3-Acetyl-1H-pyrazol-1-yl)-5-neopentylbenzyl]amino]-1-(3,5-
difluorophenyl)-3-hydroxybutan-2-yl]acetamide 873658-93-6,
N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[2-(3-methyl-1H-pyrazol-1-yl)-5-
neopentylbenzyl]amino]butan-2-yl]acetamide 873658-94-7,
N-[1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(4-methylpyrazol-1-
yl)benzyl]amino]-2-hydroxypropyl]acetamide 873658-95-8,

N-[4-[[2-(1H-Indazol-1-yl)-5-neopentylbenzyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 873658-96-9, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(1H-1,2,3-triazol-1-yl)benzyl]amino]butan-2-yl]acetamide 873658-97-0, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(1H-1,2,4-triazol-1-yl)benzyl]amino]butan-2-yl]acetamide 873658-98-1, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(pyrrolidin-1-yl)benzyl]amino]butan-2-yl]acetamide 873658-99-2, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[2-(2-mercapto-1H-imidazol-1-yl)-5-neopentylbenzyl]amino]butan-2-yl]acetamide 873659-00-8, Methyl 3-[1-2-[[[3-acetamido-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]methyl]-4-neopentylphenyl]-1H-imidazol-4-yl]acrylate 873659-01-9, 3-[1-2-[[[3-Acetamido-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]methyl]-4-neopentylphenyl]-1H-imidazol-4-yl]-2-aminopropanoic acid 873659-02-0, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[2-(3-hydroxypyrrolidin-1-yl)-5-neopentylbenzyl]amino]butan-2-yl]acetamide 873659-03-1, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[5-neopentyl-2-(piperidin-1-yl)benzyl]amino]butan-2-yl]acetamide

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug candidate; methods of treatment of amyloidosis using bi-aryl

N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT 78169-47-8, Aspartyl protease 158736-49-3, β -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(inhibitors; methods of treatment of amyloidosis using bi-aryl

N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT 89-55-4, 5-Bromosalicylic acid 98-80-6, Phenylboronic acid 105-39-5,

Ethyl chloroacetate 109-04-6, 2-Bromopyridine 288-13-1, Pyrazole

288-32-4, 1H-Imidazole, reactions 541-46-8, Isovaleramide 768-35-4,

3-Fluorophenylboronic acid 1113-78-6, Tri-sec-butylborane 1121-76-2,

4-Chloropyridine N-oxide 13132-23-5, Neopentylmagnesium chloride

15501-33-4, Neopentyl iodide 21740-00-1, 5-Bromo-2-iodobenzoic acid

33252-30-1, 2-Chloro-4-cyanopyridine 40499-83-0, 3-Pyrrolidinol

52727-57-8, Methyl 2-amino-5-bromobenzoate 74003-55-7,

3,4-Dibromobenzaldehyde 116212-82-9, Neopentylzinc chloride

126403-67-6, Isobutylzinc bromide 179897-89-3, 5-Bromo-2-

fluorobenzenitrile 181765-86-6, Methyl 5-bromo-2-iodobenzoate

205445-52-9, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-

difluorophenyl)propionic acid 262422-94-6, Neopentylzinc iodide

351019-18-6, (2-Fluoropyridin-5-yl)boronic acid 388075-52-3

676135-90-3, N-[(1S,2R)-3-[(3,5-Dibromobenzyl)amino]-1-(3,5-

difluorobenzyl)-2-hydroxypropyl]acetamide 873658-19-6,

Trifluoromethanesulfonic acid 2-[[[(2R,3S)-3-acetylamino-4-(3,5-

difluorophenyl)-2-hydroxybutyl](tert-butyloxycarbonyl)amino]methyl]-4-(2,2-

dimethylpropyl)phenyl ester 873658-22-1, Trifluoromethanesulfonic acid

2-cyano-4-(2,2-dimethylpropyl)phenyl ester 873658-32-3,

N-[4-[(2-Bromo-5-neopentylbenzyl)amino]-1-(3,5-difluorophenyl)-3-

hydroxybutan-2-yl]acetamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(methods of treatment of amyloidosis using bi-aryl N-(amino- and

hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT 6329-74-4P, 5-Bromo-2-hydroxybenzamide 16536-95-1P, 3-

Methylbutyriothioamide 19235-89-3P, 4-Chloropyridine-2-carbonitrile

31590-84-8P, 2-Neopentylpyridine 42205-73-2P, 2-Cyano-4-tert-

butylpyridine 161468-13-9P, 1-(Fluoroacetyl)-1H-imidazole

289039-20-9P, 5-Bromo-2-iodobenzamide 388071-27-0P, tert-Butyl

[(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate

388072-11-5P, 5-Carboethoxy-2-isobutylthiazole 388072-77-3P, tert-Butyl

[(1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropyl]carbamate

388072-80-8P, tert-Butyl [(1S,2S)-3-chloro-1-(3,5-difluorobenzyl)-2-

hydroxypropyl]carbamate 473567-47-4P, (2S)-2-[(tert-

Butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propionic acid methyl ester

493028-83-4P, Methyl 4-bromo-1,1'-biphenyl-2-carboxylate 530080-31-0P,

5-Bromo-2-(1H-imidazol-1-yl)benzonitrile 676136-14-4P,

2-Cyano-4-isopropylpyridine 676136-15-5P, 2-Cyano-6-neopentylpyridine

676136-16-6P, 2-Cyano-4-neopentylpyridine 676136-17-7P,

4-Cyano-2-neopentylpyridine 676136-60-0P, 2-Hydroxy-5-isobutylbenzamide 676136-61-1P, 2-Cyano-4-isobutylphenyl trifluoromethanesulfonate 676136-62-2P, 4-Isobutyl-1,1'-biphenyl-2-carbonitrile 676136-63-3P, [(4-Isobutyl-1,1'-biphenyl-2-yl)methyl]amine 676136-64-4P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[4-isobutyl-1,1'-biphenyl-2-yl)methyl]amino]propyl]carbamate 676136-66-6P, 2-(1H-Imidazol-1-yl)-5-isobutylbenzonitrile 676136-67-7P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[2-(1H-imidazol-1-yl)-5-isobutylbenzyl]amino]propyl]carbamate 676136-70-2P, 2-Iodo-5-isobutylbenzamide 676136-71-3P, Methyl 2-iodo-5-isobutylbenzoate 676136-72-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-iodo-5-isobutylbenzyl)amino]propyl]acetamide 676137-63-6P, [(1S,2R)-3-[(3,4-Dibromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 676137-65-8P, (3S,2R)-3-Amino-1-[(3,4-dibromobenzyl)amino]-4-(3,5-difluorophenyl)butan-2-ol 676137-76-1P, [(4-Bromo-1,1'-biphenyl-2-yl)methyl]amine 676137-81-8P, 4-Neopentyl-1,1'-biphenyl-2-carboxamide 676137-84-1P, [(4-Neopentyl-1,1'-biphenyl-2-yl)methyl]amine 676137-86-3P, 2-Fluoro-5-isobutylbenzonitrile 861857-49-0P, 5-(2,2-Dimethylpropyl)-2-(imidazol-1-yl)benzonitrile 861857-65-0P, 2-Fluoro-5-neopentylbenzonitrile 861921-69-9P, [5-(2,2-Dimethylpropyl)-2-(imidazol-1-yl)benzyl]amine 865105-58-4P, 5-(2,2-Dimethylpropyl)-2-(3-hydroxypyrrolidin-1-yl)benzonitrile 865105-59-5P, 1-[2-Aminomethyl-4-(2,2-dimethylpropyl)phenyl]pyrrolidin-3-ol 865105-60-8P, [5-(2,2-Dimethylpropyl)-2-(pyrrolidin-1-yl)benzyl]amine 865105-61-9P, 5-(2,2-Dimethylpropyl)-2-(pyrrolidin-1-yl)benzonitrile 865105-62-0P, 5-(2,2-Dimethylpropyl)-2-(piperidin-1-yl)benzonitrile 865105-63-1P, [5-(2,2-Dimethylpropyl)-2-(piperidin-1-yl)benzyl]amine 873658-20-9P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(tert-butoxycarbonyl)[2-(6-fluoropyridin-3-yl)-5-neopentylbenzyl]amino]-3-hydroxybutan-2-yl]acetamide 873658-21-0P, Trifluoromethanesulfonic acid 2-aminomethyl-4-(2,2-dimethylpropyl)phenyl ester 873658-25-4P, [(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(3-hydroxypyrrolidin-1-yl)benzyl]amino]-2-hydroxypropyl]carbamic acid tert-butyl ester 873658-27-6P, [(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(pyrrolidin-1-yl)benzyl]amino]-2-hydroxypropyl]carbamic acid tert-butyl ester 873658-29-8P, [(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[5-(2,2-dimethylpropyl)-2-(piperidin-1-yl)benzyl]amino]-2-hydroxypropyl]carbamic acid tert-butyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methods of treatment of amyloidosis using bi-aryl N-(amino- and hydroxypropyl)carboxamide aspartyl protease inhibitors)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 348636-36-2
388083-33-8 393093-18-0 478799-42-7 478799-43-8 873826-87-0
873826-88-1

RL: PRP (Properties)

(unclaimed sequence; methods of treatment of amyloidosis using bi-aryl aspartyl protease inhibitors)

L3 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1103733 CAPLUS

DN 143:386930

ED Entered STN: 14 Oct 2005

TI Preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide

IN Hom, Roy; Tucker, John; John, Varghese; Shah, Neerav

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SO PCT Int. Appl., 365 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C233-00

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

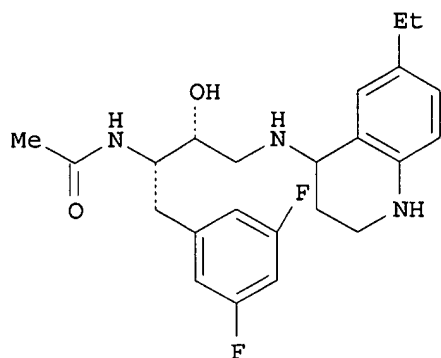
Section cross-reference(s): 1, 24, 25

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005095326	A2	20051013	WO 2005-US9920	20050325
	WO 2005095326	A3	20051110		
	WO 2005095326	C1	20061012		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2005267199	A1	20051201	US 2005-90520	20050325
PRAI	US 2004-556461P	P	20040325		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2005095326	ICM	C07C233-00
	IPCI	C07C0233-00 [I,C]; C07C0323-00 [I,C]; C07D0335-00 [I,C]; C07C0233-36 [I,A]; C07C0323-41 [I,A]; C07D0335-04 [I,A]
	IPCR	C07C0235-00 [I,C*]; C07C0271-00 [I,C*]; C07C0311-00 [I,C*]; C07C0327-00 [I,C*]; C07D0213-00 [I,C*]; C07D0215-00 [I,C*]; C07D0303-00 [I,C*]; C07D0307-00 [I,C*]; C07D0311-00 [I,C*]; C07D0317-00 [I,C*]; C07D0333-00 [I,C*]; C07D0405-00 [I,C*]; C07C0235-10 [I,A]; C07C0271-24 [I,A]; C07C0311-05 [I,A]; C07C0327-42 [I,A]; C07D0213-38 [I,A]; C07D0215-38 [I,A]; C07D0215-46 [I,A]; C07D0303-36 [I,A]; C07D0307-52 [I,A]; C07D0311-68 [I,A]; C07D0311-76 [I,A]; C07D0317-72 [I,A]; C07D0333-20 [I,A]; C07D0335-06 [I,A]; C07D0405-04 [I,A]
	ECLA	C07C311/05; C07C323/41; C07C327/42; C07C233/36; C07C235/10; C07C271/24; C07D213/38; C07D215/38; C07D215/46; C07D303/36; C07D307/52; C07D311/68; C07D311/76; C07D317/72C; C07D333/20; C07D335/06A; C07D405/04
US 2005267199	IPCI	A61K0031-382 [ICM,7]; A61K0031-353 [ICS,7]; A61K0031-352 [ICS,7,C*]; A61K0031-16 [ICS,7]; A61K0031-47 [ICS,7]
	IPCR	A61K0031-16 [I,C*]; A61K0031-16 [I,A]; A61K0031-352 [I,C*]; A61K0031-353 [I,A]; A61K0031-382 [I,C*]; A61K0031-382 [I,A]; A61K0031-47 [I,C*]; A61K0031-47 [I,A]
	NCL	514/430.000; 514/313.000; 514/456.000; 514/630.000
OS	MARPAT 143:386930	
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- AB Title compds. of formula Z-X-NHCH(R1)CH(Q)C(R2)(R3)N(R15)(Rc) (I) [Q = SH and derivs., NH and derivs.; Z = H, (un)substituted cycloalkylalk(en/yn)yl, cycloalkyl; X = CO, SO₂; R1 = (un)substituted alkyl; R2, R3 = independently H, F, (un)substituted alk(en/yn)yl, hetero/aryl, etc.; R2CR3 = 3-7 membered carbocyclic ring with 1-3 C atoms optionally replaced by O, S, SO₂, CO, NH and derivs.; R15 = H, (un)substituted alkyl, alkoxy, etc.; Rc = (un)substituted (CH₂)_n-cycloalkyl, etc.; n = 0-3] were prepared Compds. disclosed herein are inhibitors of the β -secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). For example, II was prepared, in 4 steps, by reacting benzyl 4-amino-6-ethyl-3,4-dihydroquinoline-1(2H)-carboxylate with [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate, followed by Boc-deprotection, acetylation in the presence of N,N-diacetyl-O-methylhydroxylamine/CH₂Cl₂, and Cbz-deprotection.
- ST aminopropane amino thio prepn beta secretase inhibitor anti Alzheimer; amyloidosis cyclohexyl phenyl tetrahydroquinolyl thiochromanyl chromanyl prepn aminohydroxypropylaminoacetamide prepn; aminohydroxypropylaminothioacetamide prepn Alzheimer drug
- IT Alzheimer's disease
(Lewy-body variant; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Brain, disease
(amyloid angiopathy; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Brain, disease
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Brain, disease
(dementia associated with cortical basal degeneration; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Parkinson's disease
(dementia associated with; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Mental and behavioral disorders
(dementia, degenerative; preparation of 2-amino- and 2-thio-substituted

1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT Mental and behavioral disorders
(dementia, frontotemporal dementia with parkinsonism; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT Mental and behavioral disorders
(dementia; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT Amyloidosis
(hereditary, cerebral hemorrhage type, Dutch type; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT Alzheimer's disease
Anti-Alzheimer's agents
Cognition enhancers
Cognitive disorders
Down's syndrome
Human
(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT Paralysis
(pseudobulbar; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT Amyloid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β -, deposition inhibitors; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676133-42-9P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(chromatog. resolution, drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676134-22-8P, (6-Isopropyl-3,4-dihydro-2H-chromen-4-yl)amine
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(chromatog. resolution; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676133-66-7P 676134-56-8P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676133-64-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-iodo-

3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676133-65-6P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4R)-6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide

RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676133-38-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(4S)-6-ethyl-3,4-dihydro-2H-chromen-4-yl)amino]-2-hydroxypropyl]acetamide
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 527731-54-0P 676133-44-1P 676134-02-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676135-29-8P 676135-75-4P 676135-90-3P 676135-91-4P 676136-33-7P 676137-78-3P 676138-21-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676133-31-6P 676133-43-0P 676133-45-2P 676133-46-3P 676133-47-4P
676133-48-5P 676133-51-0P 676133-52-1P 676133-53-2P 676133-54-3P
676133-55-4P 676133-56-5P 676133-57-6P 676133-58-7P 676133-59-8P
676133-60-1P 676133-61-2P 676133-71-4P 676133-72-5P 676133-73-6P
676133-74-7P 676133-75-8P 676133-76-9P 676133-77-0P 676133-78-1P
676133-79-2P 676133-80-5P 676133-81-6P 676133-82-7P 676133-83-8P
676133-84-9P 676133-85-0P 676133-86-1P 676133-87-2P 676133-88-3P
676133-89-4P 676133-90-7P 676133-91-8P 676133-92-9P 676133-93-0P
676133-94-1P 676133-95-2P 676133-96-3P 676133-97-4P 676133-98-5P
676133-99-6P 676134-00-2P 676134-01-3P 676134-07-9P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4R)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676134-15-9P
676134-16-0P, N-[(1S,2R)-1-(3-Fluorobenzyl)-2-hydroxy-3-[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676134-18-2P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676134-20-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-isopropyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676134-28-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4R)-6-isopropyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676134-29-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]-2-hydroxy-2-methylpropanamide 676134-31-9P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]-1-hydroxycyclopropanecarboxamide 676134-32-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]methanesulfonamide 676134-33-1P
676134-37-5P 676134-38-6P 676134-45-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-isopropoxy-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676134-52-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-hydroxy-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676134-59-1P 676134-60-4P 676134-61-5P
676134-66-0P 676134-77-3P 676134-87-5P, 5-[[[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]amino]-5-oxopentanoic acid 676134-89-7P,

4-[[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]amino]-4-oxobutanoic acid
 676134-93-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride
 676134-97-7P, N-[(1S,2R)-1-[3-(Hexyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride
 676135-06-1P, N-[(1S,2R)-1-(3-Fluoro-4-hydroxybenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride
 676135-13-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)-4-oxocyclohexyl]amino]propyl]acetamide 676135-16-3P
 676135-21-0P 676135-27-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]formamide hydrochloride
 676135-28-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]-2-fluoroacetamide hydrochloride
 676135-30-1P 676135-32-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]ethanethioamide hydrochloride 676135-34-5P 676135-35-6P 676135-37-8P 676135-38-9P
 676135-39-0P 676135-40-3P 676135-41-4P 676135-42-5P 676135-43-6P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride
 676135-44-7P, N-[(1S,2R)-1-[3-(Allyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride
 676135-46-9P, N-[(1S,2R)-2-Hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]-1-[(thien-2-yl)methyl]propyl]acetamide hydrochloride 676135-49-2P, N-[(1S,2R)-2-Hydroxy-1-(3-hydroxybenzyl)-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride
 676135-50-5P, N-[(1S,2R)-1-(3-Fluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride
 676135-52-7P, N-[(1S,2R)-1-[3-(Heptyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride
 676135-53-8P, N-[(1S,2R)-1-[3-[2-(2-Methoxyethoxy)ethoxy]-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-54-9P, N-[(1S,2R)-1-[3-(Allyloxy)-5-fluorobenzyl]-3-[[(4R)-6-ethyl-2,2-dioxido-3,4-dihydro-1H-isothiochromen-4-yl]amino]-2-hydroxypropyl]acetamide 676135-56-1P 676135-57-2P 676135-58-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]methanesulfonamide
 676135-59-4P 676135-60-7P 676135-61-8P 676135-80-1P 676135-81-2P
 676135-82-3P 676135-83-4P 676135-84-5P 676135-85-6P 676135-86-7P
 676135-89-0P 676135-92-5P 676135-93-6P 676135-94-7P 676135-97-0P
 676135-99-2P 676136-03-1P 676136-11-1P 676136-12-2P 676136-19-9P
 676136-20-2P 676136-21-3P 676136-22-4P 676136-23-5P 676136-24-6P
 676136-25-7P 676136-26-8P 676136-35-9P 676136-44-0P 676136-50-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[(4S)-6-ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 676136-51-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[(4R)-6-ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 676136-52-0P
 676136-65-5P 676136-68-8P 676136-69-9P 676136-73-5P 676136-88-2P, N-(1S,2R)-[1-(3,5-Difluorobenzyl)-3-[[(5S)-3-ethyl-5,6,7,8-tetrahydroquinolin-5-yl]amino]-2-hydroxypropyl]acetamide 676136-89-3P
 676136-91-7P 676136-95-1P 676136-98-4P 676136-99-5P 676137-20-5P
 676137-21-6P 676137-22-7P 676137-23-8P 676137-24-9P 676137-25-0P
 676137-26-1P 676137-27-2P 676137-28-3P 676137-39-6P 676137-40-9P
 676137-41-0P 676137-42-1P 676137-43-2P 676137-44-3P 676137-45-4P
 676137-46-5P 676137-47-6P 676137-48-7P 676137-52-3P, N-[(1S,2R)-3-[[(1S)-5-Butyl-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 676137-67-0P
 676137-68-1P, N-(1S,2R)-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[3-[4-(methyl)thiophen-2-yl]phenyl]cyclohexyl]amino]propyl]acetamide
 676137-75-0P 676137-79-4P 676137-80-7P 676137-85-2P 676137-87-4P
 676137-89-6P 676137-91-0P 676138-22-0P 676138-25-3P 676138-35-5P
 866473-69-0P 866473-70-3P 866473-71-4P 866473-72-5P 866473-73-6P
 866473-74-7P 866473-75-8P 866473-76-9P 866473-77-0P 866473-78-1P
 866473-79-2P 866473-80-5P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[[(S)-6-ethylchroman-4-yl]amino]butan-2-yl]acetamide 866473-81-6P,

N-[(2S,3R)-4-[(3-Isopropylbenzyl)amino]-3-amino-1-(3,5-difluorophenyl)butan-2-yl]acetamide 866473-82-7P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(2-ethyl-7-fluoro-9H-fluoren-9-yl)amino]butan-2-yl]acetamide 866473-83-8P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-6-isopentylchroman-4-yl]amino]butan-2-yl]acetamide 866473-84-9P, N-[(2S,3R)-3-Amino-4-[(S)-6-(cyclohexylmethyl)chroman-4-yl]amino]-1-(3,5-difluorophenyl)butan-2-yl]acetamide 866473-85-0P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(4-methyl-6-neopentylchroman-4-yl)amino]butan-2-yl]acetamide 866473-86-1P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-6-isopropoxychroman-4-yl]amino]butan-2-yl]acetamide 866473-87-2P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(isochroman-4-yl)amino]butan-2-yl]acetamide 866473-88-3P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(6-isopropoxy-1,1-dimethylisochroman-4-yl)amino]butan-2-yl]acetamide 866473-89-4P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(1-phenylcyclohexyl)amino]butan-2-yl]acetamide 866473-90-7P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[[1-(3-isopropylphenyl)cyclohexyl]amino]butan-2-yl]acetamide 866473-91-8P, N-[(3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-yl]ethanethioamide 866473-92-9P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-yl]methanesulfonamide 866473-93-0P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-yl]propionamide 866473-94-1P, N-[(2S,3R)-3-Amino-4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)butan-2-yl]acetamide 866473-95-2P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-7-isobutyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-yl]acetamide 866473-96-3P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(3-ethyl-5,6,7,8-tetrahydroquinolin-5-yl)amino]butan-2-yl]acetamide 866473-97-4P, N-[(2S,3R)-3-Amino-4-[(S)-5-butyl-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-1-(3,5-difluorophenyl)butan-2-yl]acetamide 866473-98-5P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[[2-(3-isobutylphenyl)propan-2-yl]amino]butan-2-yl]acetamide 866473-99-6P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(S)-6-ethylchroman-4-yl]amino]-3-mercaptoputan-2-yl]acetamide 866474-00-2P, N-[(2S,3R)-4-[(3-Isopropylbenzyl)amino]-1-(3,5-difluorophenyl)-3-mercaptoputan-2-yl]acetamide 866474-01-3P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(2-ethyl-7-fluoro-9H-fluoren-9-yl)amino]-3-mercaptoputan-2-yl]acetamide 866474-02-4P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(S)-6-isopentylchroman-4-yl]amino]-3-mercaptoputan-2-yl]acetamide 866474-03-5P, N-[(2S,3R)-4-[(S)-6-(Cyclohexylmethyl)chroman-4-yl]amino]-1-(3,5-difluorophenyl)-3-mercaptoputan-2-yl]acetamide 866474-04-6P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-3-mercaptoputan-2-yl]acetamide 866474-05-7P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(S)-6-isopropoxychroman-4-yl]amino]-3-mercaptoputan-2-yl]acetamide 866474-06-8P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(isochroman-4-yl)amino]-3-mercaptoputan-2-yl]acetamide 866474-07-9P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(6-isopropoxy-1,1-dimethylisochroman-4-yl)amino]-3-mercaptoputan-2-yl]acetamide 866474-08-0P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-3-mercaptoputan-2-yl]acetamide 866474-09-1P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[[1-(3-isopropylphenyl)cyclohexyl]amino]-3-mercaptoputan-2-yl]acetamide 866474-10-4P, N-[(3R)-1-(3,5-Difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-3-mercaptoputan-2-yl]ethanethioamide 866474-11-5P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-3-mercaptoputan-2-yl]methanesulfonamide 866474-12-6P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-3-mercaptoputan-2-yl]propionamide 866474-13-7P, N-[(2S,3R)-4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-mercaptoputan-2-yl]acetamide 866474-14-8P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(S)-7-isobutyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-3-mercaptoputan-2-yl]acetamide 866474-15-9P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(3-ethyl-5,6,7,8-tetrahydroquinolin-5-yl)amino]-3-mercaptoputan-2-yl]acetamide 866474-16-0P, N-[(2S,3R)-4-[(S)-5-Butyl-7-ethyl-1,2,3,4-

tetrahydronaphthalen-1-yl)amino]-1-(3,5-difluorophenyl)-3-mercaptobutan-2-yl]acetamide 866474-17-1P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[[2-(3-isobutylphenyl)propan-2-yl]amino]-3-mercaptobutan-2-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 158736-49-3, β -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 527730-64-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676134-24-0P 676134-25-1P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 62-53-3, Aniline, reactions 75-26-3, 2-Bromopropane 88-95-9, Phthaloyl dichloride 89-55-4, 5-Bromosalicylic acid 95-89-6, 3-Chloro-2,5-dimethylpyrazine 98-80-6, Phenylboronic acid 100-39-0, Benzyl bromide 103-64-0, (2-Bromovinyl)benzene 105-39-5, Ethyl chloroacetate 106-95-6, Allyl bromide, reactions 107-13-1, Acrylonitrile, reactions 108-55-4, Glutaric anhydride 108-94-1, Cyclohexanone, reactions 109-04-6, 2-Bromopyridine 109-52-4, Pentanoic acid, reactions 109-94-4, Ethyl formate 111-14-8, Heptanoic acid 111-24-0, 1,5-Dibromopentane 111-25-1, 1-Bromohexane 115-07-1, Propene, reactions 123-07-9, 4-Ethylphenol 140-88-5, Ethyl acrylate 288-32-4, 1H-Imidazole, reactions 491-37-2, 4-Chromanone 501-53-1, Benzyl chloroformate 530-62-1, 1,1'-Carbonyldiimidazole 541-46-8, Isovaleramide 557-93-7, 2-Bromopropene 584-12-3, 2-Bromofuran 589-16-2, 4-Ethylaniline 594-61-6, 2-Methylactic acid 618-89-3, Methyl 3-bromobenzoate 625-36-5, 3-Chloropropionyl chloride 629-04-9, 1-Bromoheptane 630-17-1, Neopentyl bromide 765-58-2, 2-Bromo-5-methylthiophene 768-35-4, 3-Fluorophenylboronic acid 872-31-1, 3-Bromothiophene 922-63-4, 2-Ethylacrolein 1003-09-4, 2-Bromothiophene 1066-54-2, Trimethylsilylacetylene 1113-78-6, Tri-sec-butylborane 1120-90-7, 3-Iodopyridine 1121-76-2, 4-Chloropyridine 1-oxide 1722-10-7, 3-Chloro-6-methoxypyridazine 1765-93-1, 4-Fluorophenylboronic acid 2105-94-4, 2-Fluoro-4-bromophenol 2234-82-4, Propylmagnesium chloride 2564-95-6 2725-82-8, 1-Bromo-3-ethylbenzene 3034-53-5, 2-Bromothiazole 3128-06-1, 5-Oxohexanoic acid 3132-99-8, 3-Bromobenzaldehyde 3430-13-5, 5-Bromo-2-methylpyridine 3430-17-9, 2-Bromo-3-methylpyridine 3430-22-6, 3-Bromo-4-methylpyridine 3510-66-5, 2-Bromo-5-methylpyridine 4132-48-3, 1-Isopropyl-4-methoxybenzene 4347-33-5, 5-Formyl-2-thiopheneboronic acid 4595-59-9, 5-Bromopyrimidine 4595-60-2, 2-Bromopyrimidine 4746-97-8, 1,4-Cyclohexanedione monoethylene ketal 4926-28-7, 2-Bromo-4-methylpyridine 5029-67-4, 2-Iodopyridine 5159-41-1, 2-Iodobenzyl alcohol 5220-49-5, 3-Amino-2-cyclohexen-1-one 5292-21-7, Cyclohexylacetic acid 5369-19-7, 3-(tert-Butyl)aniline 5433-01-2, 3-Isopropylbromobenzene 6165-69-1, Thien-3-ylboronic acid 10557-85-4, 4-Iodo-3,5-dimethylisoxazole 13132-23-5, Neopentylmagnesium chloride 14282-76-9, 2-Bromo-3-methylthiophene 14508-49-7,

2-Chloropyrazine 15501-33-4, 1-Iodo-2,2-dimethylpropane 15854-87-2,
4-Iodopyridine 16114-47-9, (3,5-Dimethylisoxazol-4-yl)boronic acid
21740-00-1, 5-Bromo-2-iodobenzoic acid 22037-28-1, 3-Bromofuran
22385-77-9, 3,5-Di-tert-butylbromobenzene 22531-06-2,
7-Ethyl-1-tetralone 27339-38-4, (3-Formylfuran-2-yl)boronic acid
30318-99-1, 3-Bromo-4-methylthiophene 31938-07-5, 3-Bromobenzyl nitrile
33034-67-2, 2-Chloro-4-trifluoromethylpyrimidine 33252-30-1,
2-Chloro-4-cyanopyridine 37067-95-1 39959-54-1, 3-Bromobenzylamine
hydrochloride 49844-90-8, 4-Chloro-2-methylsulfanylpurimidine
52727-57-8, Methyl 2-amino-5-bromobenzoate 54149-17-6,
1-Bromo-2-(2-methoxyethoxy)ethane 55552-70-0, 3-Furanboronic acid
64169-34-2, 5-Bromophthalide 71759-88-1, 5-Iodo-1-methyl-1H-imidazole
73183-34-3 74003-55-7, 3,4-Dibromobenzaldehyde 78887-39-5,
3-Acetamidobenzeneboronic acid 79003-26-2 82941-26-2,
(2-Butoxyethoxy)acetic acid 84110-40-7, 2-Methylpropylboronic acid
89283-31-8, 3-Chloro-5-methylpyridazine 92273-73-9, Butylzinc bromide
96259-61-9, (2-Cyanobenzoyloxy)acetic acid ethyl ester 107202-62-0,
tert-Butyl [(1S)-2-cyclohexyl-1-((2S)-oxiran-2-yl)ethyl]carbamate
111196-81-7, 2-Chloro-5-ethylpyrimidine 126403-67-6, Isobutylzinc
bromide 138900-55-7 156567-57-6, Propylzinc bromide 162536-85-8,
tert-Butyl [(1S)-2-(4-hydroxyphenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate
162541-58-4, tert-Butyl [(1S)-2-[3-(benzyloxy)phenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate
162607-15-0, (4-Methylthien-2-yl)boronic acid
163105-89-3, 2-Methoxy-5-pyridineboronic acid 179897-89-3,
5-Bromo-2-fluorobenzonitrile 181765-86-6 205445-52-9 206551-43-1,
5-Acetyl-2-thiopheneboronic acid 262422-94-6 388075-52-3, tert-Butyl
[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate
597563-17-2 597564-06-2 597564-17-5, tert-Butyl [(1S)-2-(3-benzyloxy-5-fluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate
676133-50-9
676134-11-5 676134-30-8 676134-54-6 676134-88-6 676135-04-9
676135-31-2 676135-36-7, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-ol dihydrochloride
676135-45-8, tert-Butyl [(1S)-2-[3-(allyloxy)-5-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate
676135-48-1, tert-Butyl [(1S)-1-((2S)-oxiran-2-yl)-2-(thien-2-yl)ethyl]carbamate
676135-51-6, tert-Butyl [(1S)-2-(3-fluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate
676135-55-0, (4R)-6-Ethyl-3,4-dihydro-1H-isothiochromen-4-amine
2,2-dioxide 676135-77-6 676135-87-8 676135-95-8 676136-00-8
676136-31-5 676137-12-5 676137-54-5 676137-64-7 676137-69-2,
N-(1S,2R)-[3-[[1-(3-Bromophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide
676137-92-1 676138-24-2
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 1481-93-2P, Chroman-4-ol 2905-38-6P, N-Thioacetylphthalimide
3197-61-3P, 1-Formylimidazole 4295-36-7P, 2,3-Dihydroquinolin-4(1H)-one
6329-74-4P 10269-01-9P, 3-Bromobenzylamine 19235-89-3P,
4-Chloropyridine-2-carbonitrile 20924-54-3P 20924-56-5P,
1H-2-Benzopyran-4(3H)-one 20924-57-6P 30951-66-7P,
2-(3-Bromophenyl)-2-propanol 31590-84-8P, 2-Neopentylpyridine
32281-97-3P, 7-Bromo-1-tetralone 33142-21-1P, Ethyl 2-chloro-3-oxopropanoate
33974-41-3P, Neopentylmagnesium bromide 34246-54-3P,
3-Ethylbenzaldehyde 42205-73-2P, 2-Cyano-4-tert-butylpyridine
50604-00-7P 53981-38-7P, (3,4-Dihydro-2H-chromen-4-yl)amine
57056-92-5P 58164-02-6P, 1-tert-Butyl-3-iodobenzene 62750-11-2P
74702-93-5P 76228-06-3P 87280-13-5P 94572-90-4P,
1-(3-tert-Butylphenyl)cyclohexanol 99758-64-2P, 3,5-Di-tert-butylbenzonitrile
101714-35-6P 104174-63-2P 111773-13-8P
116212-82-9P, Neopentylzinc chloride 133057-82-6P 139693-30-4P,
(3,5-Di-tert-butylbenzyl)amine 147663-00-1P 161468-13-9P,
1-(Fluoroacetyl)imidazole 186639-32-7P 198341-11-6P,
6-Isopropyl-2,3-dihydro-4H-chromen-4-one 263896-27-1P 289039-20-9P
358351-16-3P 379730-09-3P 388071-27-0P 388072-10-4P 388072-11-5P
388072-77-3P, tert-Butyl [(1S)-3-chloro-1-(3,5-difluorobenzyl)-2-

oxopropyl]carbamate 388072-80-8P, tert-Butyl [(1S,2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate 388075-48-7P 473567-47-4P
 493028-83-4P 527733-96-6P 527733-97-7P 527734-33-4P 530080-31-0P,
 5-Bromo-2-(1H-imidazol-1-yl)benzonitrile 537713-30-7P,
 4-Ethyl-4'-fluoro-1,1'-biphenyl-2-carboxylic acid 546115-65-5P
 597561-48-3P 597563-16-1P 627909-55-1P 672904-14-2P 676133-21-4P,
 (R)-7-Ethyltetralin-1-ol 676133-22-5P, ((S)-7-Ethyl-1,2,3,4-tetrahydro-1-naphthyl)amine hydrochloride 676133-23-6P, (R)-7-Bromotetralin-1-ol
 676133-24-7P 676133-25-8P 676133-26-9P 676133-27-0P 676133-28-1P,
 1-(3-Ethylphenyl)cyclohexanol 676133-29-2P, 1-(1-Azidocyclohexyl)-3-ethylbenzene 676133-30-5P, [1-(3-Ethylphenyl)cyclohexyl]amine
 676133-32-7P 676133-33-8P 676133-34-9P 676133-35-0P 676133-36-1P
 676133-37-2P 676133-39-4P 676133-40-7P 676133-41-8P 676133-49-6P
 676133-62-3P 676133-63-4P 676133-67-8P 676133-69-0P 676133-70-3P,
 tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[((4S)-6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate 676134-03-5P
 676134-04-6P, (6-Neopentyl-3,4-dihydro-2H-chromen-4-yl)amine
 676134-05-7P 676134-06-8P 676134-08-0P 676134-09-1P 676134-10-4P
 676134-12-6P 676134-13-7P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[((4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate 676134-14-8P 676134-17-1P, tert-Butyl
 [(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[[((4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate 676134-19-3P, tert-Butyl
 [(1S,2R)-1-benzyl-2-hydroxy-3-[[((4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate 676134-21-7P 676134-23-9P 676134-26-2P,
 tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[((4S)-6-isopropyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate 676134-27-3P
 676134-34-2P 676134-35-3P 676134-36-4P 676134-39-7P 676134-40-0P
 676134-41-1P 676134-42-2P 676134-43-3P 676134-44-4P,
 6-Neopentyl-2,3-dihydro-4H-chromen-4-one 676134-46-6P 676134-47-7P
 676134-48-8P 676134-49-9P 676134-50-2P, tert-Butyl
 [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[((4S)-6-isopropoxy-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate 676134-51-3P
 676134-53-5P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[((4S)-6-hydroxy-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate
 676134-55-7P 676134-57-9P 676134-58-0P 676134-62-6P,
 6-Isopropoxy-1,1-dimethyl-3,4-dihydro-1H-isochromene 676134-63-7P,
 4-Bromo-6-isopropoxy-1,1-dimethyl-3,4-dihydro-1H-isochromene
 676134-64-8P 676134-65-9P 676134-67-1P, 5-Bromo-2-
 [(carboxymethoxy)methyl]benzoic acid 676134-68-2P 676134-69-3P
 676134-70-6P 676134-71-7P, (6-Bromoisochroman-4-yl)carbamic acid
 tert-butyl ester 676134-72-8P 676134-73-9P 676134-74-0P
 676134-75-1P 676134-76-2P 676134-78-4P, 7-(2,2-Dimethylpropyl)-1-hydroxy-3,4-dihydronaphthalene-2-carboxylic acid methyl ester
 676134-79-5P 676134-80-8P, 2-[(tert-Butyldimethylsilyloxy)methyl]-7-(2,2-dimethylpropyl)-3,4-dihydro-2H-naphthalen-1-one 676134-81-9P
 676134-82-0P 676134-83-1P 676134-84-2P 676134-85-3P 676134-86-4P
 676134-90-0P, 1-(3-Isopropylphenyl)cyclohexanamine hydrochloride
 676134-91-1P, 1-(3-Isopropylphenyl)cyclohexanol 676134-92-2P,
 1-(3-Isopropylphenyl)cyclohexyl azide 676134-94-4P, tert-Butyl
 [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]carbamate 676134-95-5P,
 (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(3-isopropylphenyl)cyclohexyl]amino]butan-2-ol dihydrochloride 676134-96-6P
 676134-98-8P, tert-Butyl [(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]carbamate
 676134-99-9P 676135-00-5P, N-[(1S,2R)-1-[3-(Benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide
 hydrochloride 676135-01-6P, N-[(1S,2R)-1-(3-Hydroxy-5-fluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide
 hydrochloride 676135-02-7P, tert-Butyl [(1S)-2-[4-(benzyloxy)-3-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 676135-03-8P
 676135-05-0P 676135-07-2P 676135-08-3P, N-[(1S,2R)-1-[3-Fluoro-4-(benzyloxy)benzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-10-7P, 8-(3-Isopropylphenyl)-1,4-

dioxaspiro[4.5]decan-8-amine acetate 676135-11-8P, 8-(3-Isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-ol 676135-12-9P, 8-(3-Isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl azide 676135-14-1P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[8-(3-isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-ylamino]propyl]carbamate 676135-15-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[8-(3-isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]propyl]acetamide 676135-17-4P
 676135-18-5P 676135-19-6P 676135-20-9P 676135-22-1P 676135-23-2P
 676135-24-3P 676135-25-4P 676135-26-5P 676135-33-4P 676135-73-2P,
 1-(1-Azidocyclohexyl)-3-tert-butylbenzene 676135-74-3P,
 [1-(3-tert-Butylphenyl)cyclohexyl]amine 676135-76-5P,
 [1-(3-Ethynylphenyl)cyclohexyl]amine 676135-78-7P 676135-79-8P
 676135-88-9P 676135-96-9P 676135-98-1P 676136-01-9P 676136-02-0P
 676136-04-2P 676136-05-3P 676136-06-4P 676136-07-5P 676136-08-6P
 676136-10-0P 676136-13-3P 676136-14-4P, 2-Cyano-4-isopropylpyridine
 676136-15-5P, 2-Cyano-6-neopentylpyridine 676136-16-6P,
 2-Cyano-4-neopentylpyridine 676136-17-7P, 4-Cyano-2-neopentylpyridine
 676136-18-8P 676136-27-9P 676136-28-0P 676136-29-1P 676136-30-4P
 676136-32-6P 676136-36-0P 676136-37-1P, Benzyl 6-ethyl-4-oxo-3,4-dihydroquinoline-1(2H)-carboxylate 676136-38-2P, Benzyl
 6-ethyl-4-hydroxy-3,4-dihydroquinoline-1(2H)-carboxylate 676136-39-3P,
 Benzyl 4-amino-6-ethyl-3,4-dihydroquinoline-1(2H)-carboxylate
 676136-40-6P 676136-41-7P 676136-42-8P 676136-43-9P 676136-45-1P,
 6-Ethyl-1-methyl-2,3-dihydroquinolin-4(1H)-one 676136-46-2P
 676136-47-3P, 6-Ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-amine
 676136-48-4P 676136-49-5P 676136-53-1P, Benzyl 6-bromo-4-oxo-3,4-dihydroquinoline-1(2H)-carboxylate 676136-54-2P 676136-55-3P, Benzyl
 4-hydroxy-6-neopentyl-3,4-dihydroquinoline-1(2H)-carboxylate
 676136-56-4P, Benzyl 4-amino-6-neopentyl-3,4-dihydroquinoline-1(2H)-carboxylate 676136-57-5P, 676136-58-6P 676136-59-7P 676136-60-0P
 676136-61-1P 676136-62-2P 676136-63-3P 676136-64-4P 676136-66-6P,
 2-(1H-Imidazol-1-yl)-5-isobutylbenzonitrile 676136-67-7P 676136-70-2P
 676136-71-3P 676136-72-4P 676136-84-8P 676136-85-9P 676136-86-0P
 676136-87-1P 676136-90-6P 676136-92-8P 676136-93-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676136-94-0P 676136-96-2P 676136-97-3P 676137-00-1P 676137-01-2P
 676137-02-3P 676137-03-4P 676137-04-5P 676137-05-6P 676137-06-7P
 676137-07-8P 676137-08-9P 676137-09-0P 676137-10-3P 676137-11-4P
 676137-13-6P 676137-14-7P 676137-15-8P 676137-16-9P 676137-17-0P
 676137-18-1P 676137-19-2P 676137-53-4P, [(1S,2R)-3-[(1S)-5-Bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate 676137-55-6P,
 (3S,2R)-3-Amino-1-[(1S)-5-bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-4-(3,5-difluorophenyl)butan-2-ol 676137-56-7P,
 N-[(1S,2R)-3-[(1S)-5-Bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 676137-57-8P,
 [(3S,2R)-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]((1S)-5-bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)carbamate 676137-58-9P
 676137-58-9P 676137-59-0P 676137-63-6P 676137-65-8P
 676137-66-9P 676137-76-1P 676137-77-2P 676137-81-8P
 676137-82-9P 676137-84-1P 676137-86-3P 676137-88-5P 676137-90-9P
 676138-23-1P 676138-32-2P, 1-(3-Bromophenyl)cyclohexanecarbonitrile
 676138-33-3P, 1-(3-Bromophenyl)cyclohexanecarboxamide 676138-34-4P
 676138-36-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 68449-30-9P, 5-Bromo-1-tetralone 676133-68-9P 676136-34-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 866800-83-1 866904-08-7

RL: PRP (Properties)

(unclaimed protein sequence; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 150234-52-9 186142-26-7 288584-07-6, 6: PN: WO2004024081 SEQID: 509 unclaimed sequence 288584-08-7, 7: PN: WO2004024081 SEQID: 524 unclaimed sequence 388083-33-8, 3: PN: WO2004024081 SEQID: 496 unclaimed sequence 478799-42-7, 1: PN: WO2004024081 SEQID: 221 unclaimed sequence 478799-43-8, 2: PN: WO2004024081 SEQID: 243 unclaimed sequence 676174-15-5 676174-16-6

RL: PRP (Properties)

(unclaimed sequence; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

L3 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:300397 CAPLUS

DN 142:373564

ED Entered STN: 07 Apr 2005

TI Preparation of sulfone amide derivatives as inhibitors of β -secretase

IN Oh, Yeong Soo; Choi, Deog-young; Cho, Young Lag; Yoon, Sook Kyung; Seo, Sang Won; Lim, Dongchul; Min, Kyeongsik; Lee, Tae-soo; Lee, Sun Hwa; Chung, Kyung Ha; Kim, Byeong Moon; Bae, Sung Jin; Lee, Jong Sun; Lee, Dae-won; Jeong, Moses

PA Lg Life Sciences Ltd., S. Korea; Promeditech, Inc.

SO PCT Int. Appl., 251 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C311-46

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 34, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005030709	A1	20050407	WO 2004-KR2523	20041001
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

KR 2005032177 A 20050407 KR 2003-68187 20031001

PRAI KR 2003-68187 A 20031001

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2005030709	ICM	C07C311-46
	IPCI	C07C0311-46 [ICM,7]; C07C0311-00 [ICM,7,C*]
	IPCR	C07C0311-00 [I,C*]; C07C0311-08 [I,A]; C07C0311-13 [I,A]; C07C0311-18 [I,A]; C07C0311-21 [I,A]; C07C0311-35 [I,A]; C07C0311-44 [I,A]
	ECLA	C07C311/08; C07C311/13; C07C311/18; C07C311/21; C07C311/35; C07C311/44

KR 2005032177 IPCI C07C0311-46 [ICM,7]; C07C0311-00 [ICM,7,C*]
OS MARPAT 142:373564
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = H, halo, CN, etc.; R1-3 = alkyl, etc.; X = substituted alkyl, oxazolyl, etc.] are prepared For instance, II is prepared in 5 steps from (2R,4S,5S)-4-((tert-butyldimethylsilyl)oxy)-5-[(3-(1,1-dioxoisothiazolidin-2-yl)benzoyl)amino]-2,7-dimethyloctanoic acid (preparation given), 4-(((tert-butoxycarbonyl)amino)methyl)benzoic acid, benzyl bromide, N-BocAlanine. IC50 against β -secretase for compds. of the invention is in the range of 0.5 - 50 μ M. I are useful for the treatment of Alzheimer's disease and related diseases caused by production of beta-amyloid.

ST sulfone amide deriv inhibiting bace secretase prepn

IT Drug delivery systems

(oral; preparation of sulfone amide derivs. as inhibitors of β -secretase)

IT Drug delivery systems

(parenterals; preparation of sulfone amide derivs. as inhibitors of β -secretase)

IT Alzheimer's disease

Anti-Alzheimer's agents

Human

(preparation of sulfone amide derivs. as inhibitors of β -secretase)

IT Drug delivery systems

(transdermal; preparation of sulfone amide derivs. as inhibitors of β -secretase)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(β -; preparation of sulfone amide derivs. as inhibitors of β -secretase)

IT 158736-49-3, β -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of sulfone amide derivs. as inhibitors of β -secretase)

IT 849408-92-0P 849409-03-6P 849409-05-8P 849409-10-5P 849409-14-9P
849409-18-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of sulfone amide derivs. as inhibitors of β -secretase)

IT 849408-10-2P 849408-11-3P 849408-12-4P 849408-13-5P 849408-14-6P
849408-15-7P 849408-16-8P 849408-17-9P 849408-18-0P 849408-19-1P
849408-20-4P 849408-21-5P 849408-22-6P 849408-23-7P 849408-24-8P
849408-25-9P 849408-26-0P 849408-27-1P 849408-28-2P 849408-29-3P
849408-30-6P 849408-31-7P 849408-32-8P 849408-33-9P 849408-34-0P
849408-35-1P 849408-36-2P 849408-37-3P 849408-38-4P 849408-39-5P
849408-40-8P 849408-41-9P 849408-42-0P 849408-43-1P 849408-44-2P
849408-45-3P 849408-46-4P 849408-47-5P 849408-48-6P 849408-49-7P
849408-50-0P 849408-51-1P 849408-52-2P 849408-53-3P 849408-54-4P
849408-55-5P 849408-56-6P 849408-57-7P 849408-58-8P 849408-59-9P
849408-60-2P 849408-61-3P 849408-62-4P 849408-63-5P 849408-64-6P
849408-65-7P 849408-66-8P 849408-67-9P 849408-68-0P 849408-69-1P
849408-70-4P 849408-71-5P 849408-72-6P 849408-73-7P 849408-74-8P
849408-75-9P 849408-76-0P 849408-77-1P 849408-78-2P 849408-79-3P
849408-80-6P 849408-81-7P 849408-82-8P 849408-83-9P 849408-84-0P
849408-85-1P 849408-86-2P 849408-87-3P 849408-88-4P 849408-89-5P
849408-90-8P 849408-93-1P 849408-94-2P 849408-95-3P 849408-96-4P
849408-97-5P 849408-98-6P 849409-00-3P 849409-01-4P 849409-02-5P
849409-04-7P 849409-06-9P 849409-07-0P 849409-08-1P 849409-12-7P
849409-16-1P 849409-19-4P 849409-20-7P 849409-21-8P 849409-22-9P

849409-23-0P	849409-24-1P	849409-25-2P	849409-26-3P	
849409-27-4P	849409-28-5P	849409-29-6P	849409-30-9P	
849409-31-0P	849409-32-1P	849409-33-2P	849409-34-3P	849409-35-4P
849409-36-5P	849409-37-6P	849409-38-7P	849409-39-8P	849409-40-1P
849409-41-2P	849409-42-3P	849409-43-4P	849409-44-5P	849409-45-6P
849409-46-7P	849409-47-8P	849409-48-9P	849409-49-0P	849409-50-3P
849409-51-4P	849409-52-5P	849409-53-6P	849409-54-7P	849409-55-8P
849409-56-9P	849409-57-0P	849409-58-1P	849409-60-5P	849409-61-6P
849409-63-8P	849409-65-0P	849409-67-2P	849409-69-4P	849409-71-8P
849464-93-3P	849464-94-4P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfone amide derivs. as inhibitors of β -secretase)

IT 66-77-3, 1-Naphthalenecarboxaldehyde 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde 75-07-0, Acetaldehyde, reactions 85-46-1, 1-Naphthalenesulfonyl chloride 86-51-1, 2,3-Dimethoxybenzaldehyde 93-02-7, 2,5-Dimethoxybenzaldehyde 93-11-8, 2-Naphthalenesulfonyl chloride 98-09-9, Benzenesulfonyl chloride 98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 100-46-9, Benzylamine, reactions 100-81-2, 3-Methylbenzylamine 100-83-4, 3-Hydroxybenzaldehyde 106-95-6, Allylbromide, reactions 106-96-7, Propargyl bromide 107-08-4, 1-Iodopropane 120-57-0, Piperonal 122-78-1, Phenylacetaldehyde 123-11-5, 4-Methoxybenzaldehyde, reactions 135-02-4, 2-Methoxybenzaldehyde 148-53-8, 2-Hydroxy-3-methoxybenzaldehyde 288-13-1, Pyrazole 447-61-0, 2-Trifluoromethylbenzaldehyde 454-89-7, 3-Trifluoromethylbenzaldehyde 501-53-1, Benzylchloroformate 582-33-2, Ethyl 3-aminobenzoate 587-04-2, 3-Chlorobenzaldehyde 594-44-5, Ethanesulfonyl chloride 605-65-2, Dansyl chloride 620-23-5, 3-Methylbenzaldehyde 672-13-9, 2-Hydroxy-5-methoxybenzaldehyde 696-41-3, 3-Iodobenzaldehyde 1633-82-5, 3-Chloropropanesulfonyl chloride 1711-05-3, 3-Methoxybenzoyl chloride 1939-99-7, Benzylsulfonyl chloride 2386-60-9, 1-Butanesulfonyl chloride 3082-64-2 3132-99-8, 3-Bromobenzaldehyde 3731-51-9, 2-(Aminomethyl)pyridine 3789-59-1, (S)-1-Phenylpropylamine 4540-60-7, L-Valineamide 4701-17-1, 5-Bromothiophene-2-carboxaldehyde 5071-96-5, 3-Methoxybenzylamine 6306-52-1 7311-34-4, 3,5-Dimethoxybenzaldehyde 7797-83-3, 2,3-(Methylenedioxy)benzaldehyde 10147-36-1, Propanesulfonyl chloride 13139-15-6 13734-34-4 13734-41-3 15761-38-3 16629-19-9, 2-Thiophenesulfonyl chloride 19395-19-8 23074-10-4, 5-Ethylfuran-2-carboxaldehyde 23501-93-1, 3-Dimethylaminobenzyl alcohol 27219-07-4, 5-[(tert-Butoxycarbonyl)amino]pentanoic acid 27687-14-5, trans-4-[[[(tert-Butoxycarbonyl)amino]methyl]cyclohexanecarboxylic acid 28920-43-6, 9-Fluorenylmethylchloroformate 32251-82-4, 3-Benzylpyrazole 33233-67-9, 4-(t-Butoxycarbonylamino-methyl)benzoic acid 35264-09-6, 1-[(t-Butoxycarbonyl)amino]cyclopentanecarboxylic acid 36880-33-8, 5-Ethylthiophene-2-carboxaldehyde 39515-51-0, 3-Phenoxybenzaldehyde 49633-25-2, 3-Isopropylpyrazole 52771-21-8, 3-Trifluoromethoxybenzaldehyde 56545-22-3, Methyl (2S)-2-aminobutanoate hydrochloride 63038-27-7, Methyl (2S)-2-amino-3,3-dimethylbutanoate hydrochloride 69812-29-9, 2-Acetamido-4-methyl-5-thiazolesulfonyl chloride 71776-74-4, Methyl (2S,3R)-2-amino-3-methylpentanoate hydrochloride 88196-70-7, (R)-1-(3-Methoxyphenyl)ethylamine 89469-46-5, 3-[Methyl(methylsulfonyl)amino]benzoic acid 93071-79-5, 3-Ethylbenzylamine 104296-63-1, 2-(1-Naphthyl)ethanesulfonyl chloride 117311-27-0, 3-Phenethylpyrazole 130309-46-5, 4-[(tert-Butoxycarbonyl)amino]cyclohexanecarboxylic acid 334932-13-7, 3-[(tert-Butoxycarbonyl)amino]cyclohexanecarboxylic acid 518343-09-4, 1-Methyl-2,4-trioxo-1,2,3,4-tetrahydro-2,1-benzothiazine-7-carboxylic acid 849356-70-3, 5-[(Benzylsulfonyl)(methyl)amino]-2-chlorobenzoic acid 849356-84-9, 3-[(Benzylsulfonyl)(methyl)amino]-5-(trifluoromethyl)benzoic acid 849356-85-0, 3-[(Benzylsulfonyl)(methyl)amino]-5-bromobenzoic acid 849356-86-1, 3-[(Benzylsulfonyl)(methyl)amino]-5-nitrobenzoic acid 849356-87-2, 3-[(Benzylsulfonyl)(methyl)amino]-5-cyanobenzoic acid 849356-88-3, 3-[(Benzylsulfonyl)(methyl)amino]-5-methylbenzoic acid

849356-89-4, 3-[(Benzylsulfonyl)(methyl)amino]-5-chlorobenzoic acid
 849356-90-7, 3-(Aminocarbonyl)-5-[(benzylsulfonyl)(methyl)amino]benzoic acid
 849356-91-8, 3-[(Benzylsulfonyl)(methyl)amino]-5-(methoxycarbonyl)benzoic acid
 849356-92-9, 3-[(Benzyloxy)carbonyl]-5-[(benzylsulfonyl)(methyl)amino]benzoic acid
 849357-00-2, 3-[(Benzylsulfonyl)(methyl)amino]-4-methoxybenzoic acid
 849357-01-3, 3-[(Benzylsulfonyl)(methyl)amino]-4-fluorobenzoic acid
 849357-02-4, 3-[(Benzylsulfonyl)(methyl)amino]-4-chlorobenzoic acid
 849357-03-5, 5-[(Benzylsulfonyl)(methyl)amino]-2-methoxybenzoic acid
 849357-18-2, 3-[Methyl[(2-nitrobenzyl)sulfonyl]amino]benzoic acid
 849357-19-3, 3-[Methyl[(2-methylbenzyl)sulfonyl]amino]benzoic acid
 849357-21-7, 3-[Methyl[(3-methylbenzyl)sulfonyl]amino]benzoic acid
 849357-24-0, 3-[Methyl[(4-methylbenzyl)sulfonyl]amino]benzoic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfone amide derivs. as inhibitors of β -secretase)
 IT 619-22-7P, 3-(Dimethylamino)benzaldehyde 1700-37-4P,
 3-(Benzyloxy)benzaldehyde 22924-15-8P, 3-Ethoxybenzaldehyde 28547-15-1P,
 3-[(Phenylsulfonyl)amino]benzoic acid 33696-06-9P, 3-Formylphenyl benzoate 34532-41-7P,
 Ethyl 3-[[5-(dimethylamino)-1-naphthyl]sulfonyl]amino]benzoate 40359-32-8P,
 3-(Allyloxy)benzaldehyde 51814-55-2P, tert-Butyl [(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]carbamate 67010-43-9P,
 Ethyl (3S,4S)-4-[(tert-butoxycarbonyl)amino]-3-hydroxy-6-methylheptanoate 67106-22-3P,
 tert-Butyl [(1S)-1-[(benzylamino)carbonyl]-2-methylpropyl]carbamate 82068-34-6P,
 3-[(2-Thienylsulfonyl)amino]benzoic acid 85908-97-0P, Methyl 5-[(tert-butoxycarbonyl)amino]pentanoate 96750-06-0P
 98737-29-2P, tert-Butyl [(1S)-1-[(2S)-oxiranyl]-2-phenylethyl]carbamate 98760-08-8P,
 tert-Butyl [(1S)-1-[(2R)-oxiranyl]2-phenylethyl]carbamate 103335-80-4P
 103335-85-9P 105018-83-5P 107202-52-8P, tert-Butyl [(1S)-3-methyl-1-[(2S)-oxiranyl]butyl]carbamate 138099-41-9P
 138377-80-7P, 3-Amino-2-piperidinone hydrochloride 151721-33-4P, Ethyl 3-[(phenylsulfonyl)amino]benzoate 162536-72-3P, tert-Butyl [(1S,2R)-3-azido-1-benzyl-2-hydroxypropyl]carbamate 204199-03-1P,
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfone amide derivs. as inhibitors of β -secretase)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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L3 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:493673 CAPLUS

DN 141:54189

ED Entered STN: 18 Jun 2004

TI Preparation of hydroxyethylamine derivatives for the treatment of Alzheimer's disease

IN Demont, Emmanuel H.; Faller, Andrew; MacPherson, David Timothy; Milner, Peter Henry; Naylor, Alan; Redshaw, Sally; Stanway, Steven James; Vesey, David R.; Walter, Daryl S.

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 201 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D207-26

ICS C07D279-02; C07D417-12; C07D405-12; C07D409-12; C07D403-12;
 C07D401-12; C07D275-02; C07D211-76; A61K031-4015; A61K031-45;
 A61K031-415; A61K031-541; A61P025-28

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

FAN.CNT 1

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WO 2004050619	A1	20040617	WO 2003-EP13806	20031203
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BR 2003017020	A	20051025	BR 2003-17020	20031203
CN 1735592	A	20060215	CN 2003-80108515	20031203
JP 2006514634	T2	20060511	JP 2004-556305	20031203
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NO 2005003263	A	20050831	NO 2005-3263	20050704
PRAI GB 2002-28410	A	20021205		
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CLASS

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 4C063/EE01; 4C069/AB12; 4C069/BC12; 4C086/AA01;
 4C086/AA02; 4C086/AA03; 4C086/BC07; 4C086/BC13;
 4C086/BC21; 4C086/BC39; 4C086/BC47; 4C086/BC73;
 4C086/BC79; 4C086/BC87; 4C086/BC91; 4C086/MA01;
 4C086/MA04; 4C086/NA14; 4C086/ZA16; 4C086/ZC20
 US 2006025459 IPCI A61K0031-425 [I,A]; A61K0031-4025 [I,A]; A61K0031-4015

[I,A]; C07D0417-02 [I,A]; C07D0417-00 [I,C*];
 C07D0403-02 [I,A]; C07D0403-00 [I,C*]
 NCL 514/372.000; 514/422.000; 514/424.000; 548/213.000;
 548/517.000; 548/543.000
 ECLA C07D207/26B1; C07D211/76; C07D275/02B; C07D279/02;
 C07D401/12+213+207; C07D403/12+209B+207;
 C07D403/12+231+207; C07D403/12+233+207;
 C07D403/12+235C+207; C07D403/12+239B+207;
 C07D403/12+257+207; C07D405/12+215+207;
 C07D405/12+307B+207; C07D409/12+333B+207;
 C07D417/12+277B+207; C07D417/12+279+231
 NO 2005003263 IPCI C07D0207-26 [ICM,7]; C07D0207-00 [ICM,7,C*];
 A61K0031-4015 [ICS,7]; A61P0025-28 [ICS,7]; A61P0025-00
 [ICS,7,C*]
 ECLA C07D207/26B1; C07D211/76; C07D275/02B; C07D279/02;
 C07D401/12+213+207; C07D403/12+209B+207;
 C07D403/12+231+207; C07D403/12+233+207;
 C07D403/12+235C+207; C07D403/12+239B+207;
 C07D403/12+257+207; C07D405/12+215+207;
 C07D405/12+307B+207; C07D409/12+333B+207;
 C07D417/12+277B+207; C07D417/12+279+231
 OS MARPAT 141:54189
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = alkyl, alkenyl, halo, etc.; R2' = H, alkyl, alkoxy,
 halo; m, n = 0-2; X = CO, SO, SO₂; p = 1-3; R2 = H, alk(en)yl,
 (hetero)aryl, etc.; R3 = halo, alk(en)yl, (hetero)aryl, etc.; R4 =
 alkynyl, alkylaryl, etc.; R5 = H, alkyl, cycloalkyl, cycloalkenyl, etc.]
 are prepared For instance, 5-(2-oxopyrrolidin-1-yl)-N,N-
 dipropylisophthalamide (preparation given) is coupled to (2S)-2-[[((2R,3S)-3-
 amino-2-hydroxy-4-phenylbutyl)amino]-N-cyclohexylpropionamide (preparation
 given) (DMF, EDCI, HOBT, 4-ethylmorpholine, 3 h) to give II. Compds. of
 the invention inhibit protease Asp2 and Cathepsin D. I are useful in the
 treatment of diseases characterized by elevated amyloid levels or amyloid
 deposits, particularly Alzheimer's disease.
 ST hydroxyethylamine arylpyrrolidine alzheimers asp2 cathepsin inhibitor
 prepn
 IT Alzheimer's disease
 Human
 (preparation of hydroxyethylamine derivs. for treatment of Alzheimer's
 disease)
 IT Amyloid
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (β-; preparation of hydroxyethylamine derivs. for treatment of
 Alzheimer's disease)
 IT 9025-26-7, Cathepsin D 158736-49-3, Protease Asp2
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of hydroxyethylamine derivs. for treatment of Alzheimer's
 disease)
 IT 706793-30-8P 706793-31-9P 706796-28-3P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-
 (cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-nitro-5-(2-
 oxopyrrolidin-1-yl)benzamide 706796-86-3P, N-[(1S,2R)-3-Amino-1-benzyl-2-
 hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxypentylbenzamide 706797-14-0P,
 3-Azidomethyl-N-[(1S,2R)-1-benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami
 no]-2-hydroxypropyl]-5-(1,1-dioxoisothiazolidin-2-yl)benzamide
 706797-26-4P 706797-27-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of hydroxyethylamine derivs. for treatment of Alzheimer's

disease)

IT 706795-53-1P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)-N',N'-dipropylisophthalamide
706795-56-4P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)isophthalamide
706795-57-5P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)isophthalamide
706795-58-6P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)-N'-propylisophthalamide
706795-59-7P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dimethyl-5-(2-oxopyrrolidin-1-yl)isophthalamide
706795-60-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-N'-methyl-5-(2-oxopyrrolidin-1-yl)isophthalamide
706795-61-1P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-hydroxymethyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-62-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-(E)-styrylbenzamide
706795-63-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-phenethylbenzamide
706795-64-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-65-5P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-66-6P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-cyclohexyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-67-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-cyclohexyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-68-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide
706795-69-9P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide
706795-70-2P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(2-methylpropenyl)-5-(2-oxopyrrolidin-1-yl)benzamide
706795-71-3P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-isobutyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-72-4P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-isopropyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-73-5P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-isobutyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-74-6P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-75-7P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-76-8P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide
706795-78-0P, N-[1-Benzyl-3-(1,5-dimethylhexylamino)-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide formate
706795-79-1P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-ethynyl-5-(2-oxopyrrolidin-1-yl)benzamide
706795-80-4P, N-[1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide
706795-82-6P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-2-fluoro-3-(2-oxopyrrolidin-1-yl)-5-trifluoromethylbenzamide formate
706795-84-8P, 5-Cyclopentyl-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]benzamide formate
706795-86-0P, 5-Cyclopentyl-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide formate
706795-88-2P, 5-Cyclopentyl-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[[(1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluorobenzenamide formate
706795-90-6P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-5-[[[1-methylethyl]amino]benzamide formate
706795-93-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-5-[[[1-

methylethyl)amino]benzamide formate 706795-96-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluoro-5-[(1-methylethyl)amino]benzamide formate 706795-99-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]-5-[(1-methylethyl)amino]benzamide formate 706796-02-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-(tetrahydro-2H-pyran-4-ylamino)propyl]-5-[(1-methylethyl)amino]benzamide formate 706796-03-4P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3,5-bis(2-oxopyrrolidin-1-yl)benzamide 706796-04-5P, 3-Acetylamino-N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-05-6P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-[(methanesulfonyl)amino]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-06-7P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-isopropylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-07-8P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-propylaminobenzamide 706796-08-9P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-cyclopentylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-09-0P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-diethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-10-3P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-morpholin-4-yl-5-(2-oxopyrrolidin-1-yl)benzamide 706796-11-4P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(4-methylpiperazin-1-yl)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-12-5P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-piperidin-1-ylbenzamide 706796-13-6P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pyrrolidin-1-ylbenzamide 706796-14-7P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-(phenylamino)benzamide 706796-15-8P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-4-methoxy-3,5-bis(2-oxopyrrolidin-1-yl)benzamide 706796-16-9P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-4-chloro-3,5-bis(2-oxopyrrolidin-1-yl)benzamide 706796-17-0P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-18-1P, 3-((Benzyl)amino)-N-[(1S,2R)-1-benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-19-2P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(3-methylbutylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-20-5P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-cyclohexylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-21-6P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentylaminobenzamide 706796-22-7P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1-ethylpropylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-23-8P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-butylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-24-9P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2,2-dimethylpropylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-25-0P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(cyclopropylmethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-26-1P, 3-(N-Acetyl-N-propylamino)-N-[(1S,2R)-1-benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-27-2P 706796-29-4P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-nitro-5-(2-oxopyrrolidin-1-yl)benzamide formate 706796-30-7P, 3-Amino-N-[(1S,2R)-1-benzyl-3-[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-

yl)benzamide 706796-31-8P, 3-(N-Acetyl-N-isopropylamino)-N-[(1S,2R)-1-benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-32-9P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-[N-(methanesulfonyl)-N-propylamino]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-33-0P, N-[(1S,2R)-1-Benzyl-3-cyclopropylamino-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-35-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide formate 706796-36-3P, N-[(1S,2R)-1-Benzyl-3-cyclohexylamino-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-37-4P, N-[(1S,2R)-1-Benzyl-3-cyclohexylamino-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide formate 706796-39-6P, N-[(1S,2R)-1-Benzyl-3-ethylamino-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide formate 706796-40-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(4-methoxybenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-41-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-42-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-43-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(2,2,3,3,3-pentafluoropropylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-44-3P, N-[(1S,2R)-1-Benzyl-3-(2,2,3,3,4,4,4-heptafluorobutylamino)-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-45-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-((R)-1-phenylethylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-46-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-((S)-1-phenylethylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-47-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(2-methoxybenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-48-7P, N-[(1S,2R)-1-Benzyl-3-[[3,5-bis(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-49-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(R)-1-(3-methoxyphenyl)ethylamino]propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-50-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(S)-1-(3-methoxyphenyl)ethylamino]propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-51-2P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-isobutylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-52-3P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-dimethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-53-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(1-methyl-1-phenylethylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-54-5P, N-[(1S,2R)-1-Benzyl-3-tert-butylamino-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-55-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-trifluoromethoxybenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-56-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methylbutylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-57-8P, N-[(1S,2R)-3-Amino-1-benzyl-2-hydroxypropyl]-3-isopropylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-59-0P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-methylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-60-3P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-[N-(methanesulfonyl)-N-methylamino]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-61-4P, 3-(Acetylmethylamino)-N-[(1S,2R)-1-benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-62-5P, N-[(1S,2R)-1-Benzyl-3-cyclopentylamino-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-63-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(4-methylpentylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-64-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(5-methylhexylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-66-9P 706796-67-0P, N-(1-Benzyl-3-cyclobutylamino-2-hydroxypropyl)-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-68-1P, N-(1-Benzyl-3-cycloheptylamino-2-hydroxypropyl)-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-69-2P, N-[1-Benzyl-2-hydroxy-3-

(isobutylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide
 706796-70-5P, N-[1-Benzyl-2-hydroxy-3-(1,1,5-trimethylhexylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-71-6P,
 N-[1-Benzyl-2-hydroxy-3-(propylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-73-8P, N-[1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)-1-methylethyl]amino]propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide formate 706796-75-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-propoxybenzamide formate 706796-76-1P,
 N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-methoxy-5-(2-oxopyrrolidin-1-yl)benzamide 706796-77-2P,
 N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-isopropoxy-5-(2-oxopyrrolidin-1-yl)benzamide 706796-78-3P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-(3-hydroxypropoxy)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-79-4P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-(3-methoxypropoxy)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-80-7P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-(2-hydroxyethoxy)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-81-8P,
 N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-(2-methoxyethoxy)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-82-9P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-83-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(S)-1-(isobutylcarbonyl)pentyl]amino]propyl]-3-isopropoxy-5-(2-oxopyrrolidin-1-yl)benzamide 706796-84-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-isopropoxy-5-(2-oxopyrrolidin-1-yl)benzamide 706796-85-2P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-ethoxy-5-(2-oxopyrrolidin-1-yl)benzamide 706796-87-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(1-propylbutylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-88-5P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-89-6P,
 N-[(1S,2R)-1-Benzyl-3-benzylamino-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-90-9P, N-[(1S,2R)-1-Benzyl-3-ethylamino-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-91-0P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(phenethylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-92-1P 706796-93-2P,
 N-[(1S,2R)-1-Benzyl-3-cyclohexylamino-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-94-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(1-methylpiperidin-4-ylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-95-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methylbutylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-96-5P, N-[(1S,2R)-1-Benzyl-3-(1-ethylpropylamino)-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxycarbonylbenzamide 706796-97-6P 706796-98-7P,
 N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-ethoxy-5-(2-oxopyrrolidin-1-yl)benzamide 706797-00-4P, N-[1-Benzyl-3-(1,5-dimethylhexylamino)-2-hydroxypropyl]-3-ethoxy-5-(2-oxopyrrolidin-1-yl)benzamide formate 706797-01-5P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-ethoxy-5-(2-oxopyrrolidin-1-yl)benzamide 706797-02-6P,
 N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-ethoxy-5-(2-oxopyrrolidin-1-yl)benzamide 706797-03-7P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-methanesulfonyl-5-(2-oxopyrrolidin-1-yl)benzamide 706797-04-8P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(methanesulfonyl)-5-(2-oxopyrrolidin-1-yl)benzamide 706797-06-0P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(ethylsulfonyl)-5-(2-oxopyrrolidin-1-yl)benzamide 706797-08-2P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-ethanesulfonyl-5-(2-oxopyrrolidin-1-yl)benzamide 706797-10-6P
 , N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(methanesulfonyl)-5-(2-oxopyrrolidin-1-yl)benzamide 706797-12-8P,
 N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-5-(1,1-dioxoisothiazolidin-2-yl)-N',N'-

dipropylisophthalamide 706797-16-2P, 3-Aminomethyl-N-[(1S,2R)-1-benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-18-4P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-dimethylaminomethyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-20-8P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethenylbenzamide 706797-22-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethylbenzamide 706797-24-2P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(methoxymethyl)benzamide 706797-25-3P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethoxymethyl)benzamide 706797-28-6P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-propylbenzamide 706797-29-7P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-butyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-30-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(2-methylpropenyl)benzamide 706797-31-1P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-fluoromethylbenzamide 706797-32-2P, N-[1-Benzyl-3-[[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-isobutylbenzamide 706797-33-3P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-propylbenzamide 706797-35-5P, N-[1-Benzyl-3-(1,5-dimethylhexylamino)-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-propylbenzamide formate 706797-36-6P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-(1,1-dioxoisothiazolidin-2-yl)-5-propylbenzamide 706797-37-7P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-propylbenzamide 706797-38-8P, N-[1-Benzyl-3-[[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(1,1-dioxoisothiazolidin-2-yl)isophthalamide 706797-39-9P, N-[1-Benzyl-3-[[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-cyano-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-40-2P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-cyano-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-42-4P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethynylbenzamide formate 706797-43-5P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-nitro-5-(2-oxopiperidin-1-yl)benzamide 706797-44-6P, 3-Amino-N-[(1S,2R)-1-benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopiperidin-1-yl)benzamide 706797-45-7P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopiperidin-1-yl)-5-propylaminobenzamide 706797-46-8P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-diethylamino-5-(2-oxopiperidin-1-yl)benzamide 706797-47-9P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-ethylamino-5-(2-oxopiperidin-1-yl)benzamide 706797-48-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-methylamino-5-(2-oxopiperidin-1-yl)benzamide 706797-49-1P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopiperidin-1-yl)-5-piperidin-1-ylbenzamide 706797-50-4P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-morpholin-4-yl-5-(2-oxopiperidin-1-yl)benzamide 706797-51-5P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopiperidin-1-yl)-5-pyrrolidin-1-ylbenzamide 706797-52-6P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-isopropylaminobenzamide 706797-53-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(1S,2R)-2-hydroxy-1-(isobutylcarbamoyl)pentyl]amino]propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-isopropylaminobenzamide 706797-54-8P, 3-Benzylamino-N-[(1S,2R)-1-benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-isopropylaminobenzamide

no]-2-hydroxypropyl]-5-(1,1-dioxoisothiazolidin-2-yl)benzamide
 706797-55-9P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami
 no]-2-hydroxypropyl]-3-butylamino-5-(1,1-dioxoisothiazolidin-2-
 yl)benzamide 706797-56-0P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-
 (cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-
 dioxoisothiazolidin-2-yl)-5-(3-methylbutylamino)benzamide 706797-57-1P,
 N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-
 hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-phenethylaminobenzamide
 706797-58-2P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami
 no]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 pentylaminobenzamide 706797-59-3P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-
 (cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-
 dioxoisothiazolidin-2-yl)-5-propylaminobenzamide 706797-60-6P,
 N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-
 hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethylaminobenzamide
 706797-61-7P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami
 no]-2-hydroxypropyl]-3-diethylamino-5-(1,1-dioxoisothiazolidin-2-
 yl)benzamide 706797-62-8P 706797-63-9P 706797-64-0P,
 N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-
 hydroxypropyl]-3-(cyclopropylmethylamino)-5-(1,1-dioxoisothiazolidin-2-
 yl)benzamide 706797-66-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-
 methoxybenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 ethylaminobenzamide formate 706797-68-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-
 3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 ethylaminobenzamide formate 706797-69-5P, N-[(1S,2R)-1-Benzyl-3-
 cyclohexylamino-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 ethylaminobenzamide 706797-71-9P, N-[1-Benzyl-2-hydroxy-3-(3-
 trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 (morpholin-4-yl)benzamide formate 706797-73-1P, N-[(1S,2R)-1-Benzyl-2-
 hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-
 2-yl)-5-(pyrrolidin-1-yl)benzamide formate 706797-75-3P,
 N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-
 dioxoisothiazolidin-2-yl)-5-methylaminobenzamide formate 706797-76-4P,
 N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-
 hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide
 706797-77-5P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl
]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide 706797-79-7P,
 N-[1-Benzyl-3-(1,5-dimethylhexylamino)-2-hydroxypropyl]-3-(1,1-
 dioxoisothiazolidin-2-yl)-5-ethoxybenzamide formate 706797-80-0P,
 N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(1,1-
 dioxoisothiazolidin-2-yl)-5-ethoxybenzamide 706797-81-1P,
 N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-(1,1-dioxoisothiazolidin-
 2-yl)-5-ethoxybenzamide 706797-82-2P, N-[1-Benzyl-3-[[1-
 (cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-
 dioxoisothiazolidin-2-yl)-5-isopropoxybenzamide 706797-83-3P,
 N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-
 (1,1-dioxoisothiazolidin-2-yl)-5-propoxybenzamide 706797-84-4P,
 N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-
 (1,1-dioxoisothiazolidin-2-yl)-5-(pentoxy)benzamide 706797-85-5P,
 N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-
 (1,1-dioxoisothiazolidin-2-yl)-5-methoxybenzamide 706797-86-6P,
 N-(1-Benzyl-3-cyclopropylamino-2-hydroxypropyl)-3-(1,1-dioxoisothiazolidin-
 2-yl)-5-ethoxybenzamide 706797-87-7P, N-[1-Benzyl-2-hydroxy-3-(3-
 trifluoromethoxybenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 ethoxybenzamide 706797-88-8P, N-[1-Benzyl-2-hydroxy-3-(3-
 trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 (methylsulfanyl)benzamide 706797-89-9P, N-[1-Benzyl-2-hydroxy-3-(3-
 trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 (ethylsulfanyl)benzamide 706797-90-2P, N-[1-Benzyl-2-hydroxy-3-(3-
 trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 (ethanesulfonyl)benzamide 706797-91-3P, N-[1-Benzyl-2-hydroxy-3-(3-
 trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-
 (Methanesulfonyl)benzamide 706797-92-4P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-
 (cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopiperidin-1-yl)-
 N',N'-dipropylisophthalamide 706797-94-6P, 3-(1,1-Dioxotetrahydro-2H-1,2-

thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-
 [(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-[(1-
 methylethyl)amino]benzamide formate 706797-95-7P, 3-(1,1-Dioxotetrahydro-
 2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[[3-ethyl-5-
 isoxazolyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-
 fluorobenzamide 706797-96-8P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-
 yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-(tetrahydro-2H-pyran-4-
 ylamino)propyl]-1H-benzimidazole-6-carboxamide 706797-97-9P,
 8-(1,1-Dioxoisothiazolidin-2-yl)-4-ethyl-N-[(1S,2R)-2-hydroxy-1-
 (phenylmethyl)-3-(tetrahydro-2H-pyran-4-ylamino)propyl]-1,2,3,4-tetrahydro-
 6-quinoxalinecarboxamide 706797-98-0P, 8-(1,1-Dioxoisothiazolidin-2-yl)-
 4-ethyl-N-[(1S,2R)-3-[[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-
 (phenylmethyl)propyl]-1,2,3,4-tetrahydro-6-quinoxalinecarboxamide
 706797-99-1P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-
 [(1S,2R)-2-hydroxy-3-[(1-methylethyl)amino]-1-(phenylmethyl)propyl]-1H-
 benzimidazole-6-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's
 disease)

IT 706798-00-7P, 8-(1,1-Dioxoisothiazolidin-2-yl)-4-ethyl-N-[(1S,2R)-2-
 hydroxy-3-[(1-methylethyl)amino]-1-(phenylmethyl)propyl]-1,2,3,4-
 tetrahydro-6-quinoxalinecarboxamide 706798-01-8P, N-[(1S,2R)-1-Benzyl-3-
 [[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-5-(1,1-dioxo-
 1,2-thiazinan-2-yl)-N',N'-dipropylisophthalamide 706798-02-9P,
 N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-5-(1,1-dioxo-
 1,2-thiazinan-2-yl)-N',N'-dipropylisophthalamide 706798-03-0P,
 N-(1-Benzyl-3-cyclopropylamino-2-hydroxypropyl)-5-(1,1-dioxo-1,2-thiazinan-
 2-yl)-N',N'-dipropylisophthalamide 706798-04-1P, N-[1-Benzyl-2-hydroxy-3-
 (3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-
 propylbenzamide 706798-06-3P, N-[1-Benzyl-2-hydroxy-3-(3-
 methoxybenzylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-
 propylbenzamide formate 706798-08-5P, N-[1-Benzyl-3-(1,5-
 dimethylhexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-
 propylbenzamide formate 706798-09-6P, N-[1-Benzyl-3-[[1-
 (cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-
 thiazinan-2-yl)-5-propylbenzamide 706798-10-9P, N-[1-Benzyl-2-hydroxy-3-
 (3-methoxybenzylamino)propyl]-5-(1,1-dioxo-1,2-thiazinan-2-yl)-N',N'-
 dipropylisophthalamide 706798-12-1P, N-[1-Benzyl-2-hydroxy-3-(3-
 trifluoromethoxybenzylamino)propyl]-5-(1,1-dioxo-1,2-thiazinan-2-yl)-N',N'-
 dipropylisophthalamide formate 706798-14-3P, N-[1-Benzyl-2-hydroxy-3-(3-
 trifluoromethylbenzylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-
 ethylaminobenzamide formate 706798-17-6P, N-[1-Benzyl-2-hydroxy-3-(3-
 methoxybenzylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-
 ethylaminobenzamide formate 706798-19-8P, N-[1-Benzyl-3-(1,5-
 dimethylhexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-
 ethylaminobenzamide formate 706798-20-1P, N-[1-Benzyl-3-[[1-
 (cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-
 thiazinan-2-yl)-5-ethylaminobenzamide 706798-22-3P, N-[(1S,2R)-1-Benzyl-
 3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-
 2-yl)-5-(ethylamino)benzamide formate 706798-24-5P, N-[(1S,2R)-1-Benzyl-
 3-[(2-fluoro-5-methoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-
 thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-26-7P,
 N-[(1S,2R)-1-Benzyl-3-[(4-fluoro-3-methoxybenzyl)amino]-2-hydroxypropyl]-3-
 (1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate
 706798-28-9P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dimethylbenzyl)amino]-2-
 hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide
 formate 706798-29-0P, N-[(1S,2R)-1-Benzyl-3-[(3,5-difluorobenzyl)amino]-
 2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide
 706798-30-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-nitro-5-
 (trifluoromethyl)benzyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-
 (ethylamino)benzamide 706798-31-4P, N-[(1S,2R)-1-Benzyl-3-[[[5-
 cyanopyridin-3-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-
 thiazinan-2-yl)-5-(ethylamino)benzamide 706798-33-6P,

N-[(1S,2R)-1-Benzyl-3-[(3-chloro-5-methoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-34-7P, N-[(1S,2R)-1-Benzyl-3-[(3-bromo-5-fluorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-35-8P, 5-[[[(2R,3S)-3-[[3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzoyl]amino]-2-hydroxy-4-phenylbutyl]amino]methyl]-N-methylnicotinamide 706798-37-0P, N-[(1S,2R)-1-Benzyl-3-[(3-bromo-5-methoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-38-1P 706798-39-2P, N-[(1S,2R)-1-Benzyl-3-[(3,5-di-tert-butylbenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-41-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-methyl-5-(methylsulfonyl)benzyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-43-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxy-5-methylbenzyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-45-0P, Dimethyl 5-[[[(2R,3S)-3-[[3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzoyl]amino]-2-hydroxy-4-phenylbutyl]amino]methyl]isophthalate 706798-47-2P, N-[(1S,2R)-1-Benzyl-3-[(3,5-diisopropoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-48-3P, N-[(1S,2R)-1-Benzyl-3-[[4-bromo-2-thienyl]methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-49-4P, N-[(1S,2R)-1-Benzyl-3-[(2,3-dihydro-1-benzofuran-6-ylmethyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-51-8P, N-[(1S,2R)-1-Benzyl-3-[[4-chloro-1-methyl-1H-pyrazol-3-yl]methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-52-9P, N-[(1S,2R)-1-Benzyl-3-[[2-bromo-1,3-thiazol-5-yl]methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-53-0P, N-[(1S,2R)-1-Benzyl-3-[[4-bromo-1H-pyrrol-2-yl]methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-55-2P, N-[(1S,2R)-1-Benzyl-3-[[2-butyl-1H-imidazol-4-yl]methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-56-3P, N-[(1S,2R)-1-Benzyl-3-[(3-bromobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-57-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-58-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-thienylmethyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-59-6P, N-[(1S,2R)-1-Benzyl-3-[[4-bromo-1-methyl-1H-pyrazol-3-yl]methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-60-9P, N-[(1S,2R)-1-Benzyl-3-[[3-fluoro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-62-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-vinylbenzyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-64-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-methoxy-3-thienyl]methyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-66-5P, 3-[[[(2R,3S)-3-[[3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzoyl]amino]-2-hydroxy-4-phenylbutyl]amino]methyl]benzoic acid formate 706798-69-8P, N-[(1S,2R)-1-Benzyl-3-[(3,4-dimethoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-71-2P, N-[(1S,2R)-1-Benzyl-3-[[5-ethyl-2-furyl]methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-73-4P, N-[(1S,2R)-1-Benzyl-3-[(2,3-dihydro-1,4-benzodioxin-6-ylmethyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-75-6P, N-[(1S,2R)-1-Benzyl-3-[(3-ethoxy-4-methoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-77-8P, N-[(1S,2R)-1-Benzyl-3-[[5-ethyl-2-thienyl]methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-79-0P, N-[(1S,2R)-1-Benzyl-3-[(3-chloro-4-fluorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-80-3P, 3-(1,1-Dioxotetrahydro-2H-

1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide
 706798-81-4P, N-[(1S,2R)-1-Benzyl-3-[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-83-6P, N-[(1S,2R)-1-Benzyl-3-[[1-ethyl-3-methyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-85-8P,
 N-[(1S,2R)-1-Benzyl-3-[[2,2-dimethyl-3,4-dihydro-2H-chromen-6-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-87-0P 706798-89-2P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[6-methylpyridin-2-yl)methyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-91-6P, N-[(1S,2R)-1-Benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-92-7P, N-[(1S,2R)-1-Benzyl-3-[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-2-fluorobenzamide 706798-94-9P,
 N-[(1S,2R)-1-Benzyl-3-[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706798-96-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxy-4-methylbenzyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-98-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxy-2-methylbenzyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-99-4P
 706799-00-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1-propylbutyl)amino]propyl]benzamide hydrochloride 706799-01-1P 706799-02-2P 706799-03-3P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706799-04-4P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-(propylamino)propyl]benzamide hydrochloride 706799-05-5P 706799-06-6P
 706799-07-7P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[(4-methylpentyl)amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706799-08-8P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[(5-methylhexyl)amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706799-09-9P 706799-10-2P 706799-11-3P,
 N-[(1S,2R)-3-[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-12-4P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)amino]propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-13-5P, N-[(1S,2R)-3-[[3-Bromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-14-6P,
 N-[(1S,2R)-3-[[3-(Ethoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-15-7P, N-[(1S,2R)-3-[[3-Chlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-16-8P,
 N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-17-9P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethoxy)-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-18-0P,
 N-[(1S,2R)-3-[[3,5-Dichlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-19-1P, N-[(1S,2R)-3-[[3,5-Difluorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-20-4P,
 N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-22-6P, N-[(1S,2R)-3-[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-24-8P, N-[(1S,2R)-2-Hydroxy-3-[[3-

methylphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-26-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-27-1P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-29-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-31-7P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-33-9P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-[1-methylethoxy]benzamide hydrochloride 706799-35-1P, N-[(1S,2R)-3-[[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-37-3P, 3-Cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]benzamide hydrochloride 706799-39-5P, 3-Cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706799-41-9P, 3-Cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]benzamide hydrochloride 706799-43-1P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)benzamide hydrochloride 706799-45-3P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)benzamide hydrochloride 706799-46-4P, 3-Cyclopentyl-N-[(1S,2R)-3-[[[3,5-dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)benzamide hydrochloride 706799-47-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]benzamide hydrochloride 706799-49-7P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706799-50-0P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706799-52-2P, N-[(1S,2R)-3-[[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706799-53-3P, 3-(Ethoxy)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-55-5P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethoxy)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-56-6P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethoxy)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-58-8P, N-[(1S,2R)-3-[[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethoxy)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-60-2P, 3-Cyclopentyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-62-4P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-64-6P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-66-8P, 3-Cyclopentyl-N-[(1S,2R)-3-[[[3,5-

dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-68-0P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethylamino)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-70-4P, N-[(1S,2R)-3-[[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethylamino)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-72-6P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethoxy)benzamide hydrochloride 706799-74-8P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethoxy)benzamide hydrochloride 706799-76-0P, N-[(1S,2R)-3-[[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethoxy)benzamide hydrochloride 706799-78-2P, 3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-80-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-1-[[[3-(methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-82-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-84-0P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-86-2P, N-[(1S,2R)-3-[[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-88-4P, 3-Cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]benzamide hydrochloride 706799-89-5P, 3-Cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[[3-(methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706799-91-9P, 3-Cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]benzamide hydrochloride 706799-93-1P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide hydrochloride 706799-95-3P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide hydrochloride 706799-97-5P, 3-Cyclopentyl-N-[(1S,2R)-3-[[[3,5-dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(1,1-dioxoisothiazolidin-2-yl)benzamide hydrochloride 706799-99-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]benzamide hydrochloride 706800-00-2P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-02-4P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-04-6P, N-[(1S,2R)-3-[[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-06-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]benzamide hydrochloride 706800-08-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[[3-(methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-10-4P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]benzamide hydrochloride 706800-11-5P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)benzamide

hydrochloride 706800-12-6P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)benzamide hydrochloride 706800-13-7P, N-[(1S,2R)-3-[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)benzamide hydrochloride 706800-14-8P 706800-15-9P 706800-16-0P 706800-17-1P, N-[(1S,2R)-1-Benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide hydrochloride 706800-18-2P, N-[(1S,2R)-1-Benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-19-3P 706800-20-6P 706800-21-7P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide 706800-22-8P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide 706800-23-9P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-isopropoxybenzamide 706800-24-0P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706800-25-1P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-ethoxybenzamide 706800-26-2P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-isopropoxybenzamide 706800-27-3P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-cyclopentyl-5-(1,1-dioxo-1,2-thiazinan-2-yl)benzamide 706800-28-4P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide hydrochloride 706800-29-5P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-30-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(4-methylpentyl)cyclopropyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-31-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[(1-ethylcyclopropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-32-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(1-methylethyl)cyclopropyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-33-1P, N-[(1S,2R)-3-(Butylamino)-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-34-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1-propylcyclopropyl)amino]propyl]benzamide hydrochloride 706800-35-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(3-methylbutyl)cyclopropyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-36-4P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(2-methylpropyl)cyclopropyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-37-5P, N-[(1S,2R)-3-[[1-[(3-Chlorophenyl)methyl]cyclopropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-38-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1-methylcyclohexyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-39-7P 706800-40-0P, N-[(1S,2R)-1-Benzyl-3-[(4,4-dimethylcyclohexyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-41-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1R)-1,2,2-trimethylpropyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-42-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1S)-1,2,2-trimethylpropyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-43-3P 706800-44-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(pentylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-45-5P, N-[(1S,2R)-1-Benzyl-3-(hexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-46-6P, N-[(1S,2R)-1-Benzyl-3-[(3,3-dimethylbutyl)amino]-2-

hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-47-7P, N-[(1S,2R)-1-Benzyl-3-[(1,1-dimethylpropyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-48-8P, N-[(1S,2R)-1-Benzyl-3-[(cyclopropylmethyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-49-9P 706800-50-2P, N-[(1S,2R)-1-Benzyl-3-(ethylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-51-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(methylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-52-4P, N-[(1S,2R)-1-Benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-53-5P, N-[(1S,2R)-3-(1-Adamantylamino)-1-benzyl-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-54-6P 706800-55-7P 706800-56-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(3-methoxyphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-57-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-methoxyphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-58-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-methoxyphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-59-1P, N-[(1S,2R)-1-Benzyl-3-[[2-(2-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-60-4P, N-[(1S,2R)-1-Benzyl-3-[[2-(3-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-61-5P, N-[(1S,2R)-1-Benzyl-3-[[2-(4-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-62-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-methylphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-63-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-methylphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-64-8P, N-[(1S,2R)-1-Benzyl-3-[[2-(3,4-dichlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-66-0P, N-[(1S,2R)-1-Benzyl-3-[[2-(2,4-dichlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-68-2P, N-[(1S,2R)-1-Benzyl-3-[[2-(3,5-dimethoxyphenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)

IT 706800-69-3P, N-[(1S,2R)-1-Benzyl-3-[[2-(2,3-dimethoxyphenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-71-7P, N-[(1S,2R)-1-Benzyl-3-(benzylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-73-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-74-0P, N-[(1S,2R)-1-Benzyl-3-[(1-ethylcyclohexyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-76-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1-methylcyclopentyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-78-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1-propylcyclopentyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-79-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1-propylcyclohexyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-80-8P, N-[(1S,2R)-1-Benzyl-3-[[2-(3-chlorophenyl)-1,1-dimethylethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-81-9P, 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-

(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(pyridin-3-ylmethyl)propyl]benzamide hydrochloride 706800-82-0P,
 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]benzamide hydrochloride 706800-83-1P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(1,3-thiazol-2-ylmethyl)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-84-2P 706800-85-3P,
 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-N-[(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]benzamide hydrochloride 706800-86-4P, N-[(1S,2R)-3-(Cyclohexylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-87-5P 706800-88-6P,
 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-N-[(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]benzamide hydrochloride 706800-89-7P 706800-90-0P, N-[(1S,2R)-1-[(4-Chlorophenyl)methyl]-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-91-1P, N-[(1S,2R)-1-[(4-Chlorophenyl)methyl]-2-hydroxy-3-[(3-methoxyphenyl)methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-92-2P,
 3-Cyclopentyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706800-93-3P, N-[(1S,2R)-1-[(3,5-Difluorophenyl)methyl]-2-hydroxy-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-94-4P, N-[(1S,2R)-1-[(3,5-Difluorophenyl)methyl]-2-hydroxy-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-95-5P 706800-96-6P, N-[(1S,2R)-3-(Cyclohexylamino)-1-[(3,5-difluorophenyl)methyl]-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-97-7P, N-[(1S,2R)-1-[(3,5-Difluorophenyl)methyl]-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-98-8P,
 N-[(1S,2R)-1-[(3,4-Difluorophenyl)methyl]-2-hydroxy-3-[[3-(3-methoxyphenyl)methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-99-9P,
 N-[(1S,2R)-3-(Cyclohexylamino)-1-[(3,4-difluorophenyl)methyl]-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-00-5P, N-[(1S,2R)-1-[(3,4-Difluorophenyl)methyl]-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-01-6P, N-[(1S,2R)-1-[(3-Chlorophenyl)methyl]-2-hydroxy-3-[[3-(3-methoxyphenyl)methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-02-7P,
 N-[(1S,2R)-1-[(3-Chlorophenyl)methyl]-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-03-8P, N-[(1S,2R)-1-[(2-Chlorophenyl)methyl]-2-hydroxy-3-[[3-(3-methoxyphenyl)methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-04-9P,
 N-[(1S,2R)-1-[(2-Chlorophenyl)methyl]-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-05-0P 706801-06-1P 706801-07-2P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-1-[(3-fluorophenyl)methyl]-2-hydroxy-3-[[3-(3-methoxyphenyl)methyl]amino]propyl]benzamide hydrochloride 706801-08-3P 706801-09-4P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-(3-methoxyphenyl)methyl]amino]-1-(2-thienylmethyl)propyl]benzamide hydrochloride 706801-10-7P
 706801-11-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-(3-methoxyphenyl)methyl]amino]-1-(1H-pyrazol-1-yl)methyl]propyl]benzamide hydrochloride 706801-12-9P 706801-13-0P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-(3-methoxyphenyl)methyl]amino]-1-(3-thienylmethyl)propyl]benzamide hydrochloride 706801-14-1P

706801-16-3P, N-[(1S,2R)-1-Benzyl-3-[(1,1-dimethylhexyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate
 706801-18-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-20-9P 706801-22-1P
 706801-24-3P 706801-25-4P 706801-27-6P 706801-28-7P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(isobutylthio)-1,1-dimethylethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-29-8P, N-[(1S,2R)-1-Benzyl-3-[(1,1-dimethyl-2-phenoxyethyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-30-1P, N-[(1S,2R)-1-Benzyl-3-[[2-(benzyloxy)-1,1-dimethylethyl]amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-31-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxyphenyl)amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-33-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-35-6P, N-[(1S,2R)-1-Benzyl-3-[(1,1-dimethyl-2-phenylethyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-37-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(1-naphthyl)ethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-39-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(3-methoxyphenyl)-1,1-dimethylethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-40-3P,
 N-[(1S,2R)-3-Anilino-1-benzyl-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-41-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)cyclopropyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-43-6P, N-[(1S,2R)-1-Benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-45-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(tetrahydro-2H-pyran-4-ylmethyl)amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-46-9P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(tetrahydro-2H-thiopyran-4-ylamino)propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-48-1P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-3-ethyl-7-(2-oxopyrrolidin-1-yl)-1H-indole-5-carboxamide formate 706801-50-5P,
 N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-3-ethyl-7-(2-oxopyrrolidin-1-yl)-1H-indole-5-carboxamide formate 706801-52-7P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]-3-ethyl-7-(2-oxopyrrolidin-1-yl)-1H-indole-5-carboxamide formate 706801-54-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-55-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide 706801-57-2P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-59-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-61-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)-1-methylethyl]amino]propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-63-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-64-1P 706801-65-2P
 706801-66-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(isobutoxy)-1,1-dimethylethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-67-4P, N-[(1S,2R)-1-Benzyl-3-[[1,1-dimethyl-2-[(2-methylprop-2-en-1-yl)oxy]ethyl]amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-68-5P 706801-69-6P 706801-70-9P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[ethyl(methyl)amino]-5-(2-oxopyrrolidin-1-yl)benzamide 706801-71-0P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)-1-methylethyl]amino]propyl]-3-[ethyl(methyl)amino]-5-(2-oxopyrrolidin-1-yl)benzamide 706801-73-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)cyclohexyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-75-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-

(3-methoxyphenyl)cyclohexyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706801-77-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1H-pyrazol-4-yl)methyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706801-79-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1H-pyrazol-4-yl)methyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-81-2P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706801-83-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(tetrahydro-2H-pyran-4-ylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706801-85-6P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-3-cyclopentyl-5-(1,1-dioxo-1,2-thiazinan-2-yl)benzamide formate 706801-87-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(tetrahydro-2H-pyran-4-ylamino)propyl]-3-cyclopentyl-5-(1,1-dioxo-1,2-thiazinan-2-yl)benzamide formate 706801-89-0P, N-[(1S,2R)-1-Benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-2-fluorobenzamide formate 706801-90-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1,1,3,3-tetramethylbutyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-2-fluorobenzamide 706801-92-5P 706801-94-7P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706801-96-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706801-98-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706802-00-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706802-01-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide 706802-03-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1,1,5-trimethylhexyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706802-05-3P 706802-07-5P, 3-(Ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-(2-oxo-1-piperidinyl)benzamide formate 706802-09-7P 706802-10-0P, 3-(Ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-5-(2-oxo-1-piperidinyl)benzamide 706802-12-2P, N-[(1S,2R)-2-Hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-piperidinyl)-5-propylbenzamide formate 706802-14-4P 706802-15-5P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-3-(2-oxo-1-piperidinyl)-5-propylbenzamide 706802-16-6P 706802-17-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]benzamide 706802-19-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(1-methylethyl)-1H-pyrazol-4-yl)methyl]amino]-1-(phenylmethyl)propyl]benzamide formate 706802-21-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1-(2,2,2-trifluoroethyl)-1H-pyrazol-4-yl)methyl]amino]propyl]benzamide formate 706802-23-5P, 5-(Ethylamino)-2-fluoro-N-[(1R,2S)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide formate 706802-24-6P, 3-(Ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide 706802-25-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706802-28-0P, 3-(Ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-

(phenylmethyl)propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide 706802-29-1P,
 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-
 1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide
 706802-30-4P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-
 (trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-7-(2-oxo-1-
 pyrrolidinyl)-1H-indole-5-carboxamide 706802-31-5P, 3-(1,1-
 Dioxoisothiazolidin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-
 (3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide
 706802-32-6P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-
 methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-7-(2-oxo-1-
 pyrrolidinyl)-1H-indole-5-carboxamide 706802-33-7P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-
 [(1S,2R)-2-hydroxy-3-[[3-(3-methoxyphenyl)methyl]amino]-1-
 (phenylmethyl)propyl]benzamide 706802-34-8P, 3-(1,1-Dioxotetrahydro-2H-
 1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-
 (phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]benzamid
 e 706802-35-9P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-
 [(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-
 1-(phenylmethyl)propyl]benzamide 706802-36-0P, 3-(1,1-
 Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-
 1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide
 706802-37-1P, 5-(Ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-
 (phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-3-(2-
 oxo-1-pyrrolidinyl)benzamide 706802-38-2P, 2-Fluoro-N-[(1S,2R)-2-hydroxy-
 3-[[[3-(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-
 pyrrolidinyl)-5-propylbenzamide 706802-39-3P, 2-Fluoro-N-[(1S,2R)-2-
 hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propy
 l]-3-(2-oxo-1-pyrrolidinyl)-5-propylbenzamide 706802-40-6P
 706802-41-7P 706802-42-8P 706802-43-9P, 5-(Ethylamino)-2-fluoro-N-
 [(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-
 1-(phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide 706802-44-0P,
 5-(Ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-
 trimethylhexyl)amino]propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide
 706802-45-1P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-
 (phenylmethyl)propyl]-5-(ethylamino)-2-fluoro-3-(2-oxo-1-
 pyrrolidinyl)benzamide 706802-47-3P, 5-(Ethylamino)-2-fluoro-N-[(1S,2R)-
 2-hydroxy-3-[(1-methylethyl)amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-
 pyrrolidinyl)benzamide 706802-49-5P, 5-(Ethylamino)-2-fluoro-N-[(1S,2R)-
 2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-
 (phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide 706802-52-0P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-
 [(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-
 trimethylhexyl)amino]propyl]benzamide 706802-55-3P, N-[(1S,2R)-3-
 (Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-
 2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluorobenzamide 706802-58-6P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-
 [(1S,2R)-2-hydroxy-3-[(1-methylethyl)amino]-1-
 (phenylmethyl)propyl]benzamide 706802-61-1P, 4-(1,1-Dioxoisothiazolidin-
 2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-(3-methoxyphenyl)methyl]amino]-1-
 (phenylmethyl)propyl]-1H-indazole-6-carboxamide 706802-64-4P,
 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-
 (phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-1H-
 indazole-6-carboxamide 706802-67-7P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-
 ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-
 (phenylmethyl)propyl]-1H-indazole-6-carboxamide 706802-69-9P,
 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-
 1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-1H-
 indazole-6-carboxamide 706802-71-3P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-
 ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-
 trimethylhexyl)amino]propyl]-1H-indazole-6-carboxamide 706802-73-5P,
 N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-4-(1,1-
 dioxoisothiazolidin-2-yl)-1-ethyl-1H-indazole-6-carboxamide
 706802-75-7P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-
 hydroxy-3-[(1-methylethyl)amino]-1-(phenylmethyl)propyl]-1H-indazole-6-
 carboxamide 706802-77-9P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-

methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-79-1P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-81-5P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-(trifluoromethyl)phenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-83-7P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-3-ethyl-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-85-9P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-86-0P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide formate 706802-89-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]benzamide formate 706802-90-6P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluorobenzamide 706802-92-8P, 7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1H-indole-5-carboxamide 706802-93-9P, 7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-1-methyl-1H-indole-5-carboxamide 706802-94-0P, 7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1H-indole-5-carboxamide 706802-95-1P, 7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-(trifluoromethyl)phenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1H-indole-5-carboxamide 706802-96-2P, 7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]-1-methyl-1H-indole-5-carboxamide 706802-98-4P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1-methyl-1H-indole-5-carboxamide 706802-99-5P, 3-(Ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-methyl-5-(2-oxo-1-pyrrolidinyl)benzamide 706803-00-1P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-methylbenzamide 706803-01-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-methylbenzamide 706803-02-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-methoxybenzamide 706803-03-4P, 3-(Ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-methoxy-5-(2-oxo-1-pyrrolidinyl)benzamide 706803-04-5P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-methoxybenzamide 706803-05-6P, 3-(Diethylamino)-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-methylbenzamide 706803-06-7P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-methoxy-5-(1E)-1-propen-1-yl)benzamide 706803-07-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-methoxy-5-propylbenzamide 706803-08-9P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-09-0P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-10-3P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-11-4P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-

carboxamide 706803-12-5P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-13-6P, N-[(1S,2R)-2-Hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-(1-methylethyl)-5-(2-oxo-1-pyrrolidinyl)benzamide 706803-14-7P, N-[(1S,2R)-2-Hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1-methyl-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-15-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide 706803-17-0P, 1-Butyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-19-2P, N-[(1S,2R)-2-Hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1-pentyl-1H-indole-6-carboxamide 706803-21-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-23-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]benzamide 706803-25-0P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-27-2P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-29-4P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-31-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-33-0P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-35-2P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-1H-indole-6-carboxamide 706803-37-4P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-39-6P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-41-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-2-methoxybenzamide 706803-43-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-2-methoxybenzamide 706803-45-4P, 5-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N'-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl-1,3-benzenedicarboxamide 706803-47-6P, 5-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N'-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl-1,3-benzenedicarboxamide 706803-49-8P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxamide 706803-51-2P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxamide 706803-53-4P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxamide 706803-55-6P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's

disease)

IT 706803-57-8P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-
[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-
(phenylmethyl)propyl]-2,3-dihydro-1H-indole-6-carboxamide 706803-59-0P,
4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-
(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]-1H-indole-6-
carboxamide 706803-61-4P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-
[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]-
1H-indole-6-carboxamide 706803-63-6P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-
(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]-4-(2-oxo-1-
pyrrolidinyl)-1H-indole-6-carboxamide 706803-65-8P, N-[(1S,2R)-3-
(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-4-(1,1-dioxotetrahydro-
2H-1,2-thiazin-2-yl)-1-ethyl-1H-indole-6-carboxamide 706803-67-0P,
N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-4-(1,1-
dioxoisothiazolidin-2-yl)-1-ethyl-1H-indole-6-carboxamide 706803-69-2P,
N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-1-ethyl-4-
(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-71-6P,
4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-
(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-1H-
indole-6-carboxamide 706803-73-8P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-
2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-
methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide
706803-75-0P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-
[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-
1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-77-2P,
7-[Acetyl(ethyl)amino]-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-
(trifluoromethyl)phenyl)methyl]amino]propyl]-3-methyl-1-benzofuran-5-
carboxamide 706803-80-7P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[3-
(trifluoromethyl)phenyl)methyl]amino]propyl]-3-methyl-7-(2-oxo-1-
pyrrolidinyl)-1H-indole-5-carboxamide formate 706803-82-9P,
N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methy
l]amino]propyl]-3-(1-methylethyl)-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-
carboxamide 706803-85-2P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[3-
(trifluoromethyl)phenyl)methyl]amino]propyl]-1-methyl-3-(1-methylethyl)-7-
(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide formate 706803-87-4P,
3-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-
(trifluoromethyl)phenyl)methyl]amino]propyl]-7-(2-oxo-1-pyrrolidinyl)-1-
benzofuran-5-carboxamide 706803-90-9P 706803-92-1P,
3-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-
(trifluoromethyl)phenyl)methyl]amino]propyl]-7-(2-oxo-1-pyrrolidinyl)-1H-
indole-5-carboxamide 706803-94-3P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-1-
(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-1-
methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706803-96-5P,
7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[(1S,2R)-2-hydroxy-1-
(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-1H-
indole-5-carboxamide 706803-98-7P, N-[(1S,2R)-2-Hydroxy-3-[[3-
methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-(1-methylethyl)-7-(2-
oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706804-01-5P,
3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-
(phenylmethyl)propyl]-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide
formate 706804-04-8P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-
methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-
pyrrolidinyl)-1H-benzimidazole-6-carboxamide formate 706804-07-1P,
7-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-3-ethyl-N-[(1S,2R)-2-hydroxy-3-
[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1H-indole-5-
carboxamide formate 706804-10-6P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-
methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-7-(2-oxo-1-
piperidinyl)-1H-indole-5-carboxamide formate 706804-12-8P 706804-14-0P
706804-16-2P 706804-18-4P 706804-20-8P 706804-22-0P 706804-25-3P,
1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-
(trifluoromethyl)phenyl)methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-
benzotriazole-6-carboxamide formate 706804-28-6P, 4-(1,1-Dioxotetrahydro-
2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-
methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1H-benzimidazole-6-
carboxamide formate 706804-30-0P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-

(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxamide 706804-32-2P,
 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-(trifluoromethyl)phenyl)ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxamide 706804-34-4P,
 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxamide 706804-38-8P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxamide formate 706804-41-3P
 706804-43-5P 706804-46-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[[5-ethyl-3-thienyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide formate 706804-49-1P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[[4-ethyl-2-thienyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide formate 706804-52-6P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[[1-ethyl-1H-pyrazol-3-yl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide formate 706804-55-9P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[1-propyl-1H-pyrazol-4-yl]methyl]amino]propyl]benzamide formate 706804-57-1P,
 N-[(1S,2R)-3-[(Bicyclo[2.2.2]octan-1-yl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706804-60-6P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[[5-ethenyl-3-thienyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(ethylamino)benzamide formate 706804-63-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[[4-ethenyl-2-furanyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(ethylamino)benzamide formate 706804-66-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[1-(2-propen-1-yl)-1H-pyrazol-4-yl]methyl]amino]propyl]benzamide formate 706804-69-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[[4-ethenyl-2-thienyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(ethylamino)benzamide formate 706804-71-9P
 706804-73-1P 706804-77-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[[1-ethyl-1H-pyrazol-4-yl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-propylbenzamide 706804-80-0P,
 N-[(1S,2R)-3-[(4,4-Difluorocyclohexyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluorobenzamide formate 706804-82-2P,
 4-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-8-(2-oxo-1-pyrrolidinyl)-1,2,3,4-tetrahydro-6-quinoxalinecarboxamide 706804-84-4P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-1H-benzimidazole-6-carboxamide 706804-86-6P
 706804-89-9P 706804-92-4P 706804-96-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-3-[[[1-ethyl-1H-pyrazol-4-yl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluoro-5-propylbenzamide formate 706804-98-0P,
 3-(1,1-Dioxoisothiazolidin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[1,1,5-trimethylhexyl]amino]propyl]-5-propylbenzamide 706805-00-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-5-propylbenzamide 706805-02-9P,
 3-(1,1-Dioxoisothiazolidin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-5-propylbenzamide 706805-04-1P, N-[(1S,2R)-3-[[[Bicyclo[2.2.1]heptan-1-yl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706805-07-4P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[[1-ethyl-1H-pyrazol-4-yl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluoro-5-propylbenzamide formate 706805-10-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-(trifluoromethyl)phenyl)ethyl]amino]-1-(phenylmethyl)propyl]-5-propylbenzamide formate 706805-13-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-

thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-5-propylbenzamide
 706805-14-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-5-propylbenzamide 706805-15-4P,
 N-[(1S,2R)-3-[[[1-Ethyl-1H-pyrazol-4-yl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluoro-3-(2-oxo-1-pyrrolidinyl)-5-propylbenzamide
 706805-16-5P 706805-17-6P 706805-19-8P 706805-21-2P 706805-23-4P,
 2-Fluoro-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)-5-propylbenzamide formate
 706805-24-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[[1-ethylcyclobutyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide hydrochloride 706805-25-6P,
 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[1-propylcyclobutyl]amino]propyl]benzamide hydrochloride 706805-26-7P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[[1-(1-methylethyl)cyclobutyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706805-27-8P,
 N-[(1S,2R)-3-[[[1-[[3-Chlorophenyl]methyl]cyclobutyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706819-19-4P 706819-20-7P
 706819-21-8P 706819-22-9P 708270-81-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)

IT 62-53-3, Aniline, reactions 75-03-6, Iodoethane 75-07-0, Acetaldehyde, reactions 75-30-9, 2-Iodopropane 78-81-9, Isobutylamine 96-22-0, 3-Pentanone 100-39-0, Benzyl bromide 107-10-8, Propylamine, reactions 108-91-8, Cyclohexylamine, reactions 108-94-1, Cyclohexanone, reactions 108-95-2, Phenol, reactions 109-01-3, 1-Methylpiperazine 110-83-8, Cyclohexene, reactions 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 115-19-5, 2-Methyl-3-butyne-2-ol 118-97-8, 4-Chloro-3,5-dinitrobenzoic acid 123-11-5, 4-Methoxybenzaldehyde, reactions 123-19-3, 4-Heptanone 123-38-6, Propionaldehyde, reactions 123-75-1, Pyrrolidine, reactions 124-68-5, 2-Amino-2-methyl-1-propanol 142-29-0, Cyclopentene 142-84-7, Dipropylamine 445-29-4, 2-Fluorobenzoic acid 501-53-1, Benzyl chloroformate 616-45-5, Pyrrolidin-2-one 618-84-8, 3-Amino-5-nitrobenzoic acid 627-39-4, Propanal oxime 870-24-6, 2-Chloroethylamine hydrochloride 870-63-3, 1-Bromo-3-methyl-2-butene 1198-97-6, 4-Phenyl-2-pyrrolidinone 1458-98-6, 3-Bromo-2-methyl-1-propene 1530-32-1, (Ethyl)triphenylphosphonium bromide 1575-61-7, 5-Chlorovaleryl chloride 1633-82-5, 3-Chloropropanesulfonyl chloride 1633-83-6, 1,2-Oxathiane 2,2-dioxide 1955-46-0, 5-Nitroisophthalic acid monomethyl ester 2450-71-7, 2-Propyn-1-amine 2488-15-5, (S)-2-[(tert-Butoxycarbonyl)amino]-4-(methylsulfonyl)butyric acid 2552-45-6, 4-Chloro-3,5-dinitrobenzoic acid methyl ester 2916-68-9, 2-Trimethylsilylethanol 3337-66-4, Methyl 4-hydroxy-3,5-diiodobenzoate 3973-63-5, 5-Phenyl-2-piperidinone 4255-62-3, 4,4-Dimethylcyclohexanone 4635-59-0, 4-Chlorobutyryl chloride 4637-24-5 4799-68-2, 3-Benzyloxypropanol 5162-44-7, 4-Bromo-1-butene 7143-01-3, Methanesulfonic anhydride 7221-27-4, 4-Amino-3,5-dinitrobenzoic acid 13036-02-7, Dimethyl 5-hydroxyisophthalate 14321-27-8, Ethylbenzylamine 14418-84-9, 2-Propenesulfonyl chloride 16420-13-6, Dimethylthiocarbamoyl chloride 16533-71-4, 4-Methyl-3,5-dinitrobenzoic acid 36282-40-3, 3-Methoxyphenylmagnesium bromide 40872-87-5, 3-Amino-4-chlorobenzoic acid methyl ester 76918-64-4, Ethyl 4-amino-3-nitrobenzoate 102520-97-8, 1,1-Dimethylethyl (2-hydroxy-1,1-dimethylethyl)carbamate 133778-13-9, 4-Phenyltetrahydro-2H-1,2-thiazine 1,1-dioxide 179321-49-4, 1,1-Dimethylethyl (4-oxocyclohexyl)carbamate 188815-32-9, 3-Bromo-5-iodobenzoic acid 208932-22-3, (2S,3R)-3-Hydroxy-2-((1S)-2-hydroxy-1-phenylethylamino)hexanoic acid methyl ester 367946-80-3, Methyl 2-fluoro-3,5-dinitrobenzoate 388074-61-1, (2R,3S)-3-Amino-4-

phenyl-1-(3-trifluoromethylbenzylamino)butan-2-ol 537658-03-0,
 3-Bromo-2-fluoro-5-(trifluoromethyl)benzoic acid methyl ester
 537658-47-2, 5-(2-Oxopyrrolidin-1-yl)isophthalic acid monomethyl ester
 706791-97-1, 1-[3-Amino-5-(1,1-dioxoisothiazolidin-2-yl)phenyl]propan-1-
 one 706792-53-2, Methyl 3-amino-5-propylbenzoate 706792-72-5, Methyl
 4-methoxy-3-nitro-5-(1-propen-1-yl)benzoate 706792-74-7,
 3-Amino-4-methoxy-5-(1-propen-1-yl)benzoate 706793-08-0, Methyl
 4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1H-indole-6-carboxylate
 706794-13-0, Methyl 3-[[[4-chlorobutyl)sulfonyl]amino]-4-methoxy-5-(1-
 propen-1-yl)benzoate 706794-20-9, Ethyl 3-ethyl-7-(2-oxo-1-pyrrolidinyl)-
 1H-indole-5-carboxylate 706794-31-2, Methyl 3-iodo-5-(2-oxo-1-
 pyrrolidinyl)-4-(2-propen-1-yloxy)benzoate 706794-33-4, Ethyl
 3-(1-methylethyl)-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxylate
 706795-54-2, 5-(2-Oxopyrrolidin-1-yl)-N,N-dipropylisophthalamide
 706795-55-3, (2S)-2-[[[(2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)amino]-N-
 cyclohexylpropionamide dihydrochloride 706796-58-9, [(2R,3S)-3-[[1-[3-
 Isopropylamino-5-(2-oxopyrrolidin-1-yl)phenyl]methanoyl]amino]-2-hydroxy-4-
 phenylbutyl]carbamic acid benzyl ester 706818-94-2, 3-(2-Oxopyrrolidin-1-
 yl)-5-pentyloxybenzoic acid 706819-04-7, Ethyl 7-amino-3-ethyl-1H-indole-
 5-carboxylate

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's
 disease)

IT 445-65-8P, 2-Fluoro-3,5-dinitrobenzoic acid 1633-84-7P,
 4-Chlorobutanesulfonyl chloride 1884-42-0P, 1-(3-
 Methoxyphenyl)cyclohexanol 3144-06-7P, 4-Chlorobutanesulfonamide
 4701-96-6P, 4,4-Dimethylcyclohexanone oxime 5400-81-7P 5908-62-3P,
 Isothiazolidine 1,1-dioxide 6307-83-1P, 3-Bromo-5-nitrobenzoic acid
 6307-87-5P, 3-Bromo-5-nitrobenzoic acid methyl ester 17653-94-0P,
 2-(3-Methoxyphenyl)-2-methylpropionic acid 20615-18-3P,
 4,4-Dimethylcyclohexanamine 23218-93-1P, 3-Amino-5-nitrobenzoic acid
 methyl ester 25801-31-4P, Methyl 3-iodo-4-methoxy-5-nitrobenzoate
 26090-60-8P, 3-Nitro-5-(2-oxopyrrolidin-1-yl)benzoic acid 26090-62-0P,
 3-Amino-5-(2-oxopyrrolidin-1-yl)benzoic acid 29544-89-6P,
 4-Methoxy-3,5-dinitrobenzoic acid methyl ester 29544-91-0P,
 3,5-Diamino-4-chlorobenzoic acid methyl ester 35553-92-5P 35578-28-0P,
 3-Chloropropanesulfonamide 37441-50-2P, 1,2-Thiazinane 1,1-dioxide
 49592-71-4P, Methyl 4-methyl-3,5-dinitrobenzoate 53478-04-9P, Dimethyl
 5-Benzyloxyisophthalate 53478-05-0P, 5-Benzyloxyisophthalic acid
 monomethyl ester 54226-20-9P, Methyl 4-amino-3,5-dinitrobenzoate
 54226-22-1P, 3,4-Diamino-5-nitrobenzoic acid 54226-23-2P, Methyl
 3,4-diamino-5-nitrobenzoate 72922-60-2P 72922-61-3P, Methyl
 4-nitro-1H-indazole-6-carboxylate 82760-42-7P, Ethyl
 4-amino-3-bromo-5-nitrobenzoate 85365-92-0P, 4-Methoxy-3,5-
 dinitrobenzoic acid 92136-39-5P, 1,1-Dimethylethyl 2-propyn-1-
 ylcarbamate 107017-68-5P, 2-[[[(1,1-Dimethylethyl)oxy]carbonyl]amino]-2-
 methylpropyl methanesulfonate 109138-28-5P, 1-(3-Methoxyphenyl)-1-
 methylethylamine 110991-77-0P, Methyl 4-[(2-chloroethyl)amino]-3,5-
 dinitrobenzoate 121561-15-7P, Methyl 4-amino-1H-indole-6-carboxylate
 125802-07-5P, [1-(3-Methoxyphenyl)cyclohexyl]amine 162536-42-7P,
 ((1S,2R)-3-Amino-1-benzyl-2-hydroxypropyl)carbamic acid tert-butyl ester
 162537-27-1P, ((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)carbamic acid
 benzyl ester hydrochloride 162541-78-8P, [(2R,3S)-3-[(tert-
 Butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl]carbamic acid benzyl ester
 188813-07-2P, 3-Bromo-5-iodobenzoic acid methyl ester 202470-11-9P,
 Dimethyl 5-[(Dimethylcarbamoyl)sulfanyl]isophthalate 202470-22-2P,
 Dimethyl 5-[(Dimethylthiocarbamoyl)oxy]isophthalate 328284-59-9P,
 5-Nitro-N,N-dipropylisophthalamide methyl ester 388071-13-4P,
 5-Amino-N,N-dipropylisophthalamide methyl ester 388072-98-8P,
 ((1S,2R)-1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)carbamic acid
 tert-butyl ester 474407-10-8P, Dimethyl 5-Ethoxyisophthalate
 537032-04-5P, 3-Methanesulfonyl-5-(2-oxopyrrolidin-1-yl)benzoic acid
 537657-82-2P, 3-Hydroxy-5-(2-oxopyrrolidin-1-yl)benzoic acid
 537657-83-3P, 3,5-Bis(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 537657-85-5P, 3-Bromo-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester

537657-88-8P, 3-Acetylamino-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 537657-91-3P, 3-(3-Hydroxypropoxy)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 537657-92-4P, 3-(3-Methoxypropoxy)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 537658-02-9P, 2-Fluoro-3-(2-oxopyrrolidin-1-yl)-5-(trifluoromethyl)benzoic acid methyl ester
 537658-12-1P, 3-Hydroxy-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 597562-13-5P, Methyl 4-[(E)-2-(dimethylamino)ethenyl]-3,5-dinitrobenzoate
 632625-96-8P, 3-Nitro-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 632625-97-9P, 3-Amino-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 632626-88-1P, 3-[(3-Chloropropanesulfonyl)amino]-5-nitrobenzoic acid methyl ester
 632626-89-2P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-nitrobenzoic acid methyl ester
 632626-90-5P, 3-Amino-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester
 675112-67-1P, 1,1-Dimethylethyl (4,4-difluorocyclohexyl)carbamate
 690260-92-5P, 3-Bromo-5-iodobenzoic acid tert-butyl ester
 706791-78-8P, 3-(4-Chlorobutanoylamino)-5-nitrobenzoic acid methyl ester
 706791-79-9P, 3-(5-Chloropentanoylamino)-5-nitrobenzoic acid methyl ester
 706791-80-2P, 3-Bromo-5-(4-chlorobutanoylamino)benzoic acid methyl ester
 706791-81-3P, 3-Bromo-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester
 706791-82-4P, 3-Bromo-5-(2-oxopiperidin-1-yl)benzoic acid tert-butyl ester
 706791-83-5P, 3-Amino-5-bromobenzoic acid methyl ester
 706791-84-6P, 3-Bromo-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid tert-butyl ester
 706791-85-7P, 3-Bromo-5-(1,1-dioxo-1,2-thiazinan-2-yl)benzoic acid tert-butyl ester
 706791-86-8P, 3-Bromo-5-[(3-chloropropanesulfonyl)amino]benzoic acid methyl ester
 706791-87-9P, 4-Chloro-3-(4-chlorobutanoylamino)benzoic acid methyl ester
 706791-88-0P, 3-(N-Benzyl-N-ethylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester
 706791-89-1P, 3-(N-Benzyl-N-methylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester
 706791-90-4P, 3-(N-Benzyl-N-methylamino)-5-(2-oxopiperidin-1-yl)benzoic acid tert-butyl ester
 706791-91-5P, 3-(N-Benzyl-N-methylamino)-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid tert-butyl ester
 706791-93-7P, 3-(N-Benzyl-N-ethylamino)-5-(1,1-dioxo-1,2-thiazinan-2-yl)benzoic acid tert-butyl ester
 706791-94-8P, 3-(3-Benzyloxypropoxy)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 706791-96-0P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-hydroxybenzoic acid methyl ester
 706791-98-2P, 3-Benzyloxy-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester
 706792-00-9P, 5-Ethoxyisophthalic acid monomethyl ester
 706792-02-1P, 3-[(Benzyloxycarbonyl)amino]-5-ethoxybenzoic acid methyl ester
 706792-03-2P, 3-Benzyloxy-5-[[[2-(trimethylsilyl)ethoxy]carbonyl]amino]benzoic acid methyl ester
 706792-04-3P, 3-Amino-5-ethoxybenzoic acid methyl ester
 706792-05-4P, 3-Amino-5-(benzyloxy)benzoic acid methyl ester hydrochloride
 706792-06-5P, 3-(4-Chlorobutanoylamino)-5-ethoxybenzoic acid methyl ester
 706792-07-6P, 3-Benzyloxy-5-[(3-chloropropanesulfonyl)amino]benzoic acid methyl ester
 706792-08-7P, 3-[(3-Chloropropanesulfonyl)amino]-5-ethoxybenzoic acid methyl ester
 706792-09-8P, 5-[(Dimethylcarbamoyl)sulfanyl]isophthalic acid monomethyl ester
 706792-10-1P, 3-[(tert-Butoxycarbonyl)amino]-5-[(dimethylcarbamoyl)sulfanyl]benzoic acid methyl ester
 706792-11-2P, 3-[(tert-Butoxycarbonyl)amino]-5-mercaptopbenzoic acid
 706792-12-3P, 3-[(tert-Butoxycarbonyl)amino]-5-(methylsulfanyl)benzoic acid methyl ester
 706792-13-4P, 3-[(tert-Butoxycarbonyl)amino]-5-(ethylsulfanyl)benzoic acid ethyl ester
 706792-14-5P, 3-Amino-5-(methylsulfanyl)benzoic acid methyl ester hydrochloride
 706792-15-6P, 3-Amino-5-(ethylsulfanyl)benzoic acid ethyl ester hydrochloride
 706792-16-7P, 3-(4-Chlorobutanoylamino)-5-(methylsulfanyl)benzoic acid methyl ester
 706792-17-8P, 3-(4-Chlorobutanoylamino)-5-(ethylsulfanyl)benzoic acid ethyl ester
 706792-18-9P, 3-[(3-Chloropropanesulfonyl)amino]-5-(methylsulfanyl)benzoic acid methyl ester
 706792-19-0P, 3-[(3-Chloropropanesulfonyl)amino]-5-(ethylsulfanyl)benzoic acid ethyl ester
 706792-20-3P, 3-(2-Oxopiperidin-1-yl)-5-(propenyl)benzoic acid tert-butyl ester
 706792-21-4P, 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(propenyl)benzoic acid tert-butyl ester
 706792-22-5P, 3-(2-Oxopyrrolidin-1-yl)-5-(vinyl)benzoic acid methyl ester
 706792-23-6P, 3-(Isopropenyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 706792-24-7P, 3-(2-Oxopyrrolidin-1-yl)-5-

(propenyl)benzoic acid methyl ester 706792-25-8P,
 3-(Cyclopent-2-enyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 706792-26-9P, 3-(Cyclopent-3-enyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid
 methyl ester 706792-27-0P, 3-(Cyclopent-1-enyl)-5-(2-oxopyrrolidin-1-
 yl)benzoic acid methyl ester 706792-28-1P, 3-(Cyclohex-2-enyl)-5-(2-
 oxopyrrolidin-1-yl)benzoic acid methyl ester 706792-29-2P,
 3-(Cyclohex-3-enyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester
 706792-30-5P, 3-(Cyclohex-1-enyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid
 methyl ester 706792-31-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(3-hydroxy-
 3-methylbut-1-ynyl)benzoic acid tert-butyl ester 706792-32-7P,
 3-(3-Hydroxy-3-methylbut-1-ynyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid
 tert-butyl ester 706792-33-8P, 3-(Methoxycarbonyl)-5-Nitrobenzoic acid
 tert-butyl ester 706792-34-9P, 3-(Methoxycarbonyl)-5-aminobenzoic acid
 tert-butyl ester 706792-35-0P, 3-(Methoxycarbonyl)-5-(4-
 Chlorobutanoylamino)benzoic acid tert-butyl ester 706792-36-1P,
 3-(Methoxycarbonyl)-5-[(3-Chloropropanesulfonyl)amino]benzoic acid
 tert-butyl ester 706792-37-2P, 3-(Methoxycarbonyl)-5-(1,1-
 Dioxoisothiazolidin-2-yl)benzoic acid tert-butyl ester 706792-38-3P,
 5-(1,1-Dioxoisothiazolidin-2-yl)isophthalic acid monomethyl ester
 706792-39-4P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-
 [[(methanesulfonyl)oxy]methyl]benzoic acid methyl ester 706792-40-7P,
 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethoxymethyl)benzoic acid
 706792-42-9P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-formylbenzoic acid methyl
 ester 706792-44-1P, 5-(4-Chlorobutanoylamino)-N,N-dipropylisophthalamic
 acid methyl ester 706792-45-2P, 5-(5-Chloropentanoylamino)-N,N-
 dipropylisophthalamic acid methyl ester 706792-47-4P,
 (2S,3R)-2-[(tert-Butoxycarbonyl)amino]-3-hydroxyhexanoic acid methyl ester
 706792-48-5P, [(S)-1-(Isobutylcarbamoyle)-3-(methylsulfonyl)propyl]carbamic
 acid tert-butyl ester 706792-50-9P, [1-(3-Methoxyphenyl)-1-
 methylethyl]carbamic acid benzyl ester 706792-51-0P,
 [(2R,3S)-2-Hydroxy-3-[[1-[3-(2-oxopyrrolidin-1-yl)-5-
 pentyloxyphenyl]methanoyl]amino]-4-phenylbutyl]carbamic acid benzyl ester
 706792-52-1P, Methyl 3-[(3-buten-1-ylsulfonyl)amino]-5-propylbenzoate
 706792-54-3P, Methyl 3-[(3-buten-1-ylsulfonyl)(2-propen-1-yl)amino]-5-
 propylbenzoate 706792-55-4P, Methyl 2-fluoro-5-nitro-3-(2-oxo-1-
 pyrrolidinyl)benzoate 706792-56-5P, Methyl 3-[bis[(3-
 chloropropyl)sulfonyl]amino]-2-fluoro-5-nitrobenzoate 706792-57-6P,
 Methyl 3-[bis[(4-chlorobutyl)sulfonyl]amino]-2-fluoro-5-nitrobenzoate
 706792-58-7P, 3-[[[(3-Chloropropyl)sulfonyl]amino]-2-fluoro-5-nitrobenzoic
 acid 706792-59-8P 706792-60-1P, Methyl 3-[[[(4-
 chlorobutyl)sulfonyl]amino]-2-fluoro-5-nitrobenzoate 706792-61-2P,
 Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-2-fluoro-5-nitrobenzoate
 706792-62-3P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-
 5-nitrobenzoate 706792-63-4P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-
 thiazin-2-yl)-2-methoxy-5-nitrobenzoate 706792-64-5P, Methyl
 5-amino-2-fluoro-3-(2-oxo-1-pyrrolidinyl)benzoate 706792-65-6P, Methyl
 5-amino-3-(1,1-dioxoisothiazolidin-2-yl)-2-fluorobenzoate 706792-66-7P,
 Methyl 5-amino-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-
 fluorobenzoate 706792-67-8P, Methyl 5-amino-3-(1,1-dioxotetrahydro-2H-
 1,2-thiazin-2-yl)-2-methoxybenzoate 706792-68-9P, Methyl
 2-fluoro-5-[[[(4-methoxyphenyl)methyl]amino]-3-(2-oxo-1-
 pyrrolidinyl)benzoate 706792-69-0P, Methyl 5-bromo-2-fluoro-3-(2-oxo-1-
 pyrrolidinyl)benzoate 706792-70-3P, Methyl 2-fluoro-3-(2-oxo-1-
 pyrrolidinyl)-5-(1-propen-1-yl)benzoate 706792-71-4P, Methyl
 3-(1,1-dioxoisothiazolidin-2-yl)-2-fluoro-5-(1-propen-1-yl)benzoate
 706792-73-6P, Methyl 3-[bis[(4-chlorobutyl)sulfonyl]amino]-4-methoxy-5-(1-
 propen-1-yl)benzoate 706792-75-8P, 3-[[[(4-Chlorobutyl)sulfonyl]amino]-4-
 methoxy-5-(1-propen-1-yl)benzoic acid 706792-76-9P, Methyl
 3-[(4-chlorobutanoyl)amino]-4-methoxy-5-nitrobenzoate 706792-77-0P,
 Methyl 3-[(4-chlorobutanoyl)amino]-4-methyl-5-nitrobenzoate
 706792-78-1P, Methyl 3-[[[(3-chloropropyl)sulfonyl]amino]-4-methoxy-5-
 nitrobenzoate 706792-79-2P, Methyl 3-[[[(3-chloropropyl)sulfonyl]amino]-4-
 methyl-5-nitrobenzoate 706792-80-5P, Methyl 4-methoxy-3-nitro-5-(2-oxo-1-
 pyrrolidinyl)benzoate 706792-81-6P, Methyl 4-methyl-3-nitro-5-(2-oxo-1-
 pyrrolidinyl)benzoate 706792-82-7P, Methyl 3-(1,1-dioxoisothiazolidin-2-

yl)-4-methoxy-5-nitrobenzoate 706792-83-8P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methyl-5-nitrobenzoate 706792-84-9P, Methyl 3-amino-4-methyl-5-(2-oxo-1-pyrrolidinyl)benzoate 706792-85-0P, Methyl 3-amino-5-(1,1-dioxoisothiazolidin-2-yl)-4-methoxybenzoate 706792-86-1P, Methyl 3-amino-5-(1,1-dioxoisothiazolidin-2-yl)-4-methylbenzoate 706792-87-2P, Methyl 3-amino-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methoxybenzoate 706792-88-3P, Methyl 3-amino-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methylbenzoate 706792-89-4P, 3-Ethyl-7-iodo-1H-indole-5-carboxylic acid ethyl ester 706792-90-7P, 1,1-Dimethylethyl 3-bromo-5-(2-oxo-5-phenyl-1-piperidinyl)benzoate 706792-91-8P, 1,1-Dimethylethyl 3-(2-oxo-5-phenyl-1-piperidinyl)-5-(1-propen-1-yl)benzoate 706792-92-9P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-nitrobenzoate 706792-93-0P, Methyl 3-amino-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)benzoate 706792-94-1P, Methyl 1-ethyl-4-nitro-1H-indazole-6-carboxylate 706792-95-2P, Methyl 4-amino-1-ethyl-1H-indole-6-carboxylate hydrochloride 706792-97-4P, Methyl 1-ethyl-4-nitro-1H-benzimidazole-6-carboxylate 706792-98-5P, Methyl 4-amino-1-ethyl-1H-benzimidazole-6-carboxylate 706792-99-6P, Methyl 8-nitro-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706793-00-2P, Methyl 4-ethyl-8-nitro-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706793-01-3P, Ethyl 3-bromo-4-[(3-methyl-2-buten-1-yl)(trifluoroacetyl)amino]-5-nitrobenzoate 706793-02-4P, Ethyl 3-(1-methylethyl)-7-nitro-1H-indole-5-carboxylate 706793-03-5P, Ethyl 7-amino-3-(1-methylethyl)-1H-indole-5-carboxylate 706793-04-6P, Methyl 4-[(4-chlorobutanoyl)amino]-1H-indole-6-carboxylate 706793-05-7P, Methyl 4-[(4-chlorobutanoyl)amino]-1-ethyl-1H-indazole-6-carboxylate 706793-06-8P, Methyl 8-[(4-chlorobutanoyl)amino]-4-ethyl-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706793-07-9P 706793-09-1P 706793-10-4P, Methyl 4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2,3-dihydro-1H-indole-6-carboxylate hydrochloride 706793-11-5P, Methyl 4-[bis[(3-chloropropyl)sulfonyl]amino]-1-ethyl-1H-indole-6-carboxylate 706793-12-6P, Methyl 4-[bis[(3-chloropropyl)sulfonyl]amino]-1-ethyl-1H-indazole-6-carboxylate 706793-13-7P, Methyl 8-[bis[(3-chloropropyl)sulfonyl]amino]-4-ethyl-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706793-14-8P, 4-[(3-chloropropyl)sulfonyl]amino]-1-ethyl-1H-indole-6-carboxylic acid 706793-15-9P, Ethyl 7-[[[(4-chlorobutyl)sulfonyl]amino]-3-ethyl-1H-indole-5-carboxylate 706793-16-0P, Ethyl 7-[[[(3-chloropropyl)sulfonyl]amino]-3-ethyl-1H-indole-5-carboxylate 706793-17-1P 706793-18-2P 706793-19-3P, Methyl 4-[(4-chlorobutanoyl)amino]-1H-1,2,3-benzotriazole-6-carboxylate 706793-20-6P, Methyl 4-nitro-1H-benzimidazole-6-carboxylate 706793-21-7P, Methyl 4-[[[(4-chlorobutyl)sulfonyl]amino]-1H-benzimidazole-6-carboxylate 706793-22-8P, Methyl 4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1H-benzimidazole-6-carboxylate 706793-23-9P, Methyl 4-(2-buten-1-yloxy)-3,5-diiodobenzoate 706793-24-0P, Methyl 4-(3-buten-1-yloxy)-3,5-diiodobenzoate 706793-25-1P, Methyl 4-(2-buten-1-yloxy)-3-iodo-5-(2-oxo-1-pyrrolidinyl)benzoate 706793-26-2P, Methyl 4-(3-buten-1-yloxy)-3-iodo-5-(2-oxo-1-pyrrolidinyl)benzoate 706793-27-3P, Methyl 4-(ethoxy)-3-[ethyl(propanoyl)amino]-5-(1-methylethenyl)benzoate 706793-28-4P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-hydroxybenzoate 706793-29-5P, 1,1-Dimethylethyl [1,1-dimethyl-2-(phenoxy)ethyl]carbamate 706793-32-0P, 1-(1-Azidocyclohexyl)-3-methoxybenzene 706793-33-1P, [(1S,2R)-2-Hydroxy-1-(isobutylcarbonyl)pentyl]carbamic acid tert-butyl ester 706793-34-2P, [(S)-1-Isobutylcarbonyl-3-(methanesulfonyl)propyl]carbamic acid tert-butyl ester 706793-35-3P, 1,1-Dimethylethyl [(3-ethyl-5-isoxazolyl)methyl]carbamate 706793-36-4P 706793-37-5P, 2-Methyl-1-[(2-methyl-2-propen-1-yl)oxy]-2-propanamine 706793-38-6P, 3-(Methylsulfonyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-39-7P, 3-(Ethylsulfonyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid ethyl ester 706793-40-0P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methylsulfonyl)benzoic acid methyl ester 706793-41-1P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylsulfonyl)benzoic acid ethyl ester 706793-42-2P, 3-Ethoxy-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-43-3P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-ethoxybenzoic acid methyl

ester 706793-44-4P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-isopropoxybenzoic acid methyl ester 706793-45-5P, 3-(2-Oxopyrrolidin-1-yl)-5-(pyrrolidin-1-yl)benzoic acid methyl ester 706793-46-6P, 3-(Morpholin-4-yl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-47-7P, 3-(4-Methylpiperazin-1-yl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-48-8P, 3-(2-Oxopyrrolidin-1-yl)-5-(piperidin-1-yl)benzoic acid methyl ester 706793-49-9P, 3-(2-Oxopiperidin-1-yl)-5-(pyrrolidin-1-yl)benzoic acid tert-butyl ester 706793-50-2P, 3-(Morpholin-4-yl)-5-(2-oxopiperidin-1-yl)benzoic acid tert-butyl ester 706793-51-3P, 3-(2-Oxopiperidin-1-yl)-5-(piperidin-1-yl)benzoic acid tert-butyl ester 706793-52-4P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(morpholin-4-yl)benzoic acid tert-butyl ester 706793-53-5P, 3-(2-Oxopyrrolidin-1-yl)-5-(phenylamino)benzoic acid methyl ester 706793-54-6P, 3-Ethylamino-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester 706793-55-7P, 3-Methylamino-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester 706793-56-8P, 3-Methylamino-5-(2-oxopiperidin-1-yl)benzoic acid tert-butyl ester 706793-57-9P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methylamino)benzoic acid tert-butyl ester 706793-58-0P, 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzoic acid tert-butyl ester 706793-59-1P, 3-Diethylamino-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-60-4P, 3-Diethylamino-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester 706793-61-5P, 3-Butylamino-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester 706793-62-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(phenethylamino)benzoic acid methyl ester 706793-63-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(propylamino)benzoic acid methyl ester 706793-64-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)benzoic acid methyl ester 706793-65-9P, 3-(Cyclopropylmethylamino)-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester 706793-66-0P, 3-(Isobutylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)

IT 706793-67-1P, 3-(2,2-Dimethylpropylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-68-2P, 3-(1-Ethylpropylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-69-3P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(isopropylamino)benzoic acid methyl ester 706793-70-6P, 3-(Isopropylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-71-7P, 3-(N-Acetyl-N-methylamino)-5-(N-ethyl-N-propionylamino)benzoic acid tert-butyl ester 706793-72-8P, 3-[N-(Methanesulfonyl)-N-methylamino]-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester 706793-73-9P, 3-[(Methanesulfonyl)amino]-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-74-0P, 3-(Methoxycarbonyl)-5-(2-Oxopyrrolidin-1-yl)benzoic acid tert-butyl ester 706793-75-1P, 3-Hydroxymethyl-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-76-2P, 5-(2-Oxopyrrolidin-1-yl)-N-propylisophthalamic acid methyl ester 706793-77-3P, 5-(2-Oxopyrrolidin-1-yl)-N,N-dipropylisophthalamic acid methyl ester 706793-78-4P, 3-Nitro-5-(2-oxopiperidin-1-yl)benzoic acid methyl ester 706793-79-5P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(fluoromethyl)benzoic acid methyl ester 706793-80-8P, 3-[(Dimethylamino)methyl]-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester 706793-81-9P, 3-Azidomethyl-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester 706793-82-0P, (Z)-3-(1,1-Dioxoisothiazolidin-2-yl)-5-(propenyl)benzoic acid methyl ester 706793-83-1P, 3-Cyano-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester 706793-84-2P, 5-(1,1-Dioxoisothiazolidin-2-yl)-N,N-dipropylisophthalamic acid methyl ester 706793-85-3P, 3-(2-Methylpropenyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-86-4P, 3-(2-Oxopyrrolidin-1-yl)-5-propylbenzoic acid methyl ester 706793-87-5P, 3-Cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706793-88-6P, 3-Ethynyl-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester 706793-89-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-ethynylbenzoic acid tert-butyl ester 706793-90-0P, 3-(2-Oxopiperidin-1-yl)-5-propylbenzoic acid tert-butyl ester 706793-91-1P 706793-92-2P,

Methyl 5-(ethylamino)-2-fluoro-3-(2-oxo-1-pyrrolidinyl)benzoate
706793-93-3P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluorobenzoate 706793-94-4P, Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluorobenzoate 706793-95-5P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-methoxybenzoate 706793-96-6P, Methyl 2-fluoro-3-(2-oxo-1-pyrrolidinyl)-5-propylbenzoate 706793-97-7P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-5-propylbenzoate 706793-98-8P, Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-2-fluoro-5-propylbenzoate 706793-99-9P, 1,1-Dimethylethyl 3-(2-oxo-5-phenyl-1-piperidinyl)-5-propylbenzoate 706794-00-5P, Methyl 3-(1,1-dioxo-4-phenyltetrahydro-2H-1,2-thiazin-2-yl)-5-nitrobenzoate 706794-01-6P, Methyl 3-amino-5-(1,1-dioxo-4-phenyltetrahydro-2H-1,2-thiazin-2-yl)benzoate 706794-02-7P, Methyl 3-(1,1-dioxo-4-phenyltetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzoate 706794-03-8P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-[(1-methylethyl)amino]benzoate 706794-04-9P, 1,1-Dimethylethyl 3-[ethyl(methyl)amino]-5-(2-oxo-1-pyrrolidinyl)benzoate 706794-05-0P, Methyl 3-(ethylamino)-4-methyl-5-(2-oxo-1-pyrrolidinyl)benzoate 706794-06-1P, Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)-4-methylbenzoate 706794-07-2P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-4-methylbenzoate 706794-08-3P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-4-methoxybenzoate 706794-09-4P, Methyl 3-(ethylamino)-4-methoxy-5-(2-oxo-1-pyrrolidinyl)benzoate 706794-10-7P, Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)-4-methoxybenzoate 706794-11-8P, Methyl 3-(diethylamino)-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methylbenzoate 706794-12-9P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methoxy-5-(1-propen-1-yl)benzoate 706794-14-1P, Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-5-(2-oxo-1-pyrrolidinyl)benzoate 706794-15-2P, Methyl 1-ethyl-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxylate 706794-16-3P, Methyl 4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxylate 706794-17-4P, Methyl 1-ethyl-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxylate 706794-18-5P, Methyl 4-ethyl-8-(2-oxo-1-pyrrolidinyl)-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706794-19-6P, Ethyl 3-ethyl-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxylate 706794-21-0P, Methyl 4-(1,1-dioxoisothiazolidin-2-yl)-1-ethyl-1H-indole-6-carboxylate 706794-22-1P, Ethyl 7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxylate 706794-23-2P, Methyl 4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-2,3-dihydro-1H-indole-6-carboxylate 706794-24-3P, Methyl 4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-1H-indole-6-carboxylate 706794-25-4P, Ethyl 7-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-3-ethyl-1H-indole-5-carboxylate 706794-26-5P, Methyl 4-(1,1-dioxoisothiazolidin-2-yl)-1-ethyl-1H-benzimidazole-6-carboxylate 706794-27-6P, Methyl 4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-1H-benzimidazole-6-carboxylate 706794-28-7P, Methyl 4-(1,1-dioxoisothiazolidin-2-yl)-1-ethyl-1H-indazole-6-carboxylate 706794-29-8P, Methyl 1-butyl-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxylate 706794-30-1P, Methyl 3-methyl-7-(2-oxo-1-pyrrolidinyl)-1-benzofuran-5-carboxylate 706794-32-3P, Ethyl 1-methyl-3-(1-methylethyl)-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxylate 706794-34-5P, Methyl 3-ethyl-7-(2-oxo-1-pyrrolidinyl)-1-benzofuran-5-carboxylate 706794-35-6P, Ethyl 3-ethyl-7-(2-oxo-1-piperidinyl)-1H-indole-5-carboxylate 706794-36-7P, Ethyl 3-ethyl-7-(2-oxo-4-phenyl-1-pyrrolidinyl)-1H-indole-5-carboxylate 706794-37-8P 706794-38-9P, Methyl 8-(1,1-dioxoisothiazolidin-2-yl)-4-ethyl-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706794-39-0P, 3-(Methylsulfanyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid 706794-40-3P, 3-(Ethylsulfanyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid 706794-41-4P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methylsulfanyl)benzoic acid 706794-42-5P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylsulfanyl)benzoic acid 706794-43-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methanesulfonyl)benzoic acid 706794-44-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethanesulfonyl)benzoic acid 706794-45-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methoxymethyl)benzoic acid 706794-46-9P, 3-(1,1-Dioxoisothiazolidin-2-

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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)

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 IN Maillard, Michel; Baldwin, Eric T.; Beck, James T.; Hughes, Robert; John, Varghese; Pulley, Shon R.; Tenbrink, Ruth
 PA Elan Pharmaceuticals, Inc., USA; Pfizer, Inc.; Pharmacia & Upjohn Company, LLC
 SO PCT Int. Appl., 459 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM A61K
 CC 27-14 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 24, 25

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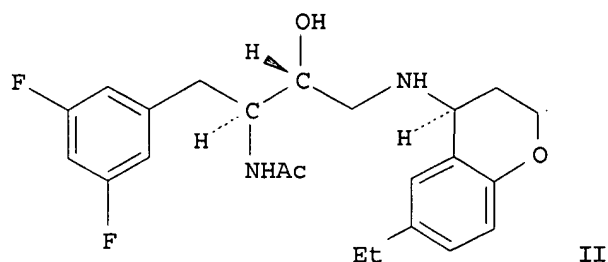
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CLASS

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WO 2004024081	ICM	A61K
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	IPCR	C07C0233-00 [I,C*]; C07C0233-36 [I,A]; C07C0235-00 [I,C*]; C07C0235-10 [I,A]; C07C0235-74 [I,A]; C07C0271-00 [I,C*]; C07C0271-24 [I,A]; C07C0311-00 [I,C*]; C07C0311-05 [I,A]; C07C0327-00 [I,C*]; C07C0327-30 [I,A]
	ECLA	C07C233/36; C07C235/10; C07C235/74; C07C271/24; C07C311/05; C07C327/30
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		C07D0263-02 [ICS,7]; C07D0263-00 [ICS,7,C*];
		C07C0237-04 [ICS,7]; C07D0215-04 [ICS,7]; C07D0215-00
		[ICS,7,C*]; C07D0311-04 [ICS,7]; C07D0311-00
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		[ICS,7,C*]; C07D0279-16 [ICS,7]; C07D0279-00
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		C07C0237-20 [ICS,7]; C07C0237-00 [ICS,7,C*];
		C07D0333-20 [ICS,7]; C07D0333-00 [ICS,7,C*];
		A61K0031-21 [ICS,7]; A61P0025-28 [ICS,7]; A61P0025-00
		[ICS,7,C*]; A61K0031-38 [ICS,7]; A61K0031-425 [ICS,7];
		A61K0031-472 [ICS,7]
	IPCR	C07C0233-00 [I,C*]; C07C0233-36 [I,A]; C07C0235-00
		[I,C*]; C07C0235-10 [I,A]; C07C0235-74 [I,A];
		C07C0271-00 [I,C*]; C07C0271-24 [I,A]; C07C0311-00
		[I,C*]; C07C0311-05 [I,A]; C07C0327-00 [I,C*];
		C07C0327-30 [I,A]
AU 2003267132	IPCI	C07D0215-38 [ICM,7]; C07D0215-00 [ICM,7,C*];
		C07D0311-04 [ICS,7]; C07D0311-00 [ICS,7,C*];
		C07D0335-04 [ICS,7]; C07D0335-00 [ICS,7,C*];
		C07C0233-05 [ICS,7]; C07C0233-00 [ICS,7,C*];
		A61K0031-35 [ICS,7]; A61K0031-38 [ICS,7]; A61K0031-16
		[ICS,7]
	IPCR	C07C0233-00 [I,C*]; C07C0233-36 [I,A]; C07C0235-00
		[I,C*]; C07C0235-10 [I,A]; C07C0235-74 [I,A];
		C07C0271-00 [I,C*]; C07C0271-24 [I,A]; C07C0311-00
		[I,C*]; C07C0311-05 [I,A]; C07C0327-00 [I,C*];
		C07C0327-30 [I,A]
US 2004180939	IPCI	A61K0031-44 [ICM,7]; A61K0031-40 [ICS,7]; A61K0031-135
		[ICS,7]; A61K0031-18 [ICS,7]
	IPCR	A61K0031-135 [I,A]; A61K0031-135 [I,C*]; A61K0031-18
		[I,A]; A61K0031-18 [I,C*]; A61K0031-40 [I,A];
		A61K0031-40 [I,C*]; A61K0031-44 [I,A]; A61K0031-44
		[I,C*]
	NCL	514/357.000; 514/408.000; 514/602.000; 514/616.000;
		514/649.000; 546/334.000; 548/566.000; 564/086.000;
		564/193.000
BR 2003014188	IPCI	C07D0215-38 [ICM,7]; C07D0215-00 [ICM,7,C*];
		C07D0311-04 [ICS,7]; C07D0311-00 [ICS,7,C*];
		C07D0335-04 [ICS,7]; C07D0335-00 [ICS,7,C*];
		C07C0233-05 [ICS,7]; C07C0233-00 [ICS,7,C*];
		A61K0031-35 [ICS,7]; A61K0031-38 [ICS,7]; A61K0031-16
		[ICS,7]
	IPCR	C07C0233-00 [I,C*]; C07C0233-36 [I,A]; C07C0235-00
		[I,C*]; C07C0235-10 [I,A]; C07C0235-74 [I,A];
		C07C0271-00 [I,C*]; C07C0271-24 [I,A]; C07C0311-00
		[I,C*]; C07C0311-05 [I,A]; C07C0327-00 [I,C*];
		C07C0327-30 [I,A]
	ECLA	C07C233/36; C07C235/10; C07C235/74; C07C271/24;
		C07C311/05; C07C327/30
EP 1565443	IPCI	C07D0215-38 [ICM,7]; C07D0215-00 [ICM,7,C*];
		C07D0311-04 [ICS,7]; C07D0311-00 [ICS,7,C*];
		C07D0335-04 [ICS,7]; C07D0335-00 [ICS,7,C*];
		C07C0233-05 [ICS,7]; C07C0233-00 [ICS,7,C*];
		A61K0031-35 [ICS,7]; A61K0031-38 [ICS,7]; A61K0031-16
		[ICS,7]
	IPCR	C07C0233-00 [I,C*]; C07C0233-36 [I,A]; C07C0235-00
		[I,C*]; C07C0235-10 [I,A]; C07C0235-74 [I,A];
		C07C0271-00 [I,C*]; C07C0271-24 [I,A]; C07C0311-00
		[I,C*]; C07C0311-05 [I,A]; C07C0327-00 [I,C*];
		C07C0327-30 [I,A]
	ECLA	C07C233/36; C07C235/10; C07C235/74; C07C271/24;
		C07C311/05; C07C327/30
CN 1694870	IPCI	C07D0215-38 [ICM,7]; C07D0215-00 [ICM,7,C*];
		C07D0311-04 [ICS,7]; C07D0311-00 [ICS,7,C*];
		C07D0335-04 [ICS,7]; C07D0335-00 [ICS,7,C*];

		C07C0233-05 [ICS,7]; C07C0233-00 [ICS,7,C*]; A61K0031-35 [ICS,7]; A61K0031-38 [ICS,7]; A61K0031-16 [ICS,7]
	IPCR	C07D0215-00 [I,C*]; C07D0215-38 [I,A]
JP 2006504793	IPCI	C07C0233-36 [I,A]; C07C0233-00 [I,C*]; A61K0031-165 [I,A]; A61K0031-341 [I,A]; A61K0031-353 [I,A]; A61K0031-352 [I,C*]; A61K0031-381 [I,A]; A61K0031-382 [I,A]; A61K0031-4025 [I,A]; A61K0031-4045 [I,A]; A61K0031-403 [I,C*]; A61K0031-4164 [I,A]; A61K0031-4196 [I,A]; A61K0031-42 [I,A]; A61K0031-426 [I,A]; A61K0031-44 [I,A]; A61K0031-4409 [I,A]; A61K0031-4433 [I,A]; A61K0031-4427 [I,C*]; A61K0031-4706 [I,A]; A61K0031-50 [I,A]; A61K0031-505 [I,A]; A61K0031-5375 [I,A]; A61P0025-16 [I,A]; A61P0025-28 [I,A]; A61P0025-00 [I,C*]; C07D0209-14 [I,A]; C07D0209-00 [I,C*]; C07D0213-36 [I,A]; C07D0213-00 [I,C*]; C07D0215-46 [I,A]; C07D0215-00 [I,C*]; C07D0233-61 [I,A]; C07D0233-00 [I,C*]; C07D0237-14 [I,A]; C07D0237-00 [I,C*]; C07D0239-26 [I,A]; C07D0239-00 [I,C*]; C07D0249-08 [I,A]; C07D0249-00 [I,C*]; C07D0261-08 [I,A]; C07D0261-00 [I,C*]; C07D0265-30 [I,A]; C07D0265-00 [I,C*]; C07D0277-28 [I,A]; C07D0277-00 [I,C*]; C07D0307-52 [I,A]; C07D0307-00 [I,C*]; C07D0311-68 [I,A]; C07D0311-76 [I,A]; C07D0311-00 [I,C*]; C07D0333-20 [I,A]; C07D0333-00 [I,C*]; C07D0335-06 [I,A]; C07D0335-00 [I,C*]; C07D0405-04 [I,A]; C07D0405-00 [I,C*]
	FTERM	4C023/CA01; 4C023/KA07; 4C031/LA03; 4C033/AD06; 4C037/HA23; 4C055/AA01; 4C055/BA02; 4C055/BA03; 4C055/BA27; 4C055/BB08; 4C055/CA01; 4C055/CA06; 4C055/DA01; 4C055/DA06; 4C056/AA01; 4C056/AB01; 4C056/AC01; 4C056/AD01; 4C056/AE03; 4C056/EB02; 4C056/EC05; 4C056/FA04; 4C062/FF07; 4C062/GG10; 4C063/AA01; 4C063/BB01; 4C063/CC79; 4C063/DD04; 4C063/DD12; 4C063/EE01; 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/BA03; 4C086/BA08; 4C086/BB01; 4C086/BB02; 4C086/BC05; 4C086/BC13; 4C086/BC17; 4C086/BC28; 4C086/BC38; 4C086/BC41; 4C086/BC42; 4C086/BC60; 4C086/BC67; 4C086/BC73; 4C086/BC82; 4C086/GA02; 4C086/GA06; 4C086/GA08; 4C086/GA16; 4C086/MA01; 4C086/NA14; 4C086/ZA02; 4C086/ZA16; 4C204/BB01; 4C204/CB02; 4C204/DB01; 4C204/EB03; 4C204/FB01; 4C204/GB13; 4C206/AA01; 4C206/AA02; 4C206/AA03; 4C206/GA02; 4C206/GA28; 4C206/KA17; 4C206/MA01; 4C206/NA14; 4C206/ZA02; 4C206/ZA16; 4H006/AA01; 4H006/AA03; 4H006/AB20; 4H006/AB21; 4H006/BJ50; 4H006/BM30; 4H006/BM71; 4H006/BN10; 4H006/BN20; 4H006/BU38; 4H006/BV22
NO 2005001239	IPCI	C07C0233-36 [ICM,7]; C07C0233-00 [ICM,7,C*]; C07C0235-10 [ICS,7]; C07C0235-00 [ICS,7,C*]; A61P0025-16 [ICS,7]; A61P0025-28 [ICS,7]; A61P0025-00 [ICS,7,C*]
	ECLA	C07C233/36; C07C235/10; C07C235/74; C07C271/24; C07C311/05; C07C327/30
ZA 2005001991	IPCI	A61K [ICS,7]
	IPCR	C07D0215-00 [I,C*]; C07D0215-38 [I,A]
OS		MARPAT 140:287268
GI		



- AB Disclosed are Z-X-NHCH(R1)CH(OH)C(R2)(R3)N(R15)(Rc) (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed and >100 example preps. of intermediates and I are included. For example, II was prepared in 4 steps starting with preparation of (6-iodochroman-4-yl)amine from 6-iodo-4-chroman-4-ol followed by reaction with tert-Bu [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate to give tert-Bu [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate, followed by ethylation. For I: Z is H, (C3-C7 cycloalkyl)0-1(C1-C6 alkyl)-, (C3-C7 cycloalkyl)0-1(C2-C6 alkenyl)-, (C3-C7 cycloalkyl)0-1(C2-C6 alkynyl)- or (C3-C7 cycloalkyl)-; X = C(O), SO₂; R1 is C1-C10 alkyl (un)substituted with 1, 2, or 3 halogen, -OH, -OR, -SH, -CN, -CF₃, -OCF₃, -C3-7 cycloalkyl, -C1-C4 alkoxy, amino, mono- or dialkylamino, aryl, heteroaryl, and heterocycloalkyl; R2 and R3 = H; F; -C1-C6 alkyl (un)substituted with -F, -OH, -CN, -CF₃, C1-C3 alkoxy, or -NR₅R₆; -(CH₂)₀₋₂-R₁₇; -(CH₂)₀₋₂-R₁₈; -C2-C6 alkenyl or C2-C6 alkynyl; R15 = H, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkoxy C1-C6 alkyl, hydroxy C1-C6 alkyl, halo C1-C6 alkyl; R2, R3 and the C to which they are attached can form a C3-C7 carbocycle, wherein 1-3 C atoms are optionally replaced by -O-, -S-, -SO₂-, -C(O)-, or -NR₇-; Rc = -(CH₂)₀₋₃-(C3-C8) cycloalkyl, etc.; addnl. details are given in the claims.
- ST acetyl hydroxydiaminoalkane prepn beta secretase inhibitor anti Alzheimer's
- IT Alzheimer's disease
(Lewy-body variant; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Brain, disease
(amyloid angiopathy; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Brain, disease
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Brain, disease
(dementia associated with cortical basal degeneration; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Parkinson's disease
(dementia associated with; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)
- IT Mental and behavioral disorders

(dementia; preparation of ring-containing N-acetyl
2-hydroxy-1,3-diaminoalkanes
as β -secretase inhibitors for treating Alzheimer's disease and
other diseases characterized by deposition of A β -peptide)

IT Amyloidosis
(hereditary, cerebral hemorrhage type, Dutch type; preparation of
ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase
inhibitors for treating Alzheimer's disease and other diseases
characterized by deposition of A β -peptide)

IT Alzheimer's disease
Anti-Alzheimer's agents
Cognition enhancers
Cognitive disorders
Down's syndrome
Human
(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as
 β -secretase inhibitors for treating Alzheimer's disease and other
diseases characterized by deposition of A β -peptide)

IT Paralysis
(pseudobulbar, dementia associated with; preparation of ring-containing
N-acetyl
2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for
treating Alzheimer's disease and other diseases characterized by
deposition of A β -peptide)

IT Amyloid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β -, deposition inhibitors; preparation of ring-containing N-acetyl
2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for
treating Alzheimer's disease and other diseases characterized by
deposition of A β -peptide)

IT 676133-42-9P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical
process); PYP (Physical process); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(chromatog. resolution, drug candidate; preparation of ring-containing
N-acetyl
2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for
treating Alzheimer's disease and other diseases characterized by
deposition of A β -peptide)

IT 676134-22-8P
RL: PEP (Physical, engineering or chemical process); PYP (Physical
process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
PROC (Process); RACT (Reactant or reagent)
(chromatog. resolution; preparation of ring-containing N-acetyl
2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for
treating Alzheimer's disease and other diseases characterized by
deposition of A β -peptide)

IT 676133-66-7P 676134-56-8P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical
process); PYP (Physical process); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC
(Process); USES (Uses)
(drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-
diaminoalkanes as β -secretase inhibitors for treating Alzheimer's
disease and other diseases characterized by deposition of
A β -peptide)

IT 676133-64-5P 676133-65-6P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT
(Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); RACT (Reactant or reagent); USES
(Uses)
(drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-
diaminoalkanes as β -secretase inhibitors for treating Alzheimer's
disease and other diseases characterized by deposition of

A β -peptide)
 IT 676133-38-3P
 RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 527731-54-0P 676133-44-1P 676134-02-4P 676135-29-8P 676135-75-4P
 676135-90-3P 676135-91-4P 676136-33-7P 676137-78-3P 676138-21-9P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 527730-68-3P 527730-69-4P 527731-50-6P 527731-58-4P 527731-86-8P
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 676133-43-0P 676133-45-2P 676133-46-3P 676133-47-4P 676133-48-5P
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 676133-56-5P 676133-57-6P 676133-58-7P 676133-59-8P 676133-60-1P
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 676134-32-0P 676134-33-1P 676134-37-5P 676134-38-6P 676134-45-5P
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 676137-72-7P 676137-73-8P 676137-74-9P 676137-75-0P 676137-79-4P
 676137-80-7P 676137-85-2P 676137-87-4P 676137-89-6P 676137-91-0P
 676137-93-2P 676137-94-3P 676137-95-4P 676137-96-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676137-97-6P 676137-98-7P 676137-99-8P 676138-00-4P 676138-01-5P
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676138-59-3P 676138-60-6P 676138-61-7P 676138-62-8P 676138-63-9P
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676138-74-2P 676138-75-3P 676138-76-4P 676138-78-6P 676138-79-7P
676138-80-0P 676138-81-1P 676138-82-2P 676138-83-3P 676138-84-4P
676138-85-5P 676138-86-6P 676138-87-7P 676138-88-8P 676138-89-9P
676138-90-2P 676138-91-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 158736-49-3, β -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 527730-64-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 676134-24-0P 676134-25-1P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 62-53-3, Aniline, reactions 75-26-3, 2-Bromopropane 88-95-9, Phthaloyl dichloride 89-55-4, 5-Bromosalicylic acid 95-89-6, 3-Chloro-2,5-dimethylpyrazine 98-80-6, Phenylboronic acid 100-39-0, Benzyl bromide 103-64-0, (2-Bromovinyl)benzene 105-39-5, Ethyl chloroacetate 106-95-6, Allyl bromide, reactions 107-13-1, Acrylonitrile, reactions 108-55-4, Glutaric anhydride 108-94-1, Cyclohexanone, reactions 109-04-6, 2-Bromopyridine 109-52-4, Pentanoic acid, reactions 109-94-4, Ethyl formate 111-14-8, Heptanoic acid 111-24-0, 1,5-Dibromopentane 111-25-1, 1-Bromohexane 115-07-1, Propene, reactions 123-07-9, 4-Ethylphenol 140-88-5, Ethyl acrylate 288-32-4, 1H-Imidazole, reactions 491-37-2, 4-Chromanone 501-53-1, Benzyl chloroformate 530-62-1, 1,1'-Carbonyldiimidazole 541-46-8, Isovaleramide 557-93-7, 2-Bromopropene 584-12-3, 2-Bromofuran 589-16-2, 4-Ethylaniline 594-61-6, 2-Methylactic acid 618-89-3,

Methyl 3-bromobenzoate 625-36-5, 3-Chloropropionyl chloride 629-04-9,
 1-Bromoheptane 630-17-1, Neopentyl bromide 765-58-2,
 2-Bromo-5-methylthiophene 768-35-4, 3-Fluorophenylboronic acid
 872-31-1, 3-Bromothiophene 922-63-4, 2-Ethylacrolein 1003-09-4,
 2-Bromothiophene 1066-54-2, Trimethylsilylacetylene 1113-78-6,
 Tri-sec-butylborane 1120-90-7, 3-Iodopyridine 1121-76-2,
 4-Chloropyridine 1-oxide 1722-10-7, 3-Chloro-6-methoxypyridazine
 1765-93-1, 4-Fluorophenylboronic acid 2105-94-4, 2-Fluoro-4-bromophenol
 2234-82-4, Propylmagnesium chloride 2564-95-6 2725-82-8,
 1-Bromo-3-ethylbenzene 3034-53-5, 2-Bromothiazole 3128-06-1,
 5-Oxohexanoic acid 3132-99-8, 3-Bromobenzaldehyde 3430-13-5,
 5-Bromo-2-methylpyridine 3430-17-9, 2-Bromo-3-methylpyridine
 3430-22-6, 3-Bromo-4-methylpyridine 3510-66-5, 2-Bromo-5-methylpyridine
 4132-48-3, 1-Isopropyl-4-methoxybenzene 4347-33-5, 5-Formyl-2-
 thiopheneboronic acid 4595-59-9, 5-Bromopyrimidine 4595-60-2,
 2-Bromopyrimidine 4746-97-8, 1,4-Cyclohexanedione monoethylene ketal
 4926-28-7, 2-Bromo-4-methylpyridine 5029-67-4, 2-Iodopyridine
 5159-41-1, 2-Iodobenzyl alcohol 5220-49-5, 3-Amino-2-cyclohexen-1-one
 5292-21-7, Cyclohexylacetic acid 5369-19-7, 3-(tert-Butyl)aniline
 5433-01-2 6165-69-1, Thien-3-ylboronic acid 10557-85-4,
 4-Iodo-3,5-dimethylisoxazole 13132-23-5, Neopentylmagnesium chloride
 14282-76-9, 2-Bromo-3-methylthiophene 14508-49-7, 2-Chloropyrazine
 15501-33-4, 1-Iodo-2,2-dimethylpropane 15854-87-2, 4-Iodopyridine
 16114-47-9, (3,5-Dimethylisoxazol-4-yl)boronic acid 21740-00-1,
 5-Bromo-2-iodobenzoic acid 22037-28-1, 3-Bromofuran 22385-77-9,
 3,5-Di-tert-butylbromobenzene 22531-06-2, 7-Ethyl-1-tetralone
 27339-38-4 30318-99-1, 3-Bromo-4-methylthiophene 31938-07-5
 33034-67-2, 2-Chloro-4-trifluoromethylpyrimidine 33252-30-1,
 2-Chloro-4-cyanopyridine 37067-95-1 39959-54-1, 3-Bromobenzylamine
 hydrochloride 49844-90-8, 4-Chloro-2-methylsulfanylpurine
 52727-57-8, Methyl 2-amino-5-bromobenzoate 54149-17-6,
 1-Bromo-2-(2-methoxyethoxy)ethane 55552-70-0, 3-Furanboronic acid
 64169-34-2, 5-Bromophthalide 71759-88-1, 5-Iodo-1-methyl-1H-imidazole
 73183-34-3 74003-55-7, 3,4-Dibromobenzaldehyde 78887-39-5,
 3-Acetamidobenzenboronic acid 79003-26-2 82941-26-2,
 (2-Butoxyethoxy)acetic acid 84110-40-7 89283-31-8,
 3-Chloro-5-methylpyridazine 92273-73-9, Butylzinc bromide 96259-61-9
 107202-62-0 111196-81-7, 2-Chloro-5-ethylpyrimidine 126403-67-6
 138900-55-7 156567-57-6 162536-85-8 162541-58-4 162607-15-0,
 (4-Methylthien-2-yl)boronic acid 163105-89-3, 2-Methoxy-5-
 pyridineboronic acid 179897-89-3, 5-Bromo-2-fluorobenzonitrile
 181765-86-6 205445-52-9, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-
 difluorophenyl)propanoic acid 206551-43-1, 5-Acetyl-2-thiopheneboronic
 acid 262422-94-6 388075-52-3, tert-Butyl [(1S,2R)-3-amino-1-(3,5-
 difluorobenzyl)-2-hydroxypropyl]carbamate 597563-17-2 597564-06-2
 597564-17-5 676133-50-9 676134-11-5 676134-30-8 676134-54-6
 676134-88-6 676135-04-9 676135-31-2 676135-36-7 676135-45-8
 676135-48-1 676135-51-6 676135-55-0 676135-77-6 676135-87-8
 676135-95-8 676136-00-8 676136-31-5 676137-12-5 676137-54-5
 676137-64-7 676137-69-2 676137-92-1 676138-24-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as
 β -secretase inhibitors for treating Alzheimer's disease and other
 diseases characterized by deposition of A β -peptide)

IT 1481-93-2P, Chroman-4-ol 2905-38-6P 3197-61-3P, 1H-Imidazole-1-
 carboxaldehyde 4295-36-7P, 2,3-Dihydroquinolin-4(1H)-one 6329-74-4P
 10269-01-9P, 3-Bromobenzylamine 19235-89-3P, 4-Chloropyridine-2-
 carbonitrile 20924-54-3P 20924-56-5P, 1H-2-Benzopyran-4(3H)-one
 20924-57-6P 30951-66-7P, 2-(3-Bromophenyl)-2-propanol 31590-84-8P,
 2-Neopentylpyridine 32281-97-3P, 7-Bromo-1-tetralone 33142-21-1P,
 Ethyl 2-chloro-3-oxopropanoate 33974-41-3P, Neopentylmagnesium bromide
 34246-54-3P, 3-Ethylbenzaldehyde 42205-73-2P, 2-Cyano-4-tert-
 butylpyridine 50604-00-7P 53981-38-7P, (3,4-Dihydro-2H-chromen-4-
 yl)amine 57056-92-5P 58164-02-6P 62750-11-2P 74702-93-5P
 76228-06-3P 87280-13-5P 94572-90-4P 99758-64-2P,

3,5-Di-tert-butylbenzonitrile 101714-35-6P 104174-63-2P 111773-13-8P
 116212-82-9P, Neopentylzinc chloride 133057-82-6P 139693-30-4P,
 (3,5-Di-tert-butylbenzyl)amine 147663-00-1P 161468-13-9P
 186639-32-7P 198341-11-6P 263896-27-1P 289039-20-9P 358351-16-3P
 379730-09-3P 388071-27-0P 388072-10-4P 388072-11-5P 388072-77-3P
 388072-80-8P 388075-48-7P 473567-47-4P 493028-83-4P 527733-96-6P
 527733-97-7P 527734-33-4P 530080-31-0P 537713-30-7P,
 4-Ethyl-4'-fluoro-1,1'-biphenyl-2-carboxylic acid 546115-65-5P
 597561-48-3P 597563-16-1P 627909-55-1P 672904-14-2P 676133-21-4P
 676133-22-5P 676133-23-6P 676133-24-7P 676133-25-8P 676133-26-9P
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 676136-93-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT	676136-94-0P	676136-96-2P	676136-97-3P	676137-00-1P	676137-01-2P
	676137-02-3P	676137-03-4P	676137-04-5P	676137-05-6P	676137-06-7P
	676137-07-8P	676137-08-9P	676137-09-0P	676137-10-3P	676137-11-4P
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	676137-18-1P	676137-19-2P	676137-53-4P	676137-55-6P	676137-56-7P
	676137-57-8P	676137-58-9P	676137-59-0P	676137-63-6P	676137-65-8P
	676137-66-9P	676137-76-1P	676137-77-2P	676137-81-8P	
	676137-82-9P	676137-84-1P	676137-86-3P	676137-88-5P	676137-90-9P
	676138-23-1P	676138-32-2P	676138-33-3P	676138-34-4P	676138-36-6P
	676138-77-5P				

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

IT 68449-30-9P, 5-Bromo-1-tetralone 676133-68-9P 676136-34-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as
 β -secretase inhibitors for treating Alzheimer's disease and other
 diseases characterized by deposition of A β -peptide)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 388083-33-8
 478799-42-7 478799-43-8 676174-15-5 676174-16-6
 RL: PRP (Properties)
 (unclaimed sequence; preparation of ring-containing N-acetyl
 2-hydroxy-1,3-diaminoalkanes as β -secretase inhibitors for
 treating Alzheimer's disease and other diseases characterized by
 deposition of A β -peptide)

L3 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2004:120825 CAPLUS
 DN 140:181807
 ED Entered STN: 13 Feb 2004
 TI Preparation of novel α -amino- γ -lactams as β -secretase
 inhibitors
 IN Decicco, Carl P.; Tebben, Andrew J.; Thompson, Lorin A.; Combs, Andrew P.
 PA Bristol-Myers Squibb Company, USA
 SO PCT Int. Appl., 156 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D207-26
 ICS A61K031-4015
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 63

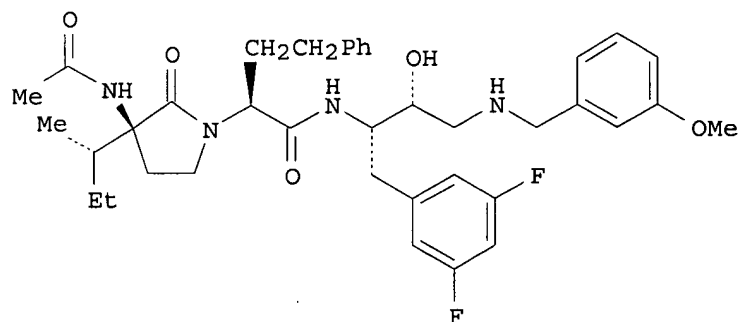
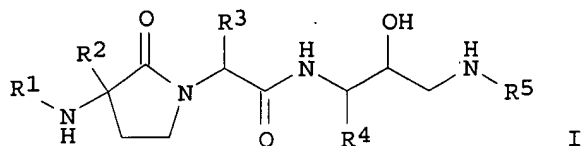
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004013098	A1	20040212	WO 2003-US24407	20030805
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2003258045	A1	20040223	AU 2003-258045	20030805
	EP 1551801	A1	20050713	EP 2003-767177	20030805
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRAI	US 2002-401390P	P	20020805		
	WO 2003-US24407	W	20030805		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004013098	ICM	C07D207-26
	ICS	A61K031-4015
	IPCI	C07D0207-26 [ICM,7]; C07D0207-00 [ICM,7,C*]; A61K0031-4015 [ICS,7]
	IPCR	A61K0038-00 [N,A]; A61K0038-00 [N,C*]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]
	ECLA	C07K005/06H4
AU 2003258045	IPCI	C07D0207-26 [ICM,7]; C07D0207-00 [ICM,7,C*]; A61K0031-4015 [ICS,7]
EP 1551801	IPCI	C07D0207-26 [ICM,7]; C07D0207-00 [ICM,7,C*]; A61K0031-4015 [ICS,7]
	IPCR	A61K0038-00 [N,A]; A61K0038-00 [N,C*]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]

OS MARPAT 140:181807
GI



- AB The invention provides novel substituted γ -lactams I [R1 is COR1a, SOR1a, SO2R1a, CO2R1a, CONHR1a, or R1a, where R1a is (un)substituted alkyl; R2 is (un)substituted alk(en)(yn)yl or cycloalkyl; R3 is (un)substituted alk(en)(yn)yl or phenyl; R4 is (un)substituted alk(en)(yn)yl; R5 is (un)substituted alkyl] or their stereoisomers and pharmaceutically-acceptable salts. These compds. inhibit the processing of amyloid precursor protein (APP) by β -secretase and are useful in the treatment of neurol. disorders related to β -amyloid production, e.g., Alzheimer's disease. Thus, γ -lactam II was prepared by a multistep procedure and inhibited β -secretase at 0.1 μ M.
- ST peptide amino gamma lactam prepn inhibitor beta secretase
- IT Brain, disease
(amyloid angiopathy; preparation of α -amino- γ -lactams as β -secretase inhibitors)
- IT Alzheimer's disease
Down's syndrome
(preparation of α -amino- γ -lactams as β -secretase inhibitors)
- IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α -amino- γ -lactams as β -secretase inhibitors)
- IT Amino acids, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of α -amino- γ -lactams as β -secretase inhibitors)
- IT Amyloid
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β -; preparation of α -amino- γ -lactams as β -secretase inhibitors)
- IT Lactams
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(γ -lactams; preparation of α -amino- γ -lactams as β -secretase inhibitors)

IT 158736-49-3, β Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of α -amino- γ -lactams as β -secretase inhibitors)

IT	658690-35-8P	658690-39-2P	658690-42-7P	658690-45-0P	658690-47-2P
	658690-50-7P	658690-51-8P	658690-52-9P	658690-53-0P	658690-54-1P
	658690-55-2P	658690-56-3P	658690-57-4P	658690-58-5P	658690-59-6P
	658690-60-9P	658690-61-0P	658690-62-1P	658690-63-2P	658690-64-3P
	658690-65-4P	658690-66-5P	658690-67-6P	658690-68-7P	658690-69-8P
	658690-70-1P	658690-71-2P	658690-72-3P	658690-73-4P	658690-74-5P
	658690-76-7P	658690-78-9P	658690-79-0P	658690-80-3P	658690-81-4P
	658690-82-5P	658690-83-6P	658690-84-7P	658690-85-8P	658690-86-9P
	658690-87-0P	658690-88-1P	658690-89-2P	658690-90-5P	658690-91-6P
	658690-92-7P	658690-93-8P	658691-80-6P	658691-81-7P	
	658691-82-8P	658691-83-9P	658691-84-0P	658691-85-1P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of α -amino- γ -lactams as β -secretase inhibitors)

IT 73-32-5, L Isoleucine, reactions 79-09-4, Propionic acid, reactions 100-52-7, Benzaldehyde, reactions 109-90-0, Ethyl isocyanate 110-78-1, Propyl isocyanate 352-34-1, 1 Fluoro 4 iodobenzene 407-25-0, Trifluoroacetic anhydride 503-66-2, 3 Hydroxypropionic acid 529-28-2, 2 Methoxyiodobenzene 591-81-1, 4 Hydroxybutanoic acid 594-44-5, Ethylsulfonyl chloride 625-45-6, Methoxyacetic acid 766-85-8, 1 Iodo 3 methoxybenzene 1121-86-4, 1 Fluoro 3 iodobenzene 1149-26-4 1738-87-0 1774-47-6, Trimethylsulfoxonium iodide 2450-71-7, Propargylamine 4152-90-3, 3 Chlorobenzylamine 4530-20-5 5071-96-5, 3 Methoxybenzylamine 5876-51-7, 1 Iodo 3 4 methylenedioxybenzene 7051-34-5, Cyclopropylmethyl bromide 7535-56-0, L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-4 nitrophenyl ester 10147-36-1, Propylsulfonyl chloride 13726-84-6 13734-34-4 30925-18-9 106860-17-7 128018-44-0 154261-50-4 178388-79-9, 1H-Imidazole-2-propanoic acid 213458-79-8 658691-16-8 658691-17-9 658691-18-0 658691-19-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of α -amino- γ -lactams as β -secretase inhibitors)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of α -amino- γ -lactams as β -secretase

inhibitors)

L3 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:696859 CAPLUS
DN 139:230480
ED Entered STN: 05 Sep 2003
TI Preparation of substituted amines prodrugs useful in treating Alzheimer's disease
IN Varghese, John; Jagodzinska, Barbara; Maillard, Michel; Beck, James P.; Tenbrink, Ruth E.; Getman, Daniel
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn
SO PCT Int. Appl., 483 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C233-78
ICS C07D295-20; C07D307-20; A61K031-166; A61K031-132; A61K031-33; A61P025-28; C07C271-16; C07C271-20; C07C217-58; C07C247-10; C07C247-12; C07C255-57; C07C311-16; C07D295-22
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 27, 28
FAN.CNT 1

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	NO 2004004046	A	20041115	NO 2004-4046	20040924
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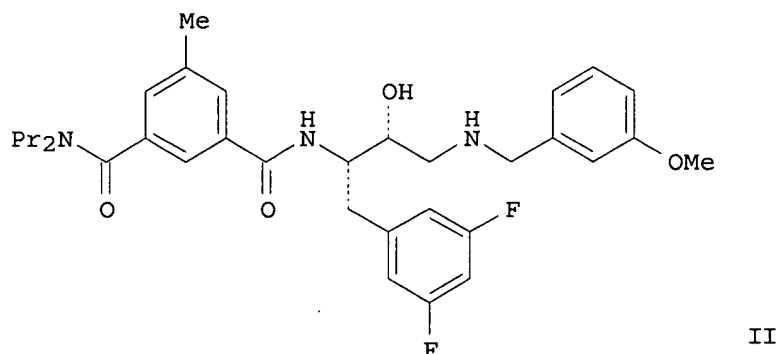
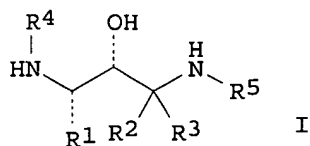
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 C07C0211-00 [ICS,7,C*]; C07C0217-58 [ICS,7];
 C07C0217-00 [ICS,7,C*]
 ECLA C07C217/58; C07C233/78; C07C247/10; C07C247/12;
 C07C255/57; C07C271/16; C07C271/20; C07D295/20B6;
 C07D295/22C2; C07D307/20
 US 2006106256 IPCI C07D0475-14 [I,A]; C07D0475-00 [I,C*]; C07D0473-14 [I,A]; C07D0473-00 [I,C*]; C07D0265-36 [I,A];
 C07D0265-00 [I,C*]; C07D0279-18 [I,A]; C07D0279-00 [I,C*]; C07D0471-02 [I,A]; C07D0471-00 [I,C*];
 C07D0215-38 [I,A]; C07D0215-00 [I,C*]; C07D0277-82 [I,A]; C07D0277-00 [I,C*]
 NCL 564/463.000; 544/037.000; 544/105.000; 544/209.000;
 544/224.000; 544/235.000; 544/237.000; 544/251.000;
 544/277.000; 544/290.000; 544/329.000; 546/085.000;
 546/118.000; 546/122.000; 546/159.000; 548/161.000;
 548/212.000; 548/444.000; 548/483.000; 548/557.000;
 548/561.000; 549/403.000



- AB Amines [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO₂, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH₂)₀₋₃cycloalkyl, etc.; e.g. N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide], useful in treating Alzheimer's disease and other similar diseases, were prepared. Although the methods of preparation are not claimed, hundreds of example preps. are included. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et₃N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II (N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide). The compds. I exhibit an IC₅₀ of < 50 μM against β-secretase.
- ST amine prepn beta secretase inhibitor Alzheimers disease; amyloid precursor protein cleavage inhibitor amine prepn; cognition enhancer amine prepn; Downs syndrome amine prepn; hereditary cerebral hemorrhage amyloidosis Dutch type amine prepn
- IT Amyloid precursor proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(APP695, inhibiting cleavage of; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Brain, disease
(amyloid angiopathy; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Brain, disease
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type, treatment of; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Parkinson's disease
(dementia associate with; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Mental and behavioral disorders
(dementia; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Amyloidosis

(hereditary, cerebral hemorrhage type, Dutch type, treatment of; preparation of substituted amines as β -secretase inhibitors for treatment of Alzheimer's disease)

IT Amyloid precursor proteins
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inhibiting cleavage of APP-751 isotype, APP-770 isotype, APP-695 Swedish mutation and APP-770 Swedish mutation; preparation of substituted amines as β -secretase inhibitors for treatment of Alzheimer's disease)

IT Alzheimer's disease
 Anti-Alzheimer's agents
 Cognition enhancers
 Cognitive disorders
 Human
 (preparation of substituted amines as β -secretase inhibitors for treatment of Alzheimer's disease)

IT Drug delivery systems
 (prodrugs; preparation of substituted amines as β -secretase inhibitors for treatment of Alzheimer's disease)

IT Paralysis
 (pseudobulbar, dementia associate with; preparation of substituted amines as β -secretase inhibitors for treatment of Alzheimer's disease)

IT Down's syndrome
 (treatment of; preparation of substituted amines as β -secretase inhibitors for treatment of Alzheimer's disease)

IT Amyloid
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (β -, inhibiting of beta-amyloid plaque; preparation of substituted amines as β -secretase inhibitors for treatment of Alzheimer's disease)

IT 388064-69-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate 388066-36-2P, N-[(1R,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-bromo-5-methylbenzamide 388071-98-5P, N-[(1S,2R)-1-[4-(Benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-[4-(benzyloxy)butyl]-5-methyl-N'-propylisophthalamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

IT 388062-16-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388062-17-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[2-furyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388062-18-8P, N-[(1S,2R)-1-Benzyl-3-(ethylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-19-9P, N-[(1S,2R)-1-Benzyl-3-(benzylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-20-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-N',N'-dipropylisophthalamide 388062-21-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(4-toluidino)propyl]-N',N'-dipropylisophthalamide 388062-22-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-methoxyphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-23-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-24-6P, Ethyl [[(3S)-3-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-hydroxy-4-phenylbutyl]amino](phenyl)acetate 388062-25-7P, N-[(1S)-1-Benzyl-2-hydroxy-3-[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-26-8P, N-[(1S,2R)-1-Benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-27-9P, N-[(1S,2R)-1-Benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-28-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-hydroxyethoxy)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-29-1P, N-[(1S,2R)-1-Benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-

hydroxypropyl]-N',N'-dipropylisophthalamide 388062-30-4P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl]-N',N'-
dipropylisophthalamide 388062-31-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-
[(tetrahydro-2-furanylmethyl)amino]propyl]-N',N'-dipropylisophthalamide
388062-32-6P, N-[(1S,2R)-1-Benzyl-3-[(2,2-diethoxyethyl)amino]-2-
hydroxypropyl]-N',N'-dipropylisophthalamide 388062-33-7P,
N-[(1S,2R)-1-Benzyl-3-(butylamino)-2-hydroxypropyl]-N',N'-
dipropylisophthalamide 388062-34-8P, N-[(1S,2R)-1-Benzyl-3-
(cyclohexylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide
388062-35-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-
pyridinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide
388062-36-0P, N-[(1S,2R)-3-[(2-Aminobenzyl)amino]-1-benzyl-2-
hydroxypropyl]-N',N'-dipropylisophthalamide 388062-37-1P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-pyridinyl)methyl]amino]propyl]-N',N'-
dipropylisophthalamide 388062-38-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-
(1-pyrrolidinyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide
388062-39-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-hydroxy-2-
phenylethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-40-6P,
N-[(1S,2R)-1-Benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl]-N',N'-
dipropylisophthalamide 388062-41-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-
isopropoxypropyl)amino]propyl]-N',N'-dipropylisophthalamide
388062-42-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopentylamino)propyl]-
N',N'-dipropylisophthalamide 388062-43-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-
3-[(3-phenylpropyl)amino]propyl]-N',N'-dipropylisophthalamide
388062-44-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-
methoxyethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-45-1P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl]-N',N'-
dipropylisophthalamide 388062-46-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-
propoxyethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-47-3P,
N-[(1S,2R)-1-Benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl]-N',N'-
dipropylisophthalamide 388062-48-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-
phenylbutyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-49-5P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-N',N'-
dipropylisophthalamide 388062-51-9P, N-[(1S,2R)-1-Benzyl-3-[(3-
chlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide
388062-52-0P, N-[(1S,2R)-1-Benzyl-3-[[2-(4-chlorophenyl)ethyl]amino]-2-
hydroxypropyl]-N',N'-dipropylisophthalamide 388062-53-1P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-pyridinyl)ethyl]amino]propyl]-N',N'-
dipropylisophthalamide 388062-54-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-
[[4-(4-pyridinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide
388062-56-4P, N-[(1S,2R)-1-Benzyl-3-[(2,3-dimethylbenzyl)amino]-2-
hydroxypropyl]-N',N'-dipropylisophthalamide 388062-57-5P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(trifluoromethoxy)benzyl]amino]propyl]-
N',N'-dipropylisophthalamide 388062-58-6P, N-[(1S,2R)-1-Benzyl-3-[(2-
chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl]-N',N'-
dipropylisophthalamide 388062-59-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-
(trifluoromethyl)benzyl]amino]propyl]-N',N'-dipropylisophthalamide
388062-60-0P, N-[(1S,2R)-1-Benzyl-3-[(2,3-dichlorobenzyl)amino]-2-
hydroxypropyl]-N',N'-dipropylisophthalamide 388062-61-1P,
N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-
dipropylisophthalamide 388062-62-2P, N-[(1S,2R)-1-Benzyl-3-[(3,5-
difluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide
388062-63-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-
(trifluoromethoxy)benzyl]amino]propyl]-N',N'-dipropylisophthalamide
388062-64-4P, N-[(1S,2R)-3-[[2-[4-(Aminosulfonyl)phenyl]ethyl]amino]-1-
benzyl-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-65-5P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl]-N',N'-
dipropylisophthalamide 388062-66-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-
methylbenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-67-7P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl]-
N',N'-dipropylisophthalamide 388062-68-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-
3-[[3-(trifluoromethoxy)benzyl]amino]propyl]-N',N'-dipropylisophthalamide
388062-69-9P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-
hydroxypropyl]-N',N'-dipropylisophthalamide 388062-70-2P,
N-[(1S,2R)-1-Benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl]-N',N'-

dipropylisophthalamide 388062-71-3P, N-[(1S,2R)-1-Benzyl-3-[[([1,1'-biphenyl]-3-yl)methyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide
388062-72-4P, N-[(1S,2R)-1-Benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-73-5P,
N-[(1S,2R)-1-Benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-74-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-N',N'-dipropylisophthalamide
388062-75-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-76-8P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1R)-1-phenylethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-77-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[([1S]-1-phenylethyl)amino]propyl]-N',N'-dipropylisophthalamide
388062-78-0P, N-[(1S,2R)-1-Benzyl-3-[[3,5-bis(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-79-1P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(trifluoromethyl)benzyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-80-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[([1S]-1-(1-naphthyl)ethyl)amino]propyl]-N',N'-dipropylisophthalamide
388062-81-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[([1R]-1-(1-naphthyl)ethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-82-6P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-hydroxy-3-methoxybenzyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-83-7P, N-[(1S,2R)-1-Benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide
388062-85-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[([1S]-2-hydroxy-1-methylethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-86-0P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[([1R]-2-hydroxy-1-methylethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-87-1P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-propynyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-88-2P, N-[(1S,2R)-1-Benzyl-3-[[2-(2-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide
388062-89-3P, N-[(1S,2R)-1-Benzyl-3-[[2-(3-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-90-6P,
N-[(1S,2R)-1-Benzyl-3-[[2-(4-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-91-7P, N-[(1S,2R)-1-Benzyl-3-[[2-(4-bromophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide
388062-92-8P, N-[(1S)-1-Benzyl-2-hydroxy-3-[[2-(3-methoxyphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide
388062-93-9P, N-[(1S,2R)-1-Benzyl-3-[[2-(2,4-dichlorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-94-0P,
N-[(1S,2R)-1-Benzyl-3-[[2-(3-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-95-1P, N-[(1S)-1-Benzyl-3-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide
388062-96-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-methylphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide
388062-97-3P, N-[(1S,2R)-1-Benzyl-3-[[([1R]-1-benzyl-2-hydroxyethyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-98-4P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(4-morpholinyl)propyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-99-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isobutylamino)propyl]-N',N'-dipropylisophthalamide 388063-00-1P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-morpholinyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388063-01-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-hydroxybutyl]amino]propyl]-N',N'-dipropylisophthalamide
388063-02-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-thienyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388063-03-4P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-hydroxybutyl]amino]propyl]-N',N'-dipropylisophthalamide 388063-04-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[([1S]-2-hydroxy-1-phenylethyl)amino]propyl]-N',N'-dipropylisophthalamide
388063-05-6P, N-[(1S,2R)-1-Benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-06-7P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[([1R]-2-hydroxy-1-phenylethyl)amino]propyl]-N',N'-dipropylisophthalamide 388063-07-8P,
N-[(1S,2R)-1-Benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-08-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl]-N',N'-dipropylisophthalamide 388063-09-0P,
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[([1R,2S]-2-hydroxy-2,3-dihydro-1H-inden-1-yl)amino]propyl]-N',N'-dipropylisophthalamide 388063-10-3P,

N-[(1S,2R)-1-Benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-11-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-(isobutylamino)-1-methyl-2-oxoethyl]amino]propyl]-N',N'-dipropylisophthalamide 388063-12-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino]propyl]-N',N'-dipropylisophthalamide 388063-13-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388063-14-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-15-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-(isobutylamino)-2-oxoethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-16-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-[(isobutylamino)carbonyl]propyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-17-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1R)-1-[(isobutylamino)carbonyl]propyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-18-1P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-19-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-21-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(isobutylamino)-2-methyl-3-oxopropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-22-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[4-(dimethylamino)benzyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-23-8P, N-[(1S,2R)-3-[[1S)-1-Benzyl-2-(isobutylamino)-2-oxoethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-24-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-25-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[2-(dimethylamino)ethyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-26-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-pyridinyl]methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-27-2P, N-[(1S,2R)-3-[[1S)-1-(Benzoyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-28-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-29-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-30-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-[(isobutylamino)carbonyl]butyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-31-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-32-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-33-0P, N-[(1S,2R)-3-[[1S)-2-(Benzylamino)-1-methyl-2-oxoethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-34-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-phenylpropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-35-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-36-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-37-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-38-5P, N-[(1S,2R)-3-(Cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-39-6P, N-[(1S,2R)-3-(Butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-40-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-41-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl]-5-methyl-N',N'-

dipropylisophthalamide 388063-42-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(1R)-1-phenylpropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-43-2P, N-[(1S,2R)-3-[(3-Chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-44-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(2-propylpentyl)sulfonyl]benzamide 388063-45-4P, N-[(1S,2R)-3-[[[(1,1'-Biphenyl)-3-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-46-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-47-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-48-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-49-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(1,3-thiazol-5-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-50-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(2-thienyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-51-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-52-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(2-pyrazinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-53-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-54-5P, N-[(1S,2R)-3-[[[(1,3-Benzodioxol-5-yl)methyl]amino]-1-benzyl-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-55-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-56-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-57-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-58-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethoxy)benzyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-59-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-60-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-61-4P, N-[(1S,2R)-3-[(3-Bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-62-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(5-methyl-2-furyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-64-7P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N',N'-dipropylisophthalamide 388063-65-8P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-66-9P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N',N'-dipropylisophthalamide 388063-67-0P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388063-68-1P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N',N'-dipropylisophthalamide 388063-69-2P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropyl-2,5-thiophenedicarboxamide 388063-70-5P, N'-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N,N'-dipropyl-2,4-pyridinedicarboxamide 388063-71-6P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropyl-4,6-pyrimidinedicarboxamide 388063-72-7P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(4-morpholinyl)carbonyl]benzamide 388063-73-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388063-74-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylpentanediamide 388063-75-0P, N-[(1S,2R)-3-[[[(1R)-1-[(Benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-76-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-

hydroxy-3-[[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
388063-77-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(pentylamino)propyl]-N',N'-dipropylisophthalamide 388063-79-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(1,3-thiazol-5-yl)methyl]amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388063-80-7P, 3-Benzoyl-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]benzamide 388063-81-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl][1,1'-biphenyl]-3-carboxamide 388063-82-9P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N'-(2-methoxyethyl)-N'-propylisophthalamide 388063-83-0P
, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-ethoxybenzamide 388063-84-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-naphthamide 388063-85-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-86-3P, N-[(1R)-3-[[3,5-Bis(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-87-4P, N-[(1S,2R)-1-Benzyl-3-[[2-fluoro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-88-5P, N-[(1S,2R)-1-Benzyl-3-[[2,3-difluorobenzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-89-6P, N-[(1S,2R)-1-Benzyl-3-[[3-fluoro-4-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-90-9P, N-[(1S,2R)-1-Benzyl-3-[[2,5-difluorobenzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-91-0P, N-[(1S,2R)-1-Benzyl-3-[[3-fluoro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-92-1P, N-[(1S,2R)-1-Benzyl-3-[[3,4-difluorobenzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-93-2P, N-[(1S,2R)-1-Benzyl-3-[[4-fluoro-3-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-94-3P, N-[(1S,2R)-1-Benzyl-3-[[2-chloro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-95-4P, N-[(1S,2R)-1-Benzyl-3-[[4-chloro-3-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-96-5P, N-[(1S,2R)-1-Benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-97-6P, N-[(1S)-1-Benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388063-98-7P, N-[(1S,2R)-1-Benzyl-3-[[3-(difluoromethoxy)benzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-99-8P, N-[(1S,2R)-1-Benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388064-00-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[(5-methyl-2-pyrazinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide 388064-01-5P, N-[(1S,2R)-1-Benzyl-3-[[3-bromo-4-fluorobenzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388064-02-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[3,5-dimethylbenzyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-03-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[3-ethoxybenzyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-04-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388064-05-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388064-06-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[(4-methyl-1,3-thiazol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388064-07-1P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N'-methyl-N'-propylisophthalamide 388064-08-2P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropyl-2,5-furandicarboxamide 388064-09-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388064-10-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-N',N'-dipropyl-3,5-

pyridinedicarboxamide 388064-11-7P, N-[(1S,2R)-3-Amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 388064-12-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-13-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1R)-7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388064-14-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1S)-7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388064-15-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(dimethylamino)benzamide 388064-16-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide 388064-17-3P, 3-(Aminosulfonyl)-N-[(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chlorobenzamide
 388064-18-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-cyanobenzamide 388064-19-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chloro-3-nitrobenzamide 388064-20-8P, Methyl 3-[[[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino]carbonyl]-5-nitrobenzoate
 388064-21-9P, tert-Butyl [3-[[[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino]carbonyl]phenyl]carbamate 388064-22-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthracenecarboxamide 388064-23-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide 388064-24-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide 388064-25-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-indole-5-carboxamide 388064-26-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-fluoro-5-(trifluoromethyl)benzamide 388064-27-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(trifluoromethyl)benzamide
 388064-28-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(butylamino)benzamide 388064-29-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(trifluoromethoxy)benzamide 388064-30-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-dimethoxybenzamide 388064-31-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-dimethylbenzamide 388064-32-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-difluorobenzamide 388064-33-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-dichlorobenzamide 388064-34-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(benzyloxy)benzamide 388064-35-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-benzodioxole-5-carboxamide 388064-36-6P, 3-(Acetylamino)-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]benzamide
 388064-37-7P, 4-(Acetylamino)-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]benzamide 388064-38-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(3,5-dimethyl-4-isoxazolyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-39-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388064-40-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(3-furyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

IT 388064-42-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388064-43-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(2-pyridinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388064-44-6P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N',N'-dipropylisophthalamide 388064-45-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-

methylphenyl)ethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388064-46-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-
 tetrahydronaphthalen-1-yl)amino]propyl]-5-methyl-N',N'-
 dipropylisophthalamide 388064-47-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-
 [(2,5-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-
 dipropylisophthalamide 388064-48-0P, N-[(1S,2R)-3-[[2-Chloro-5-
 (trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-
 methyl-N',N'-dipropylisophthalamide 388064-49-1P, N-[(1S,2R)-1-(3,5-
 Difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl]-5-
 methyl-N',N'-dipropylisophthalamide 388064-50-4P, N-[(1S,2R)-1-(3,5-
 Difluorobenzyl)-2-hydroxy-3-[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-
 yl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388064-51-5P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-((1R)-2,3-dihydro-1H-inden-1-ylamino)-
 2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-52-6P,
 5-Chloro-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-
 phenylethyl)amino]propyl]-N',N'-dipropylisophthalamide 388064-53-7P,
 N-[(1S,2R)-3-[[1-Benzofuran-2-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-
 hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-54-8P,
 N-[(1S,2R)-3-[[1R)-1-(3-Bromophenyl)ethyl]amino]-1-(3,5-difluorobenzyl)-2-
 hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-55-9P
 , N-[(1S,2R)-1-(4-Fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-
 methyl-N',N'-dipropylisophthalamide 388064-56-0P, N-[(1S,2R)-1-Benzyl-2-
 hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[butyl(butyryl)amino]-5-
 methylbenzamide 388064-57-1P, N-[1-Benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-4-methyl-N',N'-dipropylisophthalamide
 388064-58-2P, N-[1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-
 methyl-N,N-dipropylisophthalamide 388064-59-3P, N-[(1S,2R)-1-(3,5-
 Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-methyl-N',N'-
 dipropylisophthalamide 388064-60-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-1-butyl-1H-indole-6-carboxamide
 388064-61-7P, N-[(1S,2R)-3-Anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
 5-methyl-N',N'-dipropylisophthalamide 388064-62-8P, 5-Bromo-N-[(1S,2R)-3-
 [(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-
 dipropylisophthalamide 388064-63-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-
 hydroxy-3-[(3-iodobenzyl)amino]propyl]-4-methylpentanamide 388064-64-0P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-
 3-methylpentanamide 388064-65-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-
 hydroxy-3-[(3-hydroxybenzyl)amino]propyl]-5-methyl-N',N'-
 dipropylisophthalamide 388064-66-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-5-cyano-N',N'-dipropylisophthalamide
 hydrochloride 388064-67-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-N',N'-dipropyl-1,3,5-benzenetricarboxamide
 388064-70-8P, 5-(Aminosulfonyl)-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388064-71-9P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-
 dipropyl-5-[(1-pyrrolidinyl)sulfonyl]isophthalamide 388064-72-0P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-
 [(methylamino)sulfonyl]-N',N'-dipropylisophthalamide 388064-73-1P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-
 [(dimethylamino)sulfonyl]-N',N'-dipropylisophthalamide 388064-91-3P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(N-
 methylanilino)sulfonyl]propanamide 388064-95-7P, N-[(1S,2R)-1-(3,5-
 Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-
 [(dipropylamino)sulfonyl]propanamide 388064-96-8P, N-[(1S,2R)-1-Benzyl-2-
 hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-ethyl-N',N'-
 dipropylisophthalamide 388064-97-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-5-isobutyl-N',N'-dipropylisophthalamide
 388064-98-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-5-tert-butyl-N',N'-dipropylisophthalamide
 388064-99-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-5-cyano-N'-propylisophthalamide
 388065-00-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-N',N'-dipropyl-1,3,5-benzenetricarboxamide
 388065-01-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-
 methoxybenzyl)amino]propyl]-N',N'-dimethyl-N',N'-dipropyl-1,3,5-

benzenetricarboxamide 388065-02-9P, N-((1S,2R)-3-Amino-1-benzyl-2-hydroxypropyl)-N',N'-dipropyl-1,3,5-benzenetricarboxamide 388065-03-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopentylamino)propyl]-N',N'-dipropyl-1,3,5-benzenetricarboxamide 388065-04-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-propyl-1,3,5-benzenetricarboxamide 388065-05-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(butyryl)(propyl)amino]-5-methylbenzamide 388065-06-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-propyl-1H-indole-6-carboxamide 388065-07-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-propyl-1H-indole-6-carboxamide 388065-08-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-09-6P, N-[(1S,2R)-3-[(3-Aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-10-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]octanamide 388065-11-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388065-12-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-13-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-14-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylbenzamide 388065-15-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1H-isoindol-3-yl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-16-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-17-6P, N,N-Diallyl-5-chloro-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]isophthalamide 388065-18-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388065-19-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-20-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[3-(dimethylamino)benzyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-21-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[4,5-dimethyl-2-furyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-22-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-23-4P, N-[(1S,2R)-3-(Cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-24-5P, N-[(1S,2R)-3-[(Cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-25-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-N',N'-dipropylpentanediamide 388065-26-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[2-furyl)methyl]amino]-2-hydroxypropyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388065-27-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-28-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388065-29-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-30-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-methyl-2-furyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-31-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(2S)-tetrahydrofuran-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-32-5P, 5-Chloro-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-N',N'-di(2-propynyl)isophthalamide 388065-33-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-34-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-

propoxyethyl) amino] propyl] -5-methyl-N',N'-dipropylisophthalamide
 388065-35-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-36-9P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl) benzamide 388065-37-0P,
 Methyl 4-[[[(2R,3S)-4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino) carbonyl]-5-methylbenzoyl] amino]-2-hydroxybutyl] amino] methyl] benzoate
 388065-38-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl) amino] propyl]-5-methyl-N',N'-dipropylisophthalamide
 388065-39-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(5-isoxazolyl) methyl] amino] propyl]-5-methyl-N',N'-dipropylisophthalamide
 388065-40-5P, (1R,2R)-N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-N',N'-dipropyl-1,2-cyclopropanedicarboxamide
 388065-41-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(2S)-tetrahydrofuran-2-yl] methyl] amino] propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388065-42-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl) amino] propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-43-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl) amino] propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-44-9P, 4-(Butyrylamino)-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl] benzamide
 388065-45-0P, N-[(1S,2R)-3-[(3-Amino-3-oxopropyl) amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 388065-46-1P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 1-oxide
 388065-47-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-5-ethynyl-N',N'-dipropylisophthalamide
 388065-48-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethynylbenzyl) amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-49-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-yl) methyl] amino] propyl]-5-methyl-N',N'-dipropylisophthalamide
 388065-50-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(2-ethyl-1,3-thiazol-5-yl) methyl] amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 388065-51-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3R)-2-oxoazepan-3-yl] amino] propyl]-5-methyl-N',N'-dipropylisophthalamide
 388065-52-9P, N-[(1S,2R)-3-(Cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-53-0P, N-[(1S,2R)-3-(Butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N',N'-dipropylisophthalamide 388065-54-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-5-ethynyl-N',N'-dipropylisophthalamide 388065-55-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(5-hexynyl) amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 388065-56-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl) methyl] amino] propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide
 388065-58-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-(2-furyl)-1-methylethyl] amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 388065-59-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(3-isobutyl-5-isoxazolyl) methyl] amino] propyl]-5-methyl-N',N'-dipropylisophthalamide
 388065-60-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(2-isobutyl-1,3-thiazol-5-yl) methyl] amino] propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-61-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(3-ethylbenzyl) amino]-2-hydroxypropyl]-3-[(dipropylamino) sulfonyl] propanamide 388065-62-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-phenylethyl) amino] propyl]-N',N'-dipropylisophthalamide 388065-63-2P, N-[(1S,2R)-1-Benzyl-3-[[2-(2-chlorophenyl) ethyl] amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388065-64-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(2-oxo-1-pyrrolidinyl) propyl] amino] propyl]-N',N'-dipropylisophthalamide 388065-65-4P, N-[(1S,2R)-1-Benzyl-3-[[[(cyclohexylmethyl) amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388065-66-5P, N-[(1S,2R)-1-Benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388065-67-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl) amino] propyl]-N',N'-dipropylisophthalamide 388065-68-7P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl) benzamide 388065-69-8P, N-[(1S,2R)-1-Benzyl-3-[[2-[(2-ethylhexyl) oxy] ethyl] amino]-2-hydroxypropyl]-

N',N'-dipropylisophthalamide 388065-70-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]propyl]-N',N'-dipropylisophthalamide 388065-71-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[1-(4-hydroxyphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388065-72-3P, N-[(1S,2R)-1-Benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388065-73-4P, N-[(1S,2R)-1-Benzyl-3-[[[1,1'-biphenyl]-2-yl)methyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388065-74-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(dimethylamino)benzamide 388065-75-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-naphthamide 388065-76-7P, N-[(1S,2R)-1-Benzyl-3-[[2-[[5-[(dimethylamino)methyl]-2-furyl)methyl]sulfanyl]ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388065-77-8P, N-[(1S,2R)-1-Benzyl-3-[[2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388065-78-9P, N-[(1S,2R)-3-[[[1,1'-Biphenyl]-4-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-79-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-naphthyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-80-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-81-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-phenyl-1H-imidazol-5-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-82-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-imidazol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-83-6P, N-[(1S,2R)-3-[[2-Butyl-4-chloro-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-84-7P, N-[(1S,2R)-3-[[[6-Chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-85-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-benzimidazol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-86-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-hydroxy-1-naphthyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-87-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[4-oxo-4H-chromen-3-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-88-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-89-2P, N-[(1S,2R)-3-[[[5-Cyano-6-(methylsulfanyl)-2-pyridinyl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-90-5P, [5-[[[(2R,3S)-4-(3,5-Difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]amino]methyl]-2-furyl)methyl acetate 388065-91-6P, N-[(1S,2R)-3-[[[1-Benzofuran-3-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-92-7P, Methyl 4-[[[(2R,3S)-4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]amino]methyl]-1-methyl-1H-pyrrole-2-carboxylate 388065-93-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[1-(phenylsulfonyl)-1H-pyrrol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-94-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[1-methyl-1H-pyrrol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-95-0P, N-[(1S,2R)-3-[[[4-Chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-96-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-97-2P, N-[(1S,2R)-3-[[[5-Chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-98-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[3-phenyl-1H-pyrazol-4-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-99-4P, N-[(1S,2R)-3-[[[5-Chloro-2-thienyl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388066-00-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[3-phenoxy-2-

thienyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-01-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-quinolinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-02-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-quinolinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-03-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-1H-indol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-04-4P, N-[(1S,2R)-3-[[1-Benzyl-1H-indol-3-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-05-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-1H-indol-3-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-06-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-07-7P, N-[(1S,2R)-3-[[2-Butyl-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-08-8P, Methyl 3-[[[(2R,3S)-4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]amino]methyl]-1H-indole-6-carboxylate
 388066-12-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(cyanomethyl)-N',N'-dipropylisophthalamide
 388066-14-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(hydroxymethyl)-N',N'-dipropylisophthalamide
 388066-16-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-ethynyl-N',N'-dipropylisophthalamide
 388066-17-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-N',N'-dipropyl-5-prop-1-ynylisophthalamide
 388066-18-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-5-ethynyl-N',N'-dipropylisophthalamide
 388066-19-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-ethynyl-N',N'-dipropylisophthalamide
 388066-20-4P, N-[(1S,2R)-1-Benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl]-5-ethynyl-N',N'-dipropylisophthalamide
 388066-21-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-(8-quinolinyl)isophthalamide
 388066-25-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4'-[(dimethylamino)sulfonyl]-N',N'-dipropyl-1,1'-biphenyl-3,5-dicarboxamide
 388066-26-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4'-[(dimethylamino)sulfonyl]-N',N'-dipropyl-1,1'-biphenyl-3,5-dicarboxamide
 388066-28-2P, N-[(1R,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-methyl-5-pentanoylbenzamide
 388066-29-3P, N-(4-Hydroxybutyl)-N'-[(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N-propylisophthalamide
 388066-30-6P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-N'-(3-hydroxypropyl)-5-methyl-N-propylisophthalamide
 388066-31-7P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-32-8P, N-[(1S,2R)-1-Benzyl-3-[[3-(2,4-dimethylphenyl)propyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-34-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-35-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-dioxo-2-propyl-5-isoindolinecarboxamide
 388066-37-3P, 3-Bromo-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methylbenzamide
 388066-38-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-methyl-N',N'-dipropylisophthalamide
 388066-39-5P, N'-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-methyl-N,N'-dipropylisophthalamide
 388066-40-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(2-furyl)-5-methylbenzamide
 388066-41-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide
 388066-42-0P, 3'-Acetyl-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl[1,1'-biphenyl]-3-carboxamide
 388066-43-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide
 388066-44-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl[1,1'-biphenyl]-3-carboxamide

388066-45-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-methyl-5-(2-thienyl)benzamide
 388066-46-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-methyl-5-(3-thienyl)benzamide
 388066-47-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methyl-5-(3-thienyl)benzamide 388066-48-6P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-methyl-5-(3-thienyl)benzamide 388066-50-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylbenzene-1,3,5-tricarboxamide 388066-52-2P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylbenzene-1,3,5-tricarboxamide
 388066-53-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-[[trifluoromethyl)sulfonyl]amino]isophthalamide 388066-54-4P, 5-Amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide
 388066-55-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide 388066-58-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-[(thien-2-yl)carbonyl]amino]isophthalamide 388066-59-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(methacryloylamino)-N',N'-dipropylisophthalamide 388066-60-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(2,2-dimethylpropanoyl)amino]-N',N'-dipropylisophthalamide 388066-61-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(phenylsulfonyl)amino]-N',N'-dipropylisophthalamide 388066-62-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(methylthio)pentanamide 388066-64-6P,
 N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-methyl-5-[(propionyl)(propyl)amino]benzamide 388066-65-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-butyl-1H-indole-5-carboxamide
 388066-67-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[butyl(propionyl)amino]-5-methylbenzamide
 388066-69-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-(1-propylbutyl)-1H-indole-6-carboxamide
 388066-70-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide
 388066-71-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropyl-5-[[trifluoromethyl)sulfonyl]amino]isophthalamide 388066-72-6P, 3-[[[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino]carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid 388066-74-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-(dipropylamino)isonicotinamide
 388066-75-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-2-hydroxy-2-(4-methylphenyl)acetamide
 388066-76-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4-hydroxy-N'-methylisophthalamide 388066-77-1P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide 388066-78-2P,
 5-(Aminosulfonyl)-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-2-methoxybenzamide 388066-79-3P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4-hydroxy-3-[(pyrrolidin-1-yl)carbonyl]benzamide 388066-80-6P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide 388066-81-7P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(3,5-dimethylisoxazol-4-yl)-N',N'-dipropylisophthalamide 388066-82-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide 388066-83-9P, 3-(Cyclohexylcarbonyl)-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methylbenzamide 388066-84-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N'-propylisophthalamide
 388066-85-1P, 3-[Cyclohexyl(hydroxy)methyl]-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methylbenzamide 388066-86-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-

ethylbenzyl) amino]-2-hydroxypropyl]-5-(4-methyl-1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide 388066-87-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N',N'-dipropylpyridine-3,5-dicarboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

IT 388066-88-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388066-89-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethynylbenzyl) amino]-2-hydroxypropyl]-N',N'-dipropylpyridine-3,5-dicarboxamide 388066-90-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl) amino]propyl]-N',N'-dipropylpyridine-3,5-dicarboxamide 388066-91-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(4-hydroxy-1-butynyl) benzyl] amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388066-92-0P, 1-[3-[[[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl] amino] carbonyl]-5-methylbenzoyl]-L-prolinamide 388066-94-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N'-isopropyl-5-methylisophthalamide 388066-96-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N'-ethyl-N',5-dimethylisophthalamide 388066-98-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N',5-dimethyl-N'-prop-2-ynylisophthalamide 388066-99-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N'-isobutyl-5-methylisophthalamide 388067-00-3P, N-(sec-Butyl)-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-5-methylisophthalamide 388067-01-4P, N-Butyl-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-5-methylisophthalamide 388067-02-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N',N'-diethyl-5-methylisophthalamide 388067-03-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N',5-dimethyl-N'-propylisophthalamide 388067-04-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N'-isopropyl-N',5-dimethylisophthalamide 388067-05-8P, N-Butyl-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N,5-dimethylisophthalamide 388067-06-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N'-isobutyl-N',5-dimethylisophthalamide 388067-07-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N'-ethyl-5-methyl-N'-propylisophthalamide 388067-08-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N'-ethyl-N'-isopropyl-5-methylisophthalamide 388067-09-2P, N,N-Diallyl-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-5-methylisophthalamide 388067-10-5P, 3-[(Azepan-1-yl) carbonyl]-N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-5-methylbenzamide 388067-11-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-3-[(4-hydroxypiperidin-1-yl) carbonyl]-5-methylbenzamide 388067-12-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-3-[(3-hydroxypiperidin-1-yl) carbonyl]-5-methylbenzamide 388067-13-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N',N'-diisopropyl-5-methylisophthalamide 388067-15-0P, N-(Cyclopropylmethyl)-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-5-methyl-N-propylisophthalamide 388067-16-1P, 1-[3-[[[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl] amino] carbonyl]-5-methylbenzoyl]-D-prolinamide 388067-17-2P, N-Cyclohexyl-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N,5-dimethylisophthalamide 388067-18-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-methylphenyl) cyclopropyl] amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388067-19-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1,2,3,4-tetrahydronaphthalen-1-yl) amino]propyl]-N',N'-

diisopropylpyridine-3,5-dicarboxamide 388067-20-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[[trifluoromethyl)sulfonyl]amino]benzamide 388067-22-9P, 5-Chloro-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-N',N'-bis(2-methoxyethyl)isophthalamide 388067-23-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4'-methoxy-N',N'-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride 388067-29-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388067-45-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropyl-5-prop-1-ynylisophthalamide 388071-47-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-3-(methylsulfonyl)propanamide hydrochloride 388071-48-5P 388071-49-6P, 2-Amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-thiazole-4-carboxamide dihydrochloride 388071-50-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(methylsulfonyl)pentanamide hydrochloride 388071-51-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-phenylsuccinamide hydrochloride 388071-52-1P, (3R)-N'-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2,2,3-trimethylbutanediamide hydrochloride 388071-53-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(dipropylamino)sulfonyl]propanamide hydrochloride 388071-54-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylpentanediamide hydrochloride 388071-55-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-oxo-4-(1-piperidinyl)butanamide hydrochloride 388071-56-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylsuccinamide hydrochloride 388071-57-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide hydrochloride 388071-58-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-phenylpentanediamide hydrochloride 388071-59-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide hydrochloride 388071-60-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(isopentylsulfonyl)butanamide hydrochloride 388071-61-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2,2-dimethyl-N',N'-dipropylsuccinamide hydrochloride 388071-62-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-[(dipropylamino)sulfonyl]butanamide hydrochloride 388071-63-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-[(N-methylanilino)sulfonyl]butanamide hydrochloride 388071-64-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]acetamide hydrochloride 388071-65-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(isopentylsulfonyl)propanamide hydrochloride 388071-66-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate 388071-79-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride 388071-81-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride 388071-85-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-(3-thienyl)isophthalamide hydrochloride 388072-01-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(4-methylphenyl)propyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide hydrochloride 388072-04-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N',N',N'-tetrapropylbenzene-1,3,5-tricarboxamide hydrochloride 388072-05-7P, Ethyl 3-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino]carbonyl]-5-[(dipropylamino)carbonyl]benzoate hydrochloride 388072-06-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(methylsulfonyl)amino]-N',N'-dipropylisophthalamide hydrochloride 388072-07-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-

methoxybenzyl) amino] propyl] -N',N'-dipropyl-5- [[(thien-2-yl) sulfonyl] amino] isophthalamide hydrochloride 388072-16-0P,
 3-Amino-N- [(1S,2R)-1-benzyl-2-hydroxy-3- [(3-methoxybenzyl) amino] propyl] -2-methylbutanamide dihydrochloride 388072-17-1P, N- [(1S,2R)-1-Benzyl-2-hydroxy-3- [(3-methoxybenzyl) amino] propyl] -2-ethylhexanamide hydrochloride 388072-18-2P, N- [(1S,2R)-1-Benzyl-2-hydroxy-3- [(3-iodobenzyl) amino] propyl] -3- [(isobutylsulfonyl) amino] propanamide trifluoroacetate 388072-20-6P,
 5-Bromo-N- [(1S,2R)-1- (3,5-difluorobenzyl) -2-hydroxy-3- [(3-iodobenzyl) amino] propyl] -N',N'-dipropylisophthalamide hydrochloride 388072-21-7P, N- [(1S,2R)-3- [(1-Acetyl)piperidin-4-yl] amino] -1- (3,5-difluorobenzyl) -2-hydroxypropyl] -5-methyl-N',N'-dipropylisophthalamide 388072-22-8P, N- [(1S,2R)-1- (3,5-Difluorobenzyl) -2-hydroxy-3- [(3-pent-1-ynylbenzyl) amino] propyl] -5-methyl-N',N'-dipropylisophthalamide 388086-39-3P, N- [(1S,2R)-1-Benzyl-2-hydroxy-3- [(3-methoxybenzyl) amino] propyl] -4-methyl-3- (3-thienyl) benzamide 388569-62-8P,
 , N- [(1S,2R)-1- (3,5-Difluorobenzyl) -3- [((3R,5S) -3,5-dimethoxycyclohexyl) amino] -2-hydroxypropyl] -5-methyl-N',N'-dipropylisophthalamide 388569-63-9P, Dimethyl (1R,3S) -5- [[(2R,3S) -4- (3,5-difluorophenyl) -3- [(3- [(dipropylamino) carbonyl] -5-methylbenzoyl] amino] -2-hydroxybutyl] amino] -1,3-cyclohexanedicarboxylate 388569-64-0P,
 (1R,3S) -5- [[(2R,3S) -4- (3,5-Difluorophenyl) -3- [(3- [(dipropylamino) carbonyl] -5-methylbenzoyl] amino] -2-hydroxybutyl] amino] -1,3-cyclohexanedicarboxylic acid 388569-65-1P, N- [(1S,2R)-1- (3,5-Difluorobenzyl) -2-hydroxy-3- [(7-oxabicyclo[2.2.1]hept-2-yl) methyl] amino] propyl] -5-methyl-N',N'-dipropylisophthalamide 590423-32-8P, N- [(1S)-1-Benzyl-2-hydroxy-3- [(4-nitrobenzyl) amino] propyl] -N',N'-dipropylisophthalamide 590423-33-9P,
 N- [(1S,2R)-1-Benzyl-2-hydroxy-3- [[2- (1-methyl-2-pyrrolidinyl) ethyl] amino] propyl] -N',N'-dipropylisophthalamide 590423-34-0P, N- [(1S)-1-Benzyl-2-hydroxy-3- [(3-methoxypropyl) amino] propyl] -N',N'-dipropylisophthalamide 590423-35-1P, N- [(1S,2R)-1- (3,5-Difluorobenzyl) -2-hydroxy-3- (isobutylamino) propyl] -5-methyl-N',N'-dipropylisophthalamide 590423-36-2P, N- [(1S,2R)-1- (3,5-Difluorobenzyl) -2-hydroxy-3- [(1S)-5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl] amino] propyl] -5-methyl-N',N'-dipropylisophthalamide 590423-37-3P, N- [(1S,2R)-1- (3,5-Difluorobenzyl) -2-hydroxy-3- [(1R)-5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl] amino] propyl] -5-methyl-N',N'-dipropylisophthalamide 590423-38-4P, N- [(1S,2R)-1-Benzyl-2-hydroxy-3- [(1,2,3,4-tetrahydro-1-naphthalenyl) amino] propyl] -N',N'-dipropylisophthalamide 590423-39-5P,
 N- [(1S)-3- [[2- [4- (Aminosulfonyl) phenyl] ethyl] amino] -1-benzyl-2-hydroxypropyl] -N',N'-dipropylisophthalamide 590423-46-4P,
 N- [(1S,2R)-1- (3,5-Difluorobenzyl) -2-hydroxy-3- [(1-methyl-1-phenylethyl) amino] propyl] -N',N'-dipropylpentanediamide 590423-47-5P,
 3- [[[(1S,2R)-1-Benzyl-2-hydroxy-3- [(3-methoxybenzyl) amino] propyl] amino] carbonyl] -5- [butyl (butyryl) amino] benzyl diethyl phosphate 590423-68-0P,
 N- [(1S,2R)-1-Benzyl-2-hydroxy-3- [(3-methoxybenzyl) amino] propyl] -4-methyl-1-3-propyl-1H-indole-6-carboxamide 590423-69-1P, N-Butyl-N'- [(1S,2R)-1- (3,5-difluorobenzyl) -3- [(3-ethylbenzyl) amino] -2-hydroxypropyl] -N'-ethyl-5-methylisophthalamide 590423-70-4P, 1- [(Benzylamino) methyl] -3- (3,5-difluorophenyl) -2- [[3- [(dipropylamino) carbonyl] benzoyl] amino] propyl 4-nitrophenyl carbonate 590423-72-6P, 1- [(Benzylamino) methyl] -3- (3,5-difluorophenyl) -2- [[3- [(dipropylamino) carbonyl] benzoyl] amino] propyl 4-methylpiperazine-1-carboxylate 590423-73-7P, 1- [(Benzylamino) methyl] -3- (3,5-difluorophenyl) -2- [[3- [(dipropylamino) carbonyl] benzoyl] amino] propyl O-benzyl-L-tyrosinate 590423-75-9P, 1- [(Benzylamino) methyl] -3- (3,5-difluorophenyl) -2- [[3- [(dipropylamino) carbonyl] benzoyl] amino] propyl 2- (dimethylamino) ethyl carbonate 590423-76-0P, 1- [(Benzylamino) methyl] -3- (3,5-difluorophenyl) -2- [[3- [(dipropylamino) carbonyl] benzoyl] amino] propyl [2- (acetyl amino) ethyl] carbamate 590423-77-1P, 1- [(Benzylamino) methyl] -3- (3,5-difluorophenyl) -2- [[3- [(dipropylamino) carbonyl] benzoyl] amino] propyl piperazine-1-carboxylate 590423-78-2P, 1- [(Benzylamino) methyl] -3- (3,5-difluorophenyl) -2- [[3- [(dipropylamino) carbonyl] benzoyl] amino] propyl (2-aminoethyl) carbamate 590423-79-3P, 1- [(Benzylamino) methyl] -3- (3,5-difluorophenyl) -2- [[3- [(dipropylamino) carbonyl] benzoyl] amino] propyl (3-aminopropyl) carbamate 590423-80-6P, 1- [(Benzylamino) methyl] -3- (3,5-difluorophenyl) -2- [[3- [(dipropylamino) carbonyl] benzoyl] amino] propyl

(3R)-3-aminopyrrolidine-1-carboxylate 590423-81-7P, 1-
 [(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-
 [(dipropylamino)carbonyl]benzoyl]amino]propyl L-tyrosinate 590423-82-8P,
 1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-
 [(dipropylamino)carbonyl]benzoyl]amino]propyl 3-methoxypropanoate
 590423-83-9P, 1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-
 [(dipropylamino)carbonyl]benzoyl]amino]propyl (2-hydroxyethoxy)acetate
 590423-84-0P, 1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-
 [(dipropylamino)carbonyl]benzoyl]amino]propyl D-lysinate 590423-85-1P,
 1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-
 [(dipropylamino)carbonyl]benzoyl]amino]propyl 2-(2-
 methoxyethoxy)ethoxy]acetate 590423-86-2P, 1-[(Benzylamino)methyl]-3-
 (3,5-difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl
 2-[[2-(dimethylamino)ethyl](methyl)amino]ethoxy]acetate 590423-87-3P,
 1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-
 [(dipropylamino)carbonyl]benzoyl]amino]propyl (4-methylpiperazin-1-
 yl)acetate 590423-88-4P, (1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-
 [(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-[[3-pent-1-
 ynylbenzyl]amino]methyl]propyl (4-methylpiperazin-1-yl)acetate
 590423-89-5P, (1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-
 [(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-[[3-pent-1-
 ynylbenzyl]amino]methyl]propyl 4-methylpiperazine-1-carboxylate
 590423-90-8P, (1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-
 [(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-[[3-pent-1-
 ynylbenzyl]amino]methyl]propyl piperazine-1-carboxylate 590423-91-9P,
 (1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-
 methylbenzoyl]amino]-1-[[3-pent-1-ynybenzyl]amino]methyl]propyl
 2-[[2-(dimethylamino)ethyl](methyl)amino]ethoxy]acetate 590423-92-0P,
 (1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-
 methylbenzoyl]amino]-1-[[3-pent-1-ynybenzyl]amino]methyl]propyl
 (2-methoxyethoxy)acetate 590423-93-1P, (1R,2S)-3-(3,5-Difluorophenyl)-2-
 [[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-[[3-pent-1-
 ynylbenzyl]amino]methyl]propyl L-tyrosinate 590423-94-2P,
 (1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-
 methylbenzoyl]amino]-1-[[3-pent-1-ynybenzyl]amino]methyl]propyl
 (3R)-3-aminopyrrolidine-1-carboxylate 590423-95-3P, (1R,2S)-3-(3,5-
 Difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-
 [[3-pent-1-ynybenzyl]amino]methyl]propyl tetrahydrofuran-3-yl carbonate
 590423-96-4P, (1R,2S)-1-[(Cyclohexylamino)methyl]-2-[[3-
 [(dipropylamino)carbonyl]benzoyl]amino]-3-phenylpropyl
 4-methylpiperazine-1-carboxylate 590423-97-5P, (1R,2S)-1-
 [(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-
 phenylpropyl piperazine-1-carboxylate 590423-98-6P, (1R,2S)-1-
 [(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-
 phenylpropyl 2-[[2-(dimethylamino)ethyl](methyl)amino]ethoxy]acetate
 590423-99-7P, (1R,2S)-1-[(Cyclohexylamino)methyl]-2-[[3-
 [(dipropylamino)carbonyl]benzoyl]amino]-3-phenylpropyl
 (2-methoxyethoxy)acetate 590424-00-3P, (1R,2S)-1-
 [(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-
 phenylpropyl L-tyrosinate 590424-01-4P, (1R,2S)-1-
 [(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-
 phenylpropyl (3R)-3-aminopyrrolidine-1-carboxylate 590424-02-5P,
 (1R,2S)-1-[(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]
]amino]-3-phenylpropyl tetrahydrofuran-3-yl carbonate
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of substituted amine prodrugs useful in
 treating Alzheimer's disease)

IT 158736-49-3, β -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inhibitors; preparation of substituted amines as β -secretase
 inhibitors for treatment of Alzheimer's disease)

IT 51-36-5, 3,5-Dichlorobenzoic acid 55-81-2, [2-(4-
 Methoxyphenyl)ethyl]amine 60-18-4, L-Tyrosine, reactions 62-53-3,

Aniline, reactions 64-04-0, (2-Phenylethyl)amine 74-99-7, Propyne
 75-31-0, Isopropylamine, reactions 78-81-9, (2-Methylpropyl)amine
 78-96-6, (2-Hydroxypropyl)amine 86-58-8, 8-Quinolineboronic acid
 89-93-0, [(2-Methylphenyl)methyl]amine 89-97-4, [(2-
 Chlorophenyl)methyl]amine 93-48-1, 2,5-Dimethylbenzylamine 94-53-1,
 1,3-Benzodioxole-5-carboxylic acid 95-00-1, [(2,4-
 Dichlorophenyl)methyl]amine 96-99-1, 4-Chloro-3-nitrobenzoic acid
 98-01-1, 2-Furaldehyde, reactions 98-80-6, Phenylboronic acid 99-64-9,
 3-Dimethylaminobenzoic acid 100-46-9, Benzylamine, reactions 100-81-2,
 [(3-Methylphenyl)methyl]amine 100-82-3, 3-Fluorobenzylamine 102-14-7,
 4-Anilino-4-oxobutanoic acid 102-48-7, [(3,4-Dimethylphenyl)methyl]amine
 102-49-8, [(3,4-Dichlorophenyl)methyl]amine 104-84-7,
 [(4-Methylphenyl)methyl]amine 104-86-9, [(4-Chlorophenyl)methyl]amine
 106-94-5, 1-Bromopropane 107-10-8, Propylamine, reactions 107-85-7,
 (3-Methylbutyl)amine 108-00-9, [2-(Dimethylamino)ethyl]amine 108-01-0,
 2-Dimethylaminoethanol 108-91-8, Cyclohexylamine, reactions 109-01-3,
 1-Methylpiperazine 109-73-9, Butylamine, reactions 109-85-3,
 (2-Methoxyethyl)amine 110-58-7, Pentylamine 117-78-2,
 9,10-Dioxo-9,10-dihydro-2-anthracenecarboxylic acid 119-62-0 123-00-2,
 [3-(Morpholino)propyl]amine 123-75-1, Pyrrolidine, reactions 140-75-0,
 [(4-Fluorophenyl)methyl]amine 142-84-7, Dipropylamine 149-57-5,
 2-Ethylhexanoic acid 156-41-2, [2-(4-Chlorophenyl)ethyl]amine
 404-70-6, [2-(3-Fluorophenyl)ethyl]amine 454-92-2, 3-
 (Trifluoromethyl)benzoic acid 455-40-3, 3,5-Difluorobenzoic acid
 499-06-9, 3,5-Dimethylbenzoic acid 541-46-8, Isovaleramide 556-08-1,
 4-(Acetylamino)benzoic acid 579-18-0, 3-Benzoylbenzoic acid 582-22-9,
 (2-Phenylpropyl)amine 585-32-0, (1-Methyl-1-phenylethyl)amine
 587-48-4, 3-(Acetylamino)benzoic acid 590-86-3, Isovaleraldehyde
 617-89-0, [(Furan-2-yl)methyl]amine 618-36-0, (1-Phenylethyl)amine
 621-51-2, 3-Ethoxybenzoic acid 626-90-4 645-36-3, (2,2-
 Diethoxyethyl)amine 645-83-0, 3-(Methylsulfonyl)propanoic acid
 646-07-1, 4-Methylpentanoic acid 693-04-9, Butylmagnesium chloride
 696-40-2, 3-Iodobenzylamine 707-60-8, N,N-Dimethyl-4-
 Bromobenzenesulfonamide 709-19-3, 2-Methyl-1H-benzimidazole-5-carboxylic
 acid 716-76-7, 1,1'-Biphenyl-3-carboxylic acid 867-13-0, Triethyl
 phosphonoacetate 923-27-3, D-Lysine 929-06-6, [2-(2-
 Hydroxyethoxy)ethyl]amine 1001-53-2, N-Acetylenediamine
 1014-81-9, 3-(Trifluoromethoxy)benzoic acid 1132-21-4,
 3,5-Dimethoxybenzoic acid 1196-92-5, [(4-Hydroxy-3-
 methoxyphenyl)methyl]amine 1205-30-7, 3-(Aminosulfonyl)-4-chlorobenzoic
 acid 1436-34-6, 1,2-Epoxyhexane 1486-51-7, 4-(Benzyloxy)benzoic acid
 1583-88-6, [2-(4-Fluorophenyl)ethyl]amine 1670-81-1, Indole-5-carboxylic
 acid 1758-46-9, (2-Phenoxyethyl)amine 1877-72-1, 3-Cyanobenzoic acid
 1955-46-0, Monomethyl 5-nitroisophthalate 2038-03-1,
 [2-(Morpholino)ethyl]amine 2038-57-5, (3-Phenylpropyl)amine 2039-67-0,
 [2-(3-Methoxyphenyl)ethyl]amine 2217-40-5, 1,2,3,4-Tetrahydro-1-
 naphthalenylamine 2359-09-3, 5-tert-Butylisophthalic acid 2393-23-9,
 [(4-Methoxyphenyl)methyl]amine 2450-71-7, (2-Propynyl)amine 2544-06-1,
 3-Methoxypropionic acid 2620-50-0, [(Benzodioxol-5-yl)methyl]amine
 2621-79-6 2627-86-3, (S)-1-Phenylethylamine 2706-56-1,
 [2-(Pyridin-2-yl)ethyl]amine 2740-83-2, [(3-
 (Trifluoromethyl)phenyl)methyl]amine 2749-11-3, ((S)-2-Hydroxy-1-
 methylethyl)amine 2906-12-9, (3-Isopropoxypropyl)amine 2975-41-9,
 (2,3-Dihydro-1H-inden-2-yl)amine 3048-01-9, [(2-
 (Trifluoromethyl)phenyl)methyl]amine 3082-64-2, (R)-1-Phenylpropylamine
 3261-62-9, [2-(4-Methylphenyl)ethyl]amine 3300-51-4,
 [[4-(Trifluoromethyl)phenyl)methyl]amine 3600-86-0, [2-(2,5-
 Dimethoxyphenyl)ethyl]amine 3718-88-5, 3-Iodobenzylamine hydrochloride
 3731-51-9, [(Pyridin-2-yl)methyl]amine 3731-52-0, [(Pyridin-3-
 yl)methyl]amine 3731-53-1, [(Pyridin-4-yl)methyl]amine 3789-59-1,
 (S)-1-Phenylpropylamine 3858-80-8, 3,5-Dimethylbenzylamine 3886-69-9,
 (R)-1-Phenylethylamine 3886-70-2, (R)-1-(Naphth-1-yl)ethylamine
 4105-93-5, Diethyl 1,3,5-benzenetricarboxylate 4152-90-3,
 [(3-Chlorophenyl)methyl]amine 4403-69-4, [(2-Aminophenyl)methyl]amine
 4412-96-8, 3-Methyl-2-furoic acid 4543-47-9, (3-Furylmethyl)amine

4672-17-7, 4-Oxo-4-(1-piperidinyl)butanoic acid 4740-24-3,
 4-(Butylamino)benzoic acid 4795-29-3, [(Tetrahydrofuran-2-
 yl)methyl]amine 5070-13-3, Di-p-nitrophenyl carbonate 5071-96-5,
 3-Methoxybenzylamine 5267-64-1, (R)-1-(Hydroxymethyl)-2-phenylethylamine
 5332-73-0, (3-Methoxypropyl)amine 5414-99-3, 5-Anilino-5-oxopentanoic
 acid 5720-07-0, 4-Methoxyphenylboronic acid 6120-95-2,
 1-Phenylcyclopropanecarboxylic acid 6165-69-1, 3-Thiopheneboronic acid
 6836-19-7, 7-Methoxy-1-tetralone 7154-73-6, [2-(Pyrrolidin-1-
 yl)ethyl]amine 7409-18-9, 3-Nitrobenzylamine 7409-30-5,
 [(4-Nitrophenyl)methyl]amine 7568-93-6, (2-Hydroxy-2-phenylethyl)amine
 7697-26-9, 3-Bromo-4-methylbenzoic acid 10269-01-9, 3-Bromobenzylamine
 10277-74-4, ((1R)-2,3-Dihydro-1H-inden-1-yl)amine 10365-98-7,
 3-Methoxyphenylboronic acid 10385-30-5, 4-Benzyloxybutyric acid
 10420-89-0, (S)-1-(Naphth-1-yl)ethylamine 13078-79-0,
 [2-(3-Chlorophenyl)ethyl]amine 13214-66-9, (4-Phenylbutyl)amine
 13325-10-5, (4-Hydroxybutyl)amine 13331-23-2, 2-Furanylboronic acid
 13382-47-3, (2-Hydroxyethoxy)acetic acid 14003-16-8,
 [(5-Methylfuran-2-yl)methyl]amine 15673-00-4, (3,3-Dimethylbutyl)amine
 15996-78-8, 2-Chloro-5-trifluoromethylbenzylamine 16024-58-1,
 [2-(2-Methoxyethoxy)ethoxy]acetic acid 16499-88-0, (3-Butoxypropyl)amine
 16677-29-5, N-CBz-O-Benzyl-L-tyrosine 18638-99-8, [(3,4,5-
 Trimethoxyphenyl)methyl]amine 19293-58-4, [[4-
 (Dimethylamino)phenyl)methyl]amine 19788-37-5, 4-Chloromethyl-3,5-
 dimethylisoxazole 20010-99-5, [(Pyrazin-2-yl)methyl]amine 20781-20-8,
 [(2,4-Dimethoxyphenyl)methyl]amine 20989-17-7, (S)-2-Hydroxy-1-
 phenylethylamine 23357-52-0, ((1S)-1,2,3,4-Tetrahydro-1-
 naphthalenyl)amine 23814-12-2, 1H-1,2,3-Benzotriazole-6-carboxylic acid
 25611-78-3, 1,2-Diphenylethylamine 27513-44-6, Methyl
 (2S)-3-[4-(benzyloxy)phenyl]-2-[(tert-butoxycarbonyl)amino]propanoate
 27757-85-3, [(Thien-2-yl)methyl]amine 30433-91-1, [2-(Thien-2-
 yl)ethyl]amine 30568-40-2, [1-Methyl-1-(3-methylphenyl)ethyl]amine
 33142-21-1, Ethyl formylchloroacetate 34698-41-4, Indan-1-ylamine
 34967-24-3, [(3,5-Dimethoxyphenyl)methyl]amine 35303-76-5,
 [2-[4-(Aminosulfonyl)phenyl]ethyl]amine 35320-23-1, (R)-2-Hydroxy-1-
 methylethylamine 37491-68-2, [(3,4-Dihydroxyphenyl)methyl]amine
 37798-05-3, [(1-Benzofuran-2-yl)methyl]amine 37806-33-0,
 (3-Propoxybenzyl)amine 37806-39-6, 3-Isobutoxybenzylamine 39226-95-4,
 [(2,3-Dichlorophenyl)methyl]amine 39895-55-1, [[4-(tert-
 Butyl)phenyl)methyl]amine 39979-08-3, [6-(Methoxycarbonyl)hexyl]amine
 39989-43-0, [(3,5-Dichlorophenyl)methyl]amine 40898-94-0,
 (1-Hydroxypropyl)amine 42185-03-5, (2-Propoxyethyl)amine 51221-45-5,
 (4-Methyl-1,3-thiazol-2-yl)methylamine 51387-90-7, [2-(1-
 Methylpyrrolidin-2-yl)ethyl]amine 51586-20-0, [(2,3-
 Dimethylphenyl)methyl]amine 52372-97-1, (5-Methoxytetralin-1-yl)amine
 52516-13-9, [2-(2,4-Dichlorophenyl)ethyl]amine 52721-69-4,
 [2-(2-Fluorophenyl)ethyl]amine 54699-92-2, (4-Methylpiperazin-1-
 yl)acetic acid 54930-39-1, 3-(4-Methylphenyl)propylamine 56613-80-0,
 (R)-2-Hydroxy-1-phenylethylamine 57260-71-6, Mono-N-Boc-piperazine
 57260-73-8, Mono-N-Boc-ethylenediamine 58530-13-5, 3-Bromo-5-
 methylbenzoic acid 60875-16-3, 4-(3-Methyl-5-oxo-4,5-dihydro-1H-pyrazol-
 1-yl)benzoic acid 62039-92-3, 3-Trifluoromethyl-4-chlorobenzylamine
 62416-04-0, 3-[(N-Methylanilino)sulfonyl]propanoic acid 65456-39-5,
 2-Hydroxy-5-methylbenzylamine 66584-32-5, [(3-Bromophenyl)methyl]amine
 67515-74-6, 3-Trifluoromethyl-4-fluorobenzylamine 67822-76-8,
 1,3-Dioxo-2-propylisoindoline-5-carboxylic acid 69082-97-9,
 5-(Methylsulfonyl)pentanoic acid 71773-95-0, (2S)-2-Amino-N-
 ethylpropanamide 72235-51-9, 2,3-Difluorobenzylamine 72235-53-1,
 3,4-Difluorobenzylamine 72519-79-0, 4-[(N-Methylanilino)sulfonyl]butanoi
 c acid 73604-31-6, 3-Hydroxybenzylamine 73918-56-6,
 [2-(4-Bromophenyl)ethyl]amine 75040-72-1, (S)-1-
 [[(Phenylmethyl)amino]carbonyl]ethylamine 75178-96-0,
 1,3-Diamino-3-N-Boc-propane 76197-44-9, 4-(Dipropylamino)-4-oxobutanoic
 acid 76197-47-2, 5-(Dipropylamino)-5-oxopentanoic acid 84110-40-7,
 Isobutylboronic acid 84914-65-8, (S)-1-[[2-
 Methylpropyl]amino]carbonyl]ethylamine 85068-29-7, [[3,5-

Bis(trifluoromethyl)phenyl)methyl]amine 85118-06-5, 2,5-Difluorobenzylamine 86253-12-5, tert-Butylboronic acid 90390-27-5, [(3,5-Difluorophenyl)methyl]amine 93071-75-1, [[3-(Trifluoromethoxy)phenyl)methyl]amine 93071-76-2, 3-Ethoxybenzylamine 93919-56-3, [[4-(Trifluoromethoxy)phenyl)methyl]amine 98737-29-2, tert-Butyl [(1S)-1-((2S)-oxiranyl)-2-phenylethyl]carbamate 103127-56-6, tert-Butyl [1-(2-oxiranyl)-2-phenylethyl]carbamate 106719-44-2, N-Boc-D-Lys-OH 108050-51-7, (S)-1-[[2-(Methylpropyl)amino]carbonyl]-2-phenylethylamine 111331-82-9, 3-[(tert-Butoxycarbonyl)amino]benzoic acid 122536-77-0, (3R)-(+)-3-Boc-aminopyrrolidine 126456-43-7, ((1S,2R)-2-Hydroxy-2,3-dihydro-1H-inden-1-yl)amine 128018-44-0, Benzyl [(1S)-1-((2S)-oxiranyl)-2-phenylethyl]carbamate 131915-18-9, (S)-2-Methyl-1-[[2-(methylpropyl)amino]carbonyl]propylamine 136030-00-7, (1R,2S)-2,3-Dihydro-2-hydroxyinden-1-ylamine 143224-95-7, 2-Methyl-3-(methylsulfonyl)propanoic acid 150517-77-4, 3-Fluoro-5-trifluoromethylbenzylamine 154612-77-8, tert-Butyl [(1S)-2-(4-fluorophenyl)-1-((2S)-oxiranyl)ethyl]carbamate 161622-05-5, 3-Fluoro-5-(trifluoromethyl)benzoic acid 161805-76-1, [(Thiazol-5-yl)methyl]amine 165253-31-6, (Tetrahydro-3-furanylmethyl)amine 167299-68-5, 3-(Methoxycarbonyl)-5-methylbenzoic acid 172975-69-8, 3,5-Dimethylphenylboronic acid 175136-89-7, [(2-Chloro-6-phenoxyphenyl)methyl]amine 175205-64-8, [[2-(Trifluoromethoxy)phenyl)methyl]amine 176707-77-0, [(1R)-1-(3-Bromophenyl)ethyl]amine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

IT 177976-49-7, [(1,1'-Biphenyl-3-yl)methyl]amine 199296-61-2, 2-Fluoro-5-trifluoromethylbenzylamine 204841-19-0, 3-Acetylphenylboronic acid 205445-52-9, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid 207791-55-7, 3,3-Dimethyl-4-oxo-4-(1-piperidinyl)butanoic acid 235106-09-9, 3-Fluoro-4-trifluoromethylbenzylamine 244022-71-7, 3-(Difluoromethoxy)benzylamine 313683-55-5, (3,5-Dicarboxycyclohexyl)amine 313683-57-7, [3,5-Bis(methoxycarbonyl)cyclohexyl]amine 347142-76-1, 5-Oxo-5-(1-piperidinyl)pentanoic acid 377083-88-0, 3-(2,4-Dimethylphenyl)propylamine 388072-23-9, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol 388072-25-1, 5-Methyl-N,N-dipropylisophthalamide 388072-28-4, (2R,3S)-3-Amino-4-phenyl-1-[(1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol 388072-37-5, (2R,3S)-3-Amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol 388072-39-7, 3-Bromo-4-fluorobenzylamine 388072-40-0, 5-Methyl-N-methyl-N-propylisophthalamide 388072-42-2, 5-Hydroxy-N,N-dipropylisophthalamide 388072-43-3, 3-[Butyl(butyryl)amino]-5-methylbenzoic acid 388072-44-4, 4-Methyl-N,N-dipropylisophthalamide 388072-45-5, 1-Butyl-1H-indole-6-carboxylic acid 388072-46-6, (2R,3S)-3-Amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol 388072-47-7, 2-Amino-1,3-thiazole-4-carboxylic acid hydrochloride 388072-48-8, (2R)-4-Amino-2,3,3-trimethyl-4-oxobutanoic acid 388072-50-2, 3-[(Dipropylamino)sulfonyl]propanoic acid 388072-51-3, 4-(Isopentylsulfonyl)butanoic acid 388072-52-4, 4-(Dipropylamino)-2,2-dimethyl-4-oxobutanoic acid 388072-53-5, 4-[(Dipropylamino)sulfonyl]butanoic acid 388072-54-6, 3-(Isopentylsulfonyl)propanoic acid 388072-56-8, tert-Butyl [(2R,3S)-3-[[3-cyano-5-[(propylamino)carbonyl]benzoyl]amino]-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)carbamate 388072-57-9, 3-[(Butyryl)(propyl)amino]-5-methylbenzoic acid 388072-59-1, 3-[(Dipropylamino)carbonyl]-5-(hydroxymethyl)benzoic acid 388072-60-4, (2R,3S)-3-Amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride 388072-61-5, (2R,3S)-3-Amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol dihydrochloride 388072-62-6, 5-[(Dipropylamino)carbonyl][1,1'-biphenyl]-3-carboxylic acid 388072-65-9, 3-(Ethoxycarbonyl)-5-methylbenzoic acid 388072-67-1, 3-[[[3-(Benzyloxy)propyl](propyl)amino]carbonyl]-5-methylbenzoic acid 388072-70-6, 3-Methyl-5-(2-thienyl)benzoic acid 388072-71-7,

3-[(tert-Butoxycarbonyl)amino]-2-methylbutanoic acid 388072-72-8,
 (2R,3S)-3-Amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol 388072-73-9,
 N-(Isobutylsulfonyl)-β-alanine 388072-74-0, (2R,3S)-3-Amino-4-(3,5-
 difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol 388072-75-1,
 (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(1-phenylcyclopropyl)amino]-2-
 butanol 388072-76-2, (2R,3S)-3-Amino-1-[(3-bromobenzyl)amino]-4-(3,5-
 difluorophenyl)-2-butanol hydrochloride 388072-83-1, Benzyl
 [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiranyl)ethyl]carbamate
 388075-35-2, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[[(1,3-thiazol-5-
 yl)methyl]amino]-2-butanol 388086-41-7, N-[(1S,2R)-1-(3,5-
 Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl-N',N'-
 dipropylisophthalamide trifluoroacetate 400771-44-0,
 [(3-Isopropoxyphenyl)methyl]amine 478375-40-5, Methyl
 3-bromo-5-methylbenzoate 590423-18-0, [1-[[[(2-
 Methylpropyl)amino]carbonyl]ethyl]amine 590423-19-1,
 [1-Methyl-1-[[[(2-methylpropyl)amino]carbonyl]ethyl]amine 590423-20-4,
 [[[(2-Methylpropyl)amino]carbonyl]methyl]amine 590423-21-5,
 (S)-1-[[[(2-Methylpropyl)amino]carbonyl]propylamine 590423-22-6,
 (R)-1-[[[(2-Methylpropyl)amino]carbonyl]propylamine 590423-23-7,
 [2-[[[(2-Methylpropyl)amino]carbonyl]propyl]amine 590423-24-8,
 (S)-2-(Benzyloxy)-1-[[[(2-methylpropyl)amino]carbonyl]ethylamine
 590423-25-9, (R)-2-Methyl-1-[[[(2-methylpropyl)amino]carbonyl]propylamine
 590423-26-0, (S)-1-[[[(2-Methylpropyl)amino]carbonyl]butylamine
 590423-27-1, (S)-2-Hydroxy-1-[[[(2-methylpropyl)amino]carbonyl]ethylamine
 590423-28-2, (S)-[[[(2-Methylpropyl)amino]carbonyl](phenyl)methylamine
 590423-29-3, (3,5-Dimethoxycyclohexyl)amine 590423-30-6,
 (4-Methoxytetralin-1-yl)amine 590423-40-8, 3-[Bis(2-
 methoxyethyl)amino]benzoic acid 590423-41-9, 3-[(2-
 Methoxyethyl)(propyl)amino]benzoic acid 590423-42-0,
 5-Methyl-2-methylaminopyrazine 590423-43-1, 5-
 (Dipropylaminocarbonyl)furan-2-carboxamide 590423-45-3,
 5-Isobutylisophthalic acid 590423-71-5 590423-74-8,
 [2-[(2-Dimethylaminoethyl)(methyl)amino]ethoxy]acetic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of substituted amine prodrugs useful in treating Alzheimer's
 disease)

IT 2130-96-3P, (2S)-3-[4-(Benzyloxy)phenyl]-2-[(tert-
 butoxycarbonyl)amino]propanoic acid 13536-04-4P, 2-
 Butylcyclopropanecarboxylic acid 16536-95-1P, Isovalerothioamide
 28179-47-7P, 3-Amino-5-(methoxycarbonyl)benzoic acid 41049-53-0P,
 1-Phenylcyclopropylamine 50399-51-4P, (7-Methoxytetralin-1-yl)amine
 65399-17-9P, 5-(Methoxycarbonyl)-2-methylbenzoic acid 84374-70-9P,
 3-Methyl-2-furoic amide 92136-39-5P, N-BOCpropargylamine 106691-72-9P,
 tert-Butyl ((3R)-2-oxazepan-3-yl)carbamate 131052-47-6P,
 4-Aminomethyl-3,5-dimethylisoxazole 161796-10-7P, 3-Bromo-5-
 (methoxycarbonyl)benzoic acid 162536-83-6P, tert-Butyl
 [(1S)-1-[4-(benzyloxy)benzyl]-3-bromo-2-oxopropyl]carbamate
 162536-84-7P, tert-Butyl [(1S)-2-[4-(benzyloxy)phenyl]-1-((2S)-
 oxiranyl)ethyl]carbamate 181425-91-2P, Diethyl 5-
 (hydroxymethyl)isophthalate 192863-37-9P, Potassium trifluoro(3-
 thienyl)borate 328284-59-9P, Methyl 3-[(dipropylamino)carbonyl]-5-
 nitrobenzoate 388066-63-5P, tert-Butyl [(2R,3S)-3-[[3-
 [(dipropylamino)sulfonyl]propanoyl]amino]-2-hydroxy-4-phenylbutyl](3-
 methoxybenzyl)carbamate 388067-66-1P, N-[(1S,2R)-1-[4-(Benzyloxy)benzyl]-
 2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N',N'-
 dipropylisophthalamide 388071-08-7P, Methyl 3-bromo-5-
 [(dipropylamino)carbonyl]benzoate 388071-09-8P, 3-Bromo-5-
 [(dipropylamino)carbonyl]benzoic acid 388071-10-1P, Methyl
 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate 388071-11-2P,
 3-(Aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid 388071-12-3P,
 3-Cyano-5-[(dipropylamino)carbonyl]benzoic acid 388071-13-4P, Methyl
 3-amino-5-[(dipropylamino)carbonyl]benzoate 388071-14-5P, Methyl
 3-(chlorosulfonyl)-5-[(dipropylamino)carbonyl]benzoate 388071-15-6P,
 Methyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoate
 388071-16-7P, 3-(Aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoic acid

388071-17-8P, Methyl 3-[(dipropylamino)carbonyl]-5-[(1-pyrrolidinyl)sulfonyl]benzoate 388071-18-9P,
 3-[(Dipropylamino)carbonyl]-5-[(1-pyrrolidinyl)sulfonyl]benzoic acid
 388071-19-0P, Methyl 3-[(dipropylamino)carbonyl]-5-[(methylamino)sulfonyl]benzoate 388071-20-3P, 3-[(Dipropylamino)carbonyl]-5-[(methylamino)sulfonyl]benzoic acid
 388071-21-4P, Methyl 3-[(dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]benzoate 388071-22-5P, 3-[(Dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]benzoic acid
 388071-23-6P, Methyl 3-[(dipropylamino)carbonyl]-5-ethylbenzoate
 388071-24-7P, 3-[(Dipropylamino)carbonyl]-5-ethylbenzoic acid
 388071-25-8P, tert-Butyl [(1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropyl]carbamate 388071-26-9P, tert-Butyl [(1S,2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate 388071-27-0P, tert-Butyl [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiranyl)ethyl]carbamate
 388071-28-1P, tert-Butyl [(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate 388071-31-6P, N-[(1S,2R)-3-Azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide
 388071-33-8P, N-[(1S,2R)-3-Amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide acetic acid salt 388071-35-0P,
 Benzyl [(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]carbamate 388071-37-2P, tert-Butyl ((2R,3S)-3-amino-2-hydroxy-4-phenylbutyl)(3-methoxybenzyl)carbamate 388071-39-4P, tert-Butyl [(2R,3S)-3-[[3-cyano-5-[(dipropylamino)carbonyl]benzoyl]amino]-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)carbamate 388071-41-8P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]carbamate
 388071-43-0P, 9H-Fluoren-9-ylmethyl [(2R,3S)-3-[(tert-butyloxycarbonyl)amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-iodobenzyl)carbamate hydrochloride 388071-68-9P, 3-(Ethoxycarbonyl)-5-(hydroxymethyl)benzoic acid 388071-69-0P, Ethyl 3-[(dipropylamino)carbonyl]-5-(hydroxymethyl)benzoate 388071-70-3P, Ethyl 3-(bromomethyl)-5-[(dipropylamino)carbonyl]benzoate 388071-71-4P,
 3-(Cyanomethyl)-5-[(dipropylamino)carbonyl]benzoic acid 388071-72-5P,
 Methyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate 388071-73-6P,
 3-[(Dipropylamino)carbonyl]-5-ethynylbenzoic acid 388071-74-7P,
 tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]carbamate 388071-75-8P, (2R,3S)-3-Amino-4-phenyl-1-[[3-(trifluoromethyl)benzyl]amino]-2-butanol dihydrochloride 388071-76-9P,
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 388071-77-0P, 3-[(Dipropylamino)carbonyl]-5-(8-quinolinyl)benzoic acid
 388071-78-1P, 5-[(Dipropylamino)carbonyl]-4'-methoxy[1,1'-biphenyl]-3-carboxylic acid 388071-80-5P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]carbamate 388071-82-7P,
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 388071-88-3P, Methyl 3-[(dipropylamino)carbonyl]-5-(3-thienyl)benzoate
 388071-89-4P, 3-[(Dipropylamino)carbonyl]-5-(3-thienyl)benzoic acid
 388071-90-7P, Methyl 3-methyl-5-pentanoylbenzoate 388071-91-8P,
 3-Methyl-5-pentanoylbenzoic acid 388071-92-9P, 4-(Benzyloxy)-N-propylbutanamide 388071-93-0P, N-[4-(Benzyloxy)butyl]-N-propylamine
 388071-94-1P, Ethyl 3-[[[4-(benzyloxy)butyl](propyl)amino]carbonyl]-5-methylbenzoate 388071-95-2P, 3-[[[4-(Benzyloxy)butyl](propyl)amino]carbonyl]-5-methylbenzoic acid 388071-96-3P, tert-Butyl [(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]carbamate
 388071-99-6P, tert-Butyl [(1S,2R)-1-benzyl-3-[[3-(2,4-dimethylphenyl)propyl]amino]-2-hydroxypropyl]carbamate 388072-03-5P,
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tert-Butyl ((3R)-2-oxo-1-propylazepan-3-yl)carbamate 388072-19-3P,
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Ethyl 3-(cyanomethyl)-5-[(dipropylamino)carbonyl]benzoate 388072-63-7P,
(2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-
butanol dihydrochloride 388072-66-0P, (2R,3S)-3-Amino-4-[4-
(benzyloxy)phenyl]-1-[(3-methoxybenzyl)amino]-2-butanol hydrochloride
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methoxybenzyl)amino]propyl]amino]carbonyl]-4-methylbenzoate
388072-69-3P, Methyl 3-methyl-5-(3-thienyl)benzoate 388075-50-1P,
tert-Butyl [(1S)-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)amino]-2-
oxopropyl]carbamate 388075-52-3P, tert-Butyl [(1S,2R)-3-amino-1-(3,5-
difluorobenzyl)-2-hydroxypropyl]carbamate 477790-42-4P,
9H-Fluoren-9-ylmethyl [(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-
hydroxybutyl](3-iodobenzyl)carbamate hydrochloride 477790-43-5P,
9H-Fluoren-9-ylmethyl [(2R,3S)-4-(3,5-difluorophenyl)-2-hydroxy-3-[[5-oxo-
5-(1-piperidinyl)pentanoyl]amino]butyl](3-iodobenzyl)carbamate
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dimethylphenyl)propyl]amino]-4-phenyl-2-butanol hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of substituted amine prodrugs useful in treating Alzheimer's
disease)

IT 593298-56-7 593298-57-8

RL: PRP (Properties)

(unclaimed protein sequence; preparation of substituted amines prodrugs
useful in treating Alzheimer's disease)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 388083-33-8
478799-42-7 478799-43-8

RL: PRP (Properties)

(unclaimed sequence; preparation of substituted amines prodrugs useful in
treating Alzheimer's disease)

L3 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:412801 CAPLUS

DN 139:245782

ED Entered STN: 30 May 2003

TI Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
Alzheimer's disease

IN Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.;
Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos,
John; Mickelson, John; Samala, Lakshman; Hom, Roy

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SO PCT Int. Appl., 1243 pp.

CODEN: PIXXD2

DT Patent

LA English

IC C07D

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 28

FAN.CNT 2

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PI	WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
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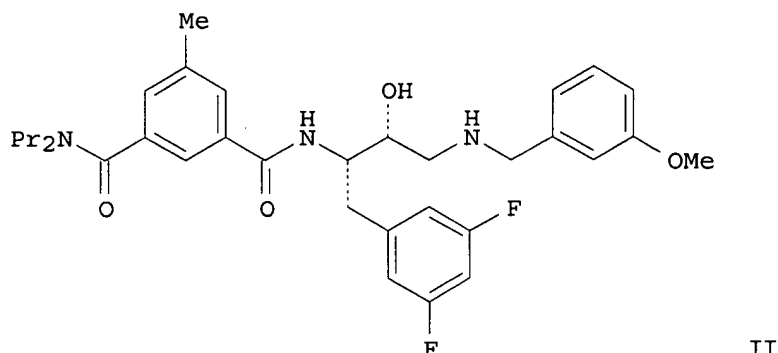
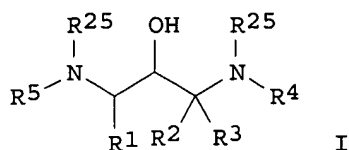
US 2001-344086P P 20011228

US 2002-345635P P 20020103

WO 2002-US36072 A 20021108

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003040096	IC	C07D
	IPCI	C07D [ICM]
WO 2003040096	IPCI	C07D [ICM, 7]
	IPCR	C07C0233-00 [I,C*]; C07C0233-36 [I,A]; C07C0233-40 [I,A]; C07C0233-58 [I,A]; C07C0233-78 [I,A]; C07C0235-00 [I,C*]; C07C0235-20 [I,A]; C07C0235-50 [I,A]; C07C0235-74 [I,A]; C07C0237-00 [I,C*]; C07C0237-04 [I,A]; C07C0237-06 [I,A]; C07C0237-08 [I,A]; C07C0237-22 [I,A]; C07C0237-42 [I,A]; C07C0251-00 [I,C*]; C07C0251-38 [I,A]; C07C0255-00 [I,C*]; C07C0255-58 [I,A]; C07C0271-00 [I,C*]; C07C0271-28 [I,A]; C07C0271-44 [I,A]; C07C0311-00 [I,C*]; C07C0311-08 [I,A]; C07C0311-16 [I,A]; C07C0311-17 [I,A]; C07C0311-18 [I,A]; C07C0311-19 [I,A]; C07C0311-20 [I,A]; C07C0311-21 [I,A]; C07C0311-37 [I,A]; C07C0317-00 [I,C*]; C07C0317-46 [I,A]; C07C0317-50 [I,A]; C07C0323-00 [I,C*]; C07C0323-60 [I,A]; C07C0323-62 [I,A]; C07C0323-65 [I,A]; C07D0207-00 [I,C*]; C07D0207-267 [I,A]; C07D0211-00 [I,C*]; C07D0211-58 [I,A]; C07D0211-60 [I,A]; C07D0211-96 [I,A]; C07D0213-00 [I,C*]; C07D0213-82 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0295-00 [I,C*]; C07D0295-155 [I,A]; C07D0295-185 [I,A]; C07D0295-192 [I,A]; C07D0295-26 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0307-46 [I,A]; C07D0333-00 [I,C*]; C07D0333-22 [I,A]; C07D0333-32 [I,A]; C07D0333-34 [I,A]
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ZA 2004003578	IPCI	A61K [ICM, 7]; C07C [ICS, 7]
OS	MARPAT 139:245782	
GI		



- AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO₂, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO₂, (un)substituted CH₂; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of β -secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC₅₀ of < 20 μ M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 2 of 1-2 series.
- ST hydroxypropanediamine prepn Alzheimer disease beta secretase inhibitor;
isophthalamide aminohydroxypropyl prepn Alzheimer disease beta secretase inhibitor
- IT Alzheimer's disease
Anti-Alzheimer's agents
Human
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)
- IT 527728-59-2P 527731-54-0P 527731-65-3P 527732-41-8P 527732-43-0P
527733-02-4P 527734-13-0P 527734-22-1P 597561-18-7P 597561-19-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)
- IT 388062-16-6P 388062-17-7P 388063-33-0P 388063-35-2P 388064-67-3P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

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	527727-27-1P	527727-29-3P	527727-30-6P	527727-31-7P	527727-32-8P
	527727-33-9P	527727-34-0P	527727-35-1P	527727-36-2P	527727-37-3P
	527727-38-4P	527727-39-5P	527727-40-8P	527727-41-9P	527727-42-0P
	527727-43-1P	527727-44-2P	527727-45-3P	527727-46-4P	527727-47-5P
	527727-48-6P	527727-49-7P	527727-57-7P	527727-59-9P	527727-60-2P
	527727-61-3P	527727-62-4P	527727-63-5P	527727-64-6P	527727-65-7P
	527727-66-8P	527727-67-9P	527727-79-3P	527727-80-6P	527727-81-7P
	527727-82-8P	527727-84-0P	527727-85-1P	527727-86-2P	527727-87-3P
	527727-88-4P	527727-89-5P	527727-90-8P	527727-94-2P	527727-95-3P
	527727-96-4P	527727-98-6P	527727-99-7P	527728-03-6P	527728-04-7P

527728-07-0P	527728-09-2P	527728-11-6P	527728-13-8P	527728-14-9P
527728-15-0P	527728-27-4P	527728-29-6P	527728-30-9P	527728-32-1P
527728-33-2P	527728-37-6P	527728-39-8P	527728-44-5P	
527728-45-6P	527728-46-7P	527728-47-8P	527728-49-0P	527728-53-6P
527728-54-7P	527728-56-9P	527728-57-0P	527728-58-1P	527728-60-5P
527728-61-6P	527728-67-2P	527728-69-4P	527728-71-8P	527728-72-9P
527728-81-0P	527728-84-3P	527728-85-4P	527728-95-6P	527728-96-7P
527728-99-0P	527729-00-6P	527729-01-7P	527729-03-9P	527729-04-0P
527729-05-1P	527729-09-5P	527729-88-0P	527729-94-8P	527730-03-6P
527730-14-9P	527730-16-1P	527730-18-3P	527730-19-4P	527730-20-7P
527730-27-4P	527730-28-5P	527730-33-2P	527730-36-5P	527730-38-7P
527730-39-8P	527730-40-1P	527730-43-4P	527730-51-4P	527730-52-5P
527730-53-6P	527730-55-8P	527730-56-9P	527730-57-0P	527730-58-1P
527730-59-2P	527730-61-6P	527730-62-7P	527730-63-8P	527730-71-8P
527730-73-0P	527730-75-2P	527730-77-4P	527730-79-6P	527730-81-0P
527730-83-2P	527730-85-4P	527730-87-6P	527730-90-1P	527730-92-3P
527730-94-5P	527730-96-7P	527730-98-9P	527731-00-6P	527731-03-9P
527731-06-2P	527731-18-6P	527731-21-1P	527731-23-3P	527731-25-5P
527731-44-8P	527731-46-0P	527731-49-3P	527731-63-1P	
527731-66-4P	527731-80-2P	527731-81-3P	527731-85-7P	527731-88-0P
527731-89-1P	527731-94-8P	527732-32-7P	527732-33-8P	527732-34-9P
527732-35-0P	527732-37-2P	527732-42-9P	527732-44-1P	527732-45-2P
527732-70-3P	527732-71-4P	527732-80-5P	527732-82-7P	527732-83-8P
527732-84-9P	527733-00-2P	527733-01-3P	527733-04-6P	527733-05-7P
527733-06-8P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT	527733-07-9P	527733-08-0P	527733-09-1P	527733-10-4P	527733-11-5P
	527733-15-9P	527733-27-3P	527733-28-4P	527733-33-1P	527733-34-2P
	527733-35-3P	527733-36-4P	527733-75-1P	527733-76-2P	527733-77-3P
	527733-78-4P	527733-80-8P	527733-93-3P	527733-96-6P	527733-99-9P
	527734-02-7P	527734-05-0P	527734-06-1P	527734-07-2P	527734-08-3P
	527734-09-4P	527734-10-7P	527734-11-8P	527734-12-9P	527734-14-1P
	527734-15-2P	527734-16-3P	527734-17-4P	527734-18-5P	527734-19-6P
	527734-20-9P	527734-21-0P	527734-23-2P	527734-25-4P	527734-26-5P
	527734-27-6P	527734-28-7P	527734-29-8P	527734-30-1P	527734-31-2P
	527734-32-3P	527734-33-4P	527734-34-5P	527734-35-6P	527734-42-5P
	527734-52-7P	527735-99-5P	527736-02-3P	527736-04-5P	527736-15-8P
	527736-16-9P	527736-31-8P	528116-67-8P	528116-78-1P	528598-10-9P
	597559-71-2P	597559-72-3P	597559-73-4P	597559-75-6P	597559-76-7P
	597559-77-8P	597559-79-0P	597559-80-3P	597559-81-4P	597559-82-5P
	597559-83-6P	597559-84-7P	597559-86-9P	597559-87-0P	597559-91-6P
	597559-92-7P	597559-93-8P	597559-95-0P	597559-96-1P	597559-97-2P
	597559-98-3P	597559-99-4P	597560-00-4P	597560-01-5P	597560-02-6P
	597560-03-7P	597560-04-8P	597560-05-9P	597560-06-0P	597560-07-1P
	597560-08-2P	597560-09-3P	597560-10-6P	597560-11-7P	597560-12-8P
	597560-13-9P	597560-14-0P	597560-15-1P	597560-16-2P	597560-17-3P
	597560-18-4P	597560-19-5P	597560-20-8P	597560-21-9P	597560-22-0P
	597560-23-1P	597560-24-2P	597560-25-3P	597560-26-4P	597560-27-5P
	597560-28-6P	597560-29-7P	597560-30-0P	597560-31-1P	597560-32-2P
	597560-33-3P	597560-35-5P	597560-36-6P	597560-37-7P	597560-38-8P
	597560-39-9P	597560-40-2P	597560-41-3P	597560-42-4P	597560-43-5P
	597560-44-6P	597560-45-7P	597560-46-8P	597560-47-9P	597560-48-0P
	597560-49-1P	597560-50-4P	597560-51-5P	597560-52-6P	597560-53-7P
	597560-54-8P	597560-56-0P	597560-57-1P	597560-58-2P	597560-59-3P
	597560-60-6P	597560-61-7P	597560-62-8P	597560-64-0P	597560-65-1P
	597560-66-2P	597560-67-3P	597560-68-4P	597560-69-5P	597560-70-8P
	597560-71-9P	597560-72-0P	597560-73-1P	597560-74-2P	597560-75-3P
	597560-77-5P	597560-78-6P	597560-79-7P	597560-80-0P	597560-81-1P
	597560-82-2P	597560-83-3P	597560-85-5P	597560-86-6P	597560-87-7P
	597560-88-8P	597560-89-9P	597560-90-2P	597560-91-3P	597560-92-4P
	597560-93-5P	597560-94-6P	597560-95-7P	597560-96-8P	597560-97-9P

597560-98-0P 597560-99-1P 597561-00-7P 597561-01-8P 597561-02-9P
 597561-03-0P 597561-04-1P 597561-05-2P 597561-06-3P 597561-07-4P
 597561-08-5P 597561-09-6P 597561-10-9P 597561-13-2P 597561-14-3P
 597561-15-4P 597561-17-6P 597561-21-2P 597561-23-4P 597561-24-5P
 597561-25-6P 597561-26-7P 597561-27-8P 597562-06-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
 Alzheimer's disease)

IT 66-25-1, Hexanal 70-23-5, Ethyl bromopyruvate 74-99-7, Propyne
 75-86-5 78-81-9, Isobutylamine 78-95-5, Chloroacetone 79-44-7,
 Dimethylcarbamylic chloride 86-58-8, 8-Quinolineboronic acid 94-09-7,
 Ethyl 4-aminobenzoate 94-53-1, Piperonylic acid 94-60-0, Dimethyl
 cyclohexane-1,4-dicarboxylate 99-42-3, Methyl 4-hydroxy-3-nitrobenzoate
 100-52-7, Benzaldehyde, reactions 100-60-7, N-Methylcyclohexylamine
 100-82-3, 3-Fluorobenzylamine 103-67-3, N-Methylbenzylamine 104-79-0
 105-53-3, Diethyl malonate 106-94-5, 1-Bromopropane 106-95-6, Allyl
 bromide, reactions 107-10-8, Propylamine, reactions 108-30-5, Succinic
 anhydride, reactions 108-55-4, Glutaric anhydride 108-85-0, Cyclohexyl
 bromide 108-91-8, Cyclohexylamine, reactions 109-65-9, 1-Bromobutane
 109-73-9, 1-Butylamine, reactions 110-68-9, N-Methylbutylamine
 123-75-1, Pyrrolidine, reactions 142-84-7, Dipropylamine 288-42-6,
 Oxazole 288-47-1, Thiazole 498-60-2, 3-Furaldehyde 504-60-9,
 Piperylene 536-25-4, Methyl 3-amino-4-hydroxybenzoate 542-69-8,
 1-Iodobutane 557-93-7, 2-Bromopropene 580-20-1, Quinolin-7-ol
 586-95-8, 4-(Hydroxymethyl)pyridine 590-86-3, Isovaleraldehyde
 619-44-3, Methyl 4-iodobenzoate 625-43-4, N-Methylisobutylamine
 626-55-1, 3-Bromopyridine 627-35-0, N-Methylpropylamine 644-35-9,
 2-Propylphenol 693-04-9, Butylmagnesium chloride 696-40-2,
 3-Iodobenzylamine 707-60-8 713-52-0, Methyl 3-hydroxy-4-nitrobenzoate
 762-39-0, 2-Chlorohexanal 821-10-3, 1,4-Dichloro-2-butyne 867-13-0,
 Triethylphosphonoacetate 873-62-1, 3-Cyanophenol 1003-29-8,
 1H-Pyrrole-2-carboxaldehyde 1068-90-2, Diethyl acetamidomalonate
 1076-97-7, Cyclohexane-1,4-dicarboxylic acid 1129-28-8, Methyl
 3-(bromomethyl)benzoate 1436-34-6, 1,2-Epoxyhexane 1629-60-3,
 1-Hexen-3-one 1639-06-1, 4-Mercaptoheptane 1670-81-1,
 Indole-5-carboxylic acid 1874-23-3, Methyl 5-nitro-2-furoate
 1877-71-0, Methyl hydrogen isophthalate 1955-46-0, 3-(Methoxycarbonyl)-5-
 nitrobenzoic acid 2237-30-1, 3-Aminobenzonitrile 2450-71-7,
 Propargylamine 2458-12-0, 3-Amino-4-methylbenzoic acid 2687-43-6,
 O-Benzylhydroxylamine hydrochloride 2740-83-2, 3-
 Trifluoromethylbenzylamine 2759-28-6, N-Benzylpiperazine 2937-50-0,
 Allyl chloroformate 2942-58-7, Diethyl cyanophosphonate 3167-49-5,
 6-Aminonicotinic acid 4104-44-3, N-Methylisoamylamine 4105-93-5,
 Diethyl 1,3,5-benzenetricarboxylate 4347-33-5, 5-Formylthien-2-ylboronic
 acid 4412-96-8 4637-24-5, Dimethylformamide dimethyl acetal
 4753-75-7, N-Methylfurfurylamine 4869-59-4 5071-96-5,
 3-Methoxybenzylamine 5436-21-5 5470-70-2, Methyl 6-methylnicotinate
 5720-07-0, 4-Methoxyphenylboronic acid 6120-95-2, 1-
 Phenylcyclopropanecarboxylic acid 6165-69-1, Thiophene-3-boronic acid
 6515-58-8, 3-(Bromomethyl)benzoic acid 6836-19-7, 7-Methoxy-1-tetralone
 7117-30-8 7697-26-9, 3-Bromo-4-methylbenzoic acid 10269-01-9,
 m-Bromobenzylamine 10365-98-7, 3-Methoxyphenylboronic acid 10385-30-5,
 4-Benzoyloxybutyric acid 13331-23-2, 2-Furanylboronic acid 14906-59-3,
 4-Cyanopyridine-N-oxide 15761-38-3, N-tert-Butoxycarbonyl-L-alanine
 16369-21-4 16533-71-4 16536-95-1 18202-73-8 19524-06-2,
 4-Bromopyridine hydrochloride 19721-22-3, 3-Mercapto-1-propanol
 19788-37-5, 4-Chloromethyl-3,5-dimethylisoxazole 20193-20-8,
 N-Ethylpropylamine 22812-61-9, Methyl 3,5-dibromo-4-methoxybenzoate
 24964-64-5, 3-Cyanobenzaldehyde 25419-06-1, N-Methylpentylamine
 25462-85-5, 2-Chloro-6-methylisonicotinic acid 27513-44-6 31938-07-5,
 3-Bromobenzyl cyanide 33142-21-1, Ethyl formylchloroacetate
 33240-34-5, Cyclopentylmagnesium bromide 35356-70-8, Methyl
 2-acetamidoacrylate 37920-25-5, 4-Butylacetophenone 38256-93-8

42521-09-5, Methyl 2,6-dichloroisonicotinate 50340-79-9,
 5-(Methoxycarbonyl)thiophene-2-carboxylic acid 51760-21-5, Dimethyl
 5-bromoisophthalate 54925-64-3, 1-tert-Butyldimethylsilylimidazole
 56542-67-7, 3-Cyanobenzenesulfonyl chloride 59408-74-1 60031-08-5,
 3-Oxoindane-5-carboxylic acid 63126-47-6, (S)-2-
 (Methoxymethyl)pyrrolidine 67319-04-4, 1-Ethoxymethylimidazole
 67751-23-9 68832-13-3 79099-07-3, N-tert-Butoxycarbonylpiperidin-4-one
 79416-27-6 84025-81-0 84358-13-4, 1-(tert-Butoxycarbonyl)piperidine-4-
 carboxylic acid 87199-15-3, 3-(Hydroxymethyl)phenylboronic acid
 89793-11-3, Methyl 2-chloro-6-methylpyrimidine-4-carboxylate 93071-79-5,
 m-Ethylbenzylamine 97674-02-7 98737-29-2 106719-44-2 120570-05-0
 123536-15-2 126926-35-0 130723-54-5, 3-Iodophenylacetone nitrile
 149355-52-2, 1,2,3,4-Tetrahydroisoquinoline-7-carbonitrile 150255-96-2,
 3-Cyanophenylboronic acid 156780-51-7, 2-Triethylstannyloxazole
 167299-68-5, 3-(Methoxycarbonyl)-5-methylbenzoic acid 173382-28-0,
 2-Thiazolylzinc bromide 205445-52-9 223671-15-6 308103-40-4,
 2-Acetylphenylboronic acid 347142-76-1 377083-88-0 388063-46-5
 388066-66-8 388068-71-1 388072-25-1, 5-Methyl-N,N-
 dipropylisophthalamic acid 388072-46-6 388072-57-9 388072-59-1
 388072-60-4 388072-61-5 388072-65-9, 3-(Ethoxycarbonyl)-5-
 methylbenzoic acid 388075-52-3 388086-41-7 473916-47-1
 478375-40-5, Methyl 3-bromo-5-methylbenzoate 488846-89-5 527733-88-6
 527733-92-2 597563-80-9 597563-82-1 597563-84-3 597563-86-5
 597563-94-5 597563-96-7 597564-03-9 597564-06-2 597564-07-3
 597564-09-5 597564-10-8 597564-11-9, 2-(Dipropylamino)isonicotinic
 acid 597564-12-0 597564-13-1 597564-15-3 597564-16-4 597564-17-5
 RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
 Alzheimer's disease)

IT 626-90-4P 704-91-6P, 1H-Indazole-6-carboxylic acid 1011-65-0P
 1075-26-9P, 1H-Indole-6-methanol 1196-70-9P, 1H-Indole-6-carboxaldehyde
 3284-51-3P, 5-Methyl-1H-pyrrole-2-carboxylic acid ethyl ester 3998-90-1P
 13380-85-3P 13629-73-7P 15231-48-8P 18595-15-8P 20175-97-7P
 22274-75-5P 22600-30-2P 25462-98-0P 28179-47-7P,
 3-Amino-5-(methoxycarbonyl)benzoic acid 32529-79-6P 33252-30-1P
 39762-51-1P 40566-85-6P 41049-53-0P 49592-71-4P 49668-89-5P
 50399-51-4P, 7-Methoxy-1,2,3,4-tetrahydro-1-naphthalenamine 50790-30-2P
 50820-65-0P, Methyl 1H-indole-6-carboxylate 51453-50-0P 51454-63-8P
 51552-68-2P 53097-35-1P 53732-08-4P 55682-17-2P 56026-36-9P
 58794-09-5P, 7-Bromoisquinoline 59034-18-3P 63362-34-5P 65448-74-0P
 73365-02-3P 75833-38-4P 83435-58-9P 84374-70-9P 84914-65-8P
 92136-39-5P 93116-99-5P 104882-03-3P 105578-30-1P 106691-72-9P
 107202-62-0P 108499-32-7P 116450-61-4P 117423-41-3P 117423-42-4P
 117423-43-5P 121561-15-7P 123065-61-2P 123855-51-6P 124276-77-3P
 124276-83-1P 124276-85-3P 124276-95-5P 127680-85-7P 131052-47-6P,
 4-Aminomethyl-3,5-dimethylisoxazole 138647-49-1P 153993-99-8P
 161796-10-7P, 3-Bromo-5-(methoxycarbonyl)benzoic acid 163485-84-5P
 170487-40-8P 177760-52-0P 180302-08-3P 180302-10-7P 181425-91-2P,
 Diethyl 5-(hydroxymethyl)isophthalate 192863-37-9P 194872-09-8P
 196103-66-9P 202195-67-3P 215453-51-3P 221050-96-0P,
 Isoquinoline-7-carboxylic acid 223671-92-9P, 7-Cyanoisoquinoline
 261924-48-5P 261924-49-6P 261924-94-1P 261925-82-0P 266369-49-7P
 305806-42-2P 307353-32-8P 328284-59-9P, Methyl 3-
 [(dipropylamino)carbonyl]-5-nitrobenzoate 340129-94-4P 347342-05-6P
 388067-66-1P 388071-08-7P, Methyl 3-bromo-5-
 [(dipropylamino)carbonyl]benzoate 388071-09-8P, 3-Bromo-5-
 [(dipropylamino)carbonyl]benzoic acid 388071-10-1P, Methyl
 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate 388071-11-2P,
 3-(Aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid 388071-12-3P,
 3-Cyano-5-[(dipropylamino)carbonyl]benzoic acid 388071-13-4P, Methyl
 3-amino-5-[(dipropylamino)carbonyl]benzoate 388071-14-5P, Methyl
 3-(chlorosulfonyl)-5-[(dipropylamino)carbonyl]benzoate 388071-15-6P,
 Methyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoate
 388071-16-7P, 3-(Aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoic acid
 388071-17-8P, Methyl 3-[(dipropylamino)carbonyl]-5-(1-

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

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	597562-23-7P	597562-24-8P,	Methyl 4-hydroxy-3-iodo-5-nitrobenzoate		
	597562-25-9P,	Methyl 3-amino-4-hydroxy-5-iodobenzoate	597562-26-0P,		
	Methyl 8-iodo-3-oxo-3,4-dihydro-2H-1,4-benzoxazine-6-carboxylate				

597562-27-1P, Methyl 4-butyl-8-iodo-3-oxo-3,4-dihydro-2H-1,4-benzoxazine-6-carboxylate 597562-28-2P, Methyl 4-butyl-8-iodo-3,4-dihydro-2H-1,4-benzoxazine-6-carboxylate 597562-29-3P, Methyl 4-butyl-8-(oxazol-2-yl)-3,4-dihydro-2H-1,4-benzoxazine-6-carboxylate 597562-30-6P, 4-Butyl-8-(oxazol-2-yl)-3,4-dihydro-2H-1,4-benzoxazine-6-carboxylic acid 597562-31-7P 597562-33-9P 597562-34-0P 597562-35-1P 597562-36-2P 597562-37-3P 597562-38-4P 597562-39-5P 597562-40-8P 597562-41-9P 597562-42-0P 597562-43-1P 597562-44-2P 597562-45-3P 597562-47-5P 597562-49-7P 597562-51-1P 597562-53-3P 597562-54-4P 597562-56-6P 597562-58-8P 597562-60-2P 597562-61-3P 597562-62-4P 597562-63-5P 597562-64-6P 597562-65-7P 597562-66-8P 597562-67-9P 597562-68-0P 597562-69-1P 597562-70-4P, 3-(Allylthio)benzoic acid 597562-71-5P 597562-72-6P 597562-73-7P 597562-74-8P 597562-75-9P 597562-76-0P 597562-77-1P 597562-78-2P 597562-79-3P 597562-80-6P 597562-81-7P 597562-82-8P 597562-83-9P 597562-84-0P 597562-85-1P 597562-86-2P, 7-Bromo-1-(N-propylamino)isoquinoline 597562-87-3P 597562-88-4P 597562-89-5P 597562-90-8P, 7-Bromo-1-butylisoquinoline 597562-91-9P 597562-92-0P 597562-93-1P 597562-94-2P 597562-95-3P 597562-96-4P 597562-97-5P 597562-98-6P 597562-99-7P 597563-00-3P 597563-01-4P 597563-02-5P 597563-03-6P 597563-04-7P 597563-05-8P 597563-06-9P 597563-07-0P 597563-08-1P 597563-09-2P 597563-10-5P 597563-11-6P 597563-12-7P 597563-13-8P 597563-14-9P 597563-15-0P 597563-16-1P 597563-17-2P 597563-18-3P 597563-19-4P 597563-20-7P 597563-21-8P 597563-22-9P 597563-24-1P 597563-26-3P 597563-27-4P 597563-28-5P 597563-29-6P 597563-30-9P 597563-31-0P 597563-32-1P 597563-33-2P 597563-34-3P 597563-35-4P 597563-36-5P 597563-37-6P 597563-38-7P 597563-39-8P 597563-40-1P 597563-41-2P 597563-42-3P 597563-43-4P 597563-44-5P 597563-45-6P 597563-46-7P 597563-47-8P 597563-48-9P 597563-49-0P 597563-50-3P 597563-51-4P 597563-52-5P 597563-53-6P 597563-54-7P 597563-55-8P 597563-57-0P 597563-58-1P 597563-60-5P 597563-61-6P 597563-63-8P 597563-64-9P 597563-65-0P 597563-66-1P 597563-67-2P 597563-68-3P 597563-69-4P 597563-70-7P 597563-71-8P 597563-72-9P 597563-74-1P 597563-76-3P 597563-77-4P 597563-78-5P 597563-79-6P 597563-88-7P 597564-18-6P 597564-19-7P 597564-20-0P 597564-21-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

L3 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2003:376819 CAPLUS
 DN 138:385173
 ED Entered STN: 16 May 2003
 TI Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease
 IN Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy
 PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
 SO PCT Int. Appl., 1243 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D
 CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 28

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	WO 2003040096	A3	20040506		
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CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

WO 2003040096 ICM C07D
IPCI C07D [ICM,7]
IPCR C07C0233-00 [I,C*]; C07C0233-36 [I,A]; C07C0233-40
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C07C311/16; C07C311/17; C07C311/18; C07C311/19;
C07C311/20; C07C311/21; C07C311/37; C07C317/46;
C07C317/50; C07C323/60; C07C323/62; C07C323/65;

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	NCL	564/163.000; 558/404.000; 564/193.000; 564/355.000
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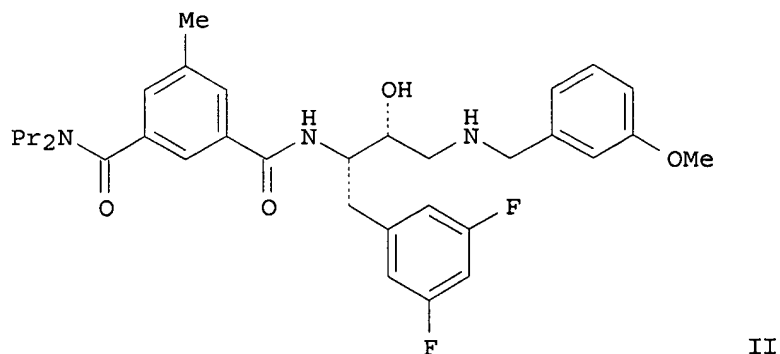
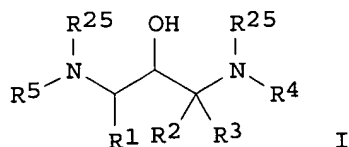
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OS MARPAT 138:385173
 GI



AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.;
 R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl,
 alkenyl, etc.; or R2 and R3 are taken together with the carbon to which
 they are attached to form a carbocycle of 3-7 carbon atoms, optionally
 where one carbon atom is replaced by a heteroatom selected from the group
 consisting of O, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl,
 hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO2, (un)substituted CH2; R6
 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy,
 etc.] which have activity as inhibitors of β -secretase and are
 therefore useful in treating a variety of disorders such as Alzheimer's
 disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting

from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC₅₀ of < 20 μ M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

ST hydroxypropanediamine prepn Alzheimer's disease beta secretase inhibitor;
isophthalamide aminohydroxypropyl prepn Alzheimer's disease beta secretase inhibitor

IT Alzheimer's disease
Anti-Alzheimer's agents
Human

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT 158736-49-3, β -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

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	388070-55-1P	388070-59-5P	388070-60-8P	388070-61-9P	388070-76-6P
	388070-80-2P	388070-97-1P	388071-00-9P	388071-06-5P	388072-21-7P
	388073-70-9P	388073-72-1P	388077-92-7P	388569-65-1P	477790-57-1P
	477791-08-5P	477791-10-9P	477791-18-7P	477791-19-8P	477791-21-2P
	477791-23-4P	477791-32-5P	477791-39-2P	477791-41-6P	477791-46-1P
	477791-48-3P	477791-58-5P	477791-59-6P	477791-96-1P	477791-97-2P
	477791-98-3P	477791-99-4P	477792-09-9P	477792-47-5P	477792-49-7P
	477792-51-1P	477792-55-5P	477792-71-5P	477792-77-1P	477792-79-3P
	477792-83-9P	477792-84-0P	477792-86-2P	477792-87-3P	477792-93-1P
	477793-09-2P	477793-32-1P	477793-33-2P	477793-62-7P	477793-70-7P
	477794-21-1P	477794-28-8P	477794-29-9P	477794-33-5P	477794-36-8P
	477794-38-0P	477794-41-5P	477794-42-6P	477794-43-7P	477794-44-8P
	477794-45-9P	477794-46-0P	477794-47-1P	477794-49-3P	477794-50-6P
	477794-51-7P	477794-52-8P	477794-53-9P	477794-54-0P	477794-55-1P
	477794-56-2P	477794-57-3P	477794-58-4P	477794-59-5P	477794-60-8P
	477794-61-9P	488844-55-9P	488844-65-1P	488844-77-5P	488845-26-7P
	488845-27-8P	488845-28-9P	488845-30-3P	488845-31-4P	488845-32-5P
	488845-33-6P	488845-34-7P	488845-36-9P	488845-37-0P	488845-38-1P
	488845-39-2P	488845-41-6P	488845-43-8P	488845-44-9P	488845-48-3P
	488845-49-4P	488845-52-9P	488845-56-3P	488845-57-4P	488845-59-6P
	488845-60-9P	488845-61-0P	488845-62-1P	488845-63-2P	488845-64-3P
	488845-69-8P	488845-71-2P	488845-72-3P	488845-73-4P	488845-74-5P
	488845-75-6P	488845-76-7P	488845-77-8P	488845-78-9P	488845-79-0P
	488845-80-3P	488845-81-4P	488845-82-5P	488845-83-6P	488845-84-7P
	488845-86-9P	488845-87-0P	488845-88-1P	488845-89-2P	488845-90-5P
	488845-91-6P	488845-92-7P	488845-93-8P	488845-94-9P	488845-96-1P
	488845-97-2P	488845-98-3P	488845-99-4P	488846-00-0P	488846-01-1P
	488846-02-2P	488846-03-3P	488846-04-4P	488846-05-5P	488846-06-6P
	488846-07-7P	488846-08-8P	488846-09-9P	488846-10-2P	488846-13-5P
	488846-15-7P	488846-17-9P	488846-19-1P	488846-20-4P	488846-21-5P
	488846-22-6P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
Alzheimer's disease)

IT	488846-23-7P	488846-24-8P	488846-25-9P	488846-26-0P	488846-27-1P
	488846-28-2P	488846-29-3P	488846-31-7P	488846-32-8P	488846-33-9P
	488846-34-0P	488846-35-1P	488846-36-2P	488846-37-3P	488846-38-4P
	488846-39-5P	488846-40-8P	488846-41-9P	488846-42-0P	488846-43-1P
	488846-44-2P	488846-45-3P	488846-46-4P	488846-47-5P	488846-49-7P
	488846-50-0P	488846-51-1P	488846-52-2P	488846-53-3P	488846-54-4P
	488846-59-9P	488846-60-2P	488846-64-6P	488846-66-8P	488846-68-0P
	488846-69-1P	488846-91-9P	488846-92-0P	488847-75-2P	488848-41-5P
	488848-42-6P	488848-46-0P	488848-48-2P	488848-50-6P	488848-52-8P
	488848-75-5P	488848-77-7P	527712-34-1P	527712-36-3P	527712-38-5P
	527712-39-6P	527712-41-0P	527712-43-2P	527712-45-4P	527712-47-6P
	527712-49-8P	527712-51-2P	527712-53-4P	527712-55-6P	527712-57-8P
	527712-59-0P	527712-61-4P	527712-62-5P	527712-64-7P	527712-66-9P
	527712-68-1P	527712-70-5P	527712-72-7P	527712-74-9P	527712-76-1P
	527712-78-3P	527712-79-4P	527712-81-8P	527712-83-0P	527712-85-2P
	527712-87-4P	527712-89-6P	527712-91-0P	527712-93-2P	527712-95-4P
	527712-97-6P	527712-99-8P	527713-01-5P	527713-03-7P	527713-05-9P
	527713-07-1P	527713-10-6P	527713-12-8P	527713-15-1P	527713-19-5P
	527713-22-0P	527713-23-1P	527713-25-3P	527713-27-5P	527713-29-7P
	527713-30-0P	527713-32-2P	527713-34-4P	527713-36-6P	527713-38-8P
	527713-40-2P	527713-42-4P	527713-44-6P	527713-46-8P	527713-47-9P
	527713-49-1P	527713-51-5P	527713-53-7P	527713-55-9P	527713-57-1P
	527713-59-3P	527713-61-7P	527713-63-9P	527713-65-1P	527713-67-3P
	527713-69-5P	527713-71-9P	527713-73-1P	527713-75-3P	527713-77-5P
	527713-78-6P	527713-80-0P	527713-82-2P	527713-84-4P	527713-86-6P
	527713-88-8P	527713-90-2P	527713-92-4P	527713-94-6P	527713-95-7P
	527713-97-9P	527713-99-1P	527714-02-9P	527714-04-1P	527714-06-3P
	527714-08-5P	527714-10-9P	527714-12-1P	527714-14-3P	527714-16-5P
	527714-18-7P	527714-20-1P	527714-22-3P	527714-24-5P	527714-26-7P
	527714-28-9P	527714-30-3P	527714-31-4P	527714-33-6P	527714-35-8P
	527714-37-0P	527714-39-2P	527714-41-6P	527714-43-8P	527714-45-0P
	527714-47-2P	527714-49-4P	527714-50-7P	527714-52-9P	527714-54-1P
	527714-56-3P	527714-58-5P	527714-60-9P	527714-62-1P	527714-64-3P
	527714-66-5P	527714-68-7P	527714-70-1P	527714-72-3P	527714-74-5P
	527714-75-6P	527714-77-8P	527714-79-0P	527714-81-4P	527714-83-6P
	527714-85-8P	527714-87-0P	527714-89-2P	527714-91-6P	527714-92-7P
	527714-94-9P	527714-96-1P	527714-98-3P	527715-00-0P	527715-02-2P
	527715-04-4P	527715-06-6P	527715-08-8P	527715-11-3P	527715-14-6P
	527715-16-8P	527715-18-0P	527715-19-1P	527715-21-5P	527715-22-6P
	527715-24-8P	527715-26-0P	527715-28-2P	527715-30-6P	527715-32-8P
	527715-34-0P	527715-36-2P	527715-38-4P	527715-40-8P	527715-42-0P
	527715-44-2P	527715-46-4P	527715-48-6P	527715-50-0P	527715-52-2P
	527715-54-4P	527715-56-6P	527715-58-8P	527715-60-2P	527715-62-4P
	527715-64-6P	527715-66-8P	527715-68-0P	527715-70-4P	527715-72-6P
	527715-74-8P	527715-76-0P	527715-77-1P	527715-79-3P	527715-81-7P
	527715-83-9P	527715-85-1P	527715-87-3P	527715-88-4P	527715-89-5P
	527715-91-9P	527715-93-1P	527715-95-3P	527715-97-5P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
Alzheimer's disease)

IT	527715-99-7P	527716-00-3P	527716-02-5P	527716-03-6P	527716-05-8P
	527716-07-0P	527716-09-2P	527716-11-6P	527716-13-8P	527716-15-0P
	527716-17-2P	527716-19-4P	527716-21-8P	527716-23-0P	527716-25-2P
	527716-28-5P	527716-30-9P	527716-32-1P	527716-35-4P	527716-38-7P
	527716-40-1P	527716-42-3P	527716-44-5P	527716-46-7P	527716-48-9P
	527716-50-3P	527716-52-5P	527716-54-7P	527716-56-9P	527716-58-1P
	527716-59-2P	527716-60-5P	527716-61-6P	527716-62-7P	527716-63-8P
	527716-64-9P	527716-65-0P	527716-66-1P	527716-67-2P	527716-68-3P
	527716-69-4P	527716-70-7P	527716-71-8P	527716-72-9P	527716-73-0P

527716-74-1P	527716-75-2P	527716-76-3P	527716-77-4P	527716-78-5P
527716-79-6P	527716-80-9P	527716-81-0P	527716-82-1P	527716-83-2P
527716-84-3P	527716-85-4P	527716-86-5P	527716-87-6P	527716-88-7P
527716-89-8P	527716-90-1P	527716-91-2P	527716-92-3P	527716-93-4P
527716-94-5P	527716-95-6P	527716-96-7P	527716-97-8P	527716-98-9P
527716-99-0P	527717-00-6P	527717-01-7P	527717-02-8P	527717-03-9P
527717-04-0P	527717-05-1P	527717-06-2P	527717-07-3P	527717-08-4P
527717-09-5P	527717-10-8P	527717-11-9P	527717-12-0P	527717-13-1P
527717-14-2P	527717-15-3P	527717-16-4P	527717-17-5P	527717-18-6P
527717-19-7P	527717-20-0P	527717-21-1P	527717-22-2P	527717-23-3P
527717-24-4P	527717-25-5P	527717-26-6P	527717-27-7P	527717-28-8P
527717-29-9P	527717-30-2P	527717-31-3P	527717-32-4P	527717-33-5P
527717-34-6P	527717-36-8P	527717-38-0P	527717-39-1P	527717-40-4P
527717-41-5P	527717-42-6P	527717-43-7P	527717-44-8P	527717-45-9P
527717-46-0P	527717-47-1P	527717-48-2P	527717-49-3P	527717-50-6P
527717-51-7P	527717-52-8P	527717-53-9P	527717-54-0P	527717-55-1P
527717-56-2P	527717-57-3P	527717-58-4P	527717-59-5P	527717-60-8P
527717-61-9P	527717-62-0P	527717-63-1P	527717-64-2P	
527717-65-3P	527717-66-4P	527717-67-5P	527717-68-6P	
527717-69-7P	527717-70-0P	527717-71-1P	527717-73-3P	527717-74-4P
527717-75-5P	527717-76-6P	527717-77-7P	527717-78-8P	527717-79-9P
527717-80-2P	527717-81-3P	527717-82-4P	527717-83-5P	527717-84-6P
527717-85-7P	527717-86-8P	527717-87-9P	527717-88-0P	527717-90-4P
527717-91-5P	527717-92-6P	527717-93-7P	527717-94-8P	527717-95-9P
527717-96-0P	527717-97-1P	527717-98-2P	527717-99-3P	527718-00-9P
527718-01-0P	527718-02-1P	527718-03-2P	527718-04-3P	527718-05-4P
527718-06-5P	527718-07-6P	527718-08-7P	527718-09-8P	527718-10-1P
527718-11-2P	527718-12-3P	527718-13-4P	527718-14-5P	527718-15-6P
527718-16-7P	527718-17-8P	527718-18-9P	527718-19-0P	527718-20-3P
527718-21-4P	527718-22-5P	527718-23-6P	527718-24-7P	527718-25-8P
527718-26-9P	527718-27-0P	527718-28-1P	527718-29-2P	527718-30-5P
527718-31-6P	527718-32-7P	527718-33-8P	527718-34-9P	527718-35-0P
527718-36-1P	527718-37-2P	527718-38-3P	527718-40-7P	527718-41-8P
527718-43-0P	527718-44-1P	527718-45-2P	527718-46-3P	527718-47-4P
527718-48-5P	527718-49-6P	527718-50-9P	527718-51-0P	527718-52-1P
527718-53-2P	527718-54-3P	527718-55-4P	527718-56-5P	527718-57-6P
527718-58-7P	527718-59-8P	527718-60-1P	527718-61-2P	527718-62-3P
527718-63-4P	527718-64-5P	527718-65-6P	527718-66-7P	527718-67-8P
527718-68-9P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT	527718-69-0P	527718-70-3P	527718-71-4P	527718-72-5P	527718-73-6P
	527718-74-7P	527718-75-8P	527718-76-9P	527718-77-0P	527718-78-1P
	527718-79-2P	527718-80-5P	527718-81-6P	527718-82-7P	527718-83-8P
	527718-84-9P	527718-85-0P	527718-86-1P	527718-87-2P	527718-88-3P
	527718-90-7P	527718-91-8P	527718-92-9P	527718-93-0P	527718-94-1P
	527718-95-2P	527718-96-3P	527718-97-4P	527718-98-5P	527718-99-6P
	527719-00-2P	527719-02-4P	527719-03-5P	527719-05-7P	527719-06-8P
	527719-07-9P	527719-08-0P	527719-09-1P	527719-10-4P	
	527719-11-5P	527719-12-6P	527719-13-7P	527719-14-8P	
	527719-15-9P	527719-16-0P	527719-17-1P	527719-18-2P	527719-19-3P
	527719-20-6P	527719-21-7P	527719-22-8P	527719-23-9P	527719-24-0P
	527719-25-1P	527719-26-2P	527719-27-3P	527719-28-4P	527719-29-5P
	527719-30-8P	527719-31-9P	527719-32-0P	527719-33-1P	527719-34-2P
	527719-35-3P	527719-36-4P	527719-37-5P	527719-38-6P	527719-39-7P
	527719-40-0P	527719-41-1P	527719-42-2P	527719-43-3P	527719-44-4P
	527719-45-5P	527719-46-6P	527719-47-7P	527719-48-8P	527719-49-9P
	527719-50-2P	527719-51-3P	527719-52-4P	527719-53-5P	527719-54-6P
	527719-55-7P	527719-56-8P	527719-57-9P	527719-58-0P	527719-59-1P
	527719-60-4P	527719-61-5P	527719-62-6P	527719-63-7P	527719-64-8P
	527719-65-9P	527719-66-0P	527719-67-1P	527719-68-2P	527719-70-6P
	527719-71-7P	527719-72-8P	527719-73-9P	527719-74-0P	527719-75-1P

527719-76-2P	527719-77-3P	527719-78-4P	527719-79-5P	527719-80-8P
527719-81-9P	527719-82-0P	527719-83-1P	527719-84-2P	527719-85-3P
527719-86-4P	527719-87-5P	527719-88-6P	527719-89-7P	527719-90-0P
527719-91-1P	527719-92-2P	527719-93-3P	527719-94-4P	527719-95-5P
527719-96-6P	527719-97-7P	527719-98-8P	527719-99-9P	527720-00-9P
527720-01-0P	527720-02-1P	527720-03-2P	527720-04-3P	527720-05-4P
527720-06-5P	527720-07-6P	527720-08-7P	527720-09-8P	527720-10-1P
527720-12-3P	527720-13-4P	527720-14-5P	527720-15-6P	527720-16-7P
527720-17-8P	527720-18-9P	527720-19-0P	527720-20-3P	527720-21-4P
527720-22-5P	527720-23-6P	527720-24-7P	527720-25-8P	527720-26-9P
527720-27-0P	527720-28-1P	527720-29-2P	527720-30-5P	527720-31-6P
527720-32-7P	527720-33-8P	527720-34-9P	527720-35-0P	527720-37-2P
527720-38-3P	527720-39-4P	527720-40-7P	527720-41-8P	527720-42-9P
527720-43-0P	527720-44-1P	527720-45-2P	527720-46-3P	527720-47-4P
527720-48-5P	527720-49-6P	527720-50-9P	527720-51-0P	527720-52-1P
527720-53-2P	527720-54-3P	527720-55-4P	527720-56-5P	527720-57-6P
527720-58-7P	527720-59-8P	527720-61-2P	527720-62-3P	527720-63-4P
527720-64-5P	527720-65-6P	527720-66-7P	527720-67-8P	527720-68-9P
527720-69-0P	527720-70-3P	527720-71-4P	527720-72-5P	527720-73-6P
527720-74-7P	527720-75-8P	527720-76-9P	527720-77-0P	527720-78-1P
527720-79-2P	527720-81-6P	527720-82-7P	527720-83-8P	527720-84-9P
527720-85-0P	527720-86-1P	527720-87-2P	527720-89-4P	527720-91-8P
527720-93-0P	527720-95-2P	527720-96-3P	527720-97-4P	527720-98-5P
527720-99-6P	527721-00-2P	527721-01-3P	527721-02-4P	527721-03-5P
527721-04-6P	527721-05-7P	527721-06-8P	527721-07-9P	527721-08-0P
527721-09-1P	527721-10-4P	527721-12-6P	527721-14-8P	527721-15-9P
527721-16-0P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT	527721-17-1P	527721-18-2P	527721-19-3P	527721-20-6P	527721-21-7P
	527721-22-8P	527721-23-9P	527721-24-0P	527721-25-1P	527721-26-2P
	527721-27-3P	527721-28-4P	527721-29-5P	527721-30-8P	527721-31-9P
	527721-32-0P	527721-33-1P	527721-34-2P	527721-35-3P	527721-36-4P
	527721-37-5P	527721-38-6P	527721-39-7P	527721-40-0P	527721-41-1P
	527721-42-2P	527721-43-3P	527721-44-4P	527721-45-5P	527721-46-6P
	527721-47-7P	527721-48-8P	527721-49-9P	527721-50-2P	527721-51-3P
	527721-52-4P	527721-53-5P	527721-54-6P	527721-55-7P	
	527721-56-8P	527721-57-9P	527721-58-0P	527721-59-1P	527721-60-4P
	527721-61-5P	527721-62-6P	527721-63-7P	527721-64-8P	527721-65-9P
	527721-66-0P	527721-67-1P	527721-68-2P	527721-69-3P	527721-70-6P
	527721-71-7P	527721-72-8P	527721-73-9P	527721-74-0P	527721-75-1P
	527721-76-2P	527721-77-3P	527721-78-4P	527721-79-5P	527721-80-8P
	527721-81-9P	527721-82-0P	527721-83-1P	527721-84-2P	527721-85-3P
	527721-86-4P	527721-87-5P	527721-88-6P	527721-89-7P	527721-90-0P
	527721-91-1P	527721-92-2P	527721-93-3P	527721-94-4P	527721-95-5P
	527721-96-6P	527721-97-7P	527721-98-8P	527721-99-9P	527722-00-5P
	527722-01-6P	527722-02-7P	527722-03-8P	527722-04-9P	527722-05-0P
	527722-06-1P	527722-07-2P	527722-08-3P	527722-09-4P	527722-10-7P
	527722-11-8P	527722-12-9P	527722-14-1P	527722-15-2P	527722-16-3P
	527722-17-4P	527722-18-5P	527722-19-6P	527722-20-9P	527722-21-0P
	527722-22-1P	527722-23-2P	527722-24-3P	527722-25-4P	527722-26-5P
	527722-27-6P	527722-28-7P	527722-29-8P	527722-30-1P	527722-31-2P
	527722-32-3P	527722-33-4P	527722-34-5P	527722-35-6P	527722-37-8P
	527722-39-0P	527722-41-4P	527722-43-6P	527722-45-8P	527722-47-0P
	527722-48-1P	527722-49-2P	527722-50-5P	527722-51-6P	527722-52-7P
	527722-53-8P	527722-54-9P	527722-55-0P	527722-56-1P	527722-57-2P
	527722-58-3P	527722-59-4P	527722-60-7P	527722-61-8P	527722-62-9P
	527722-63-0P	527722-64-1P	527722-65-2P	527722-66-3P	527722-67-4P
	527722-68-5P	527722-69-6P	527722-70-9P	527722-71-0P	527722-72-1P
	527722-73-2P	527722-74-3P	527722-75-4P	527722-76-5P	527722-77-6P
	527722-78-7P	527722-79-8P	527722-80-1P	527722-81-2P	527722-82-3P
	527722-83-4P	527722-84-5P	527722-86-7P	527722-87-8P	527722-88-9P

527722-89-0P	527722-90-3P	527722-91-4P	527722-92-5P	527722-93-6P
527722-94-7P	527722-95-8P	527722-96-9P	527722-97-0P	527722-98-1P
527722-99-2P	527723-00-8P	527723-01-9P	527723-02-0P	527723-03-1P
527723-04-2P	527723-05-3P	527723-06-4P	527723-07-5P	527723-08-6P
527723-09-7P	527723-10-0P	527723-11-1P	527723-13-3P	527723-14-4P
527723-15-5P	527723-16-6P	527723-17-7P	527723-18-8P	527723-19-9P
527723-20-2P	527723-21-3P	527723-22-4P	527723-23-5P	527723-24-6P
527723-25-7P	527723-26-8P	527723-27-9P	527723-28-0P	527723-29-1P
527723-30-4P	527723-31-5P	527723-32-6P	527723-33-7P	527723-34-8P
527723-35-9P	527723-36-0P	527723-37-1P	527723-38-2P	527723-39-3P
527723-40-6P	527723-41-7P	527723-42-8P	527723-43-9P	527723-44-0P
527723-45-1P	527723-46-2P	527723-47-3P	527723-48-4P	527723-49-5P
527723-50-8P	527723-51-9P	527723-52-0P	527723-53-1P	527723-54-2P
527723-55-3P	527723-56-4P	527723-57-5P	527723-58-6P	527723-59-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
Alzheimer's disease)

IT	527723-60-0P	527723-61-1P	527723-62-2P	527723-63-3P	527723-64-4P
	527723-65-5P	527723-66-6P	527723-67-7P	527723-68-8P	
	527723-69-9P	527723-70-2P	527723-71-3P	527723-72-4P	527723-73-5P
	527723-74-6P	527723-75-7P	527723-76-8P	527723-77-9P	527723-78-0P
	527723-79-1P	527723-80-4P	527723-81-5P	527723-82-6P	527723-83-7P
	527723-84-8P	527723-85-9P	527723-86-0P	527723-87-1P	527723-88-2P
	527723-89-3P	527723-90-6P	527723-91-7P	527723-92-8P	527723-93-9P
	527723-94-0P	527723-95-1P	527723-96-2P	527723-97-3P	527723-98-4P
	527723-99-5P	527724-00-1P	527724-01-2P	527724-02-3P	527724-03-4P
	527724-04-5P	527724-05-6P	527724-06-7P	527724-07-8P	527724-08-9P
	527724-09-0P	527724-10-3P	527724-11-4P	527724-12-5P	527724-13-6P
	527724-14-7P	527724-15-8P	527724-16-9P	527724-17-0P	527724-18-1P
	527724-20-5P	527724-21-6P	527724-22-7P	527724-23-8P	527724-24-9P
	527724-25-0P	527724-26-1P	527724-27-2P	527724-28-3P	527724-29-4P
	527724-30-7P	527724-31-8P	527724-32-9P	527724-33-0P	527724-34-1P
	527724-35-2P	527724-36-3P	527724-37-4P	527724-38-5P	527724-39-6P
	527724-40-9P	527724-41-0P	527724-42-1P	527724-43-2P	527724-44-3P
	527724-45-4P	527724-46-5P	527724-47-6P	527724-48-7P	527724-49-8P
	527724-50-1P	527724-51-2P	527724-52-3P	527724-53-4P	527724-54-5P
	527724-55-6P	527724-56-7P	527724-57-8P	527724-58-9P	527724-59-0P
	527724-60-3P	527724-61-4P	527724-62-5P	527724-63-6P	527724-64-7P
	527724-65-8P	527724-66-9P	527724-67-0P	527724-68-1P	527724-69-2P
	527724-70-5P	527724-71-6P	527724-72-7P	527724-73-8P	527724-74-9P
	527724-75-0P	527724-76-1P	527724-77-2P	527724-78-3P	527724-79-4P
	527724-80-7P	527724-81-8P	527724-82-9P	527724-83-0P	527724-84-1P
	527724-85-2P	527724-86-3P	527724-87-4P	527724-88-5P	527724-89-6P
	527724-90-9P	527724-91-0P	527724-92-1P	527724-93-2P	527724-94-3P
	527724-95-4P	527724-96-5P	527724-97-6P	527724-98-7P	527724-99-8P
	527725-00-4P	527725-01-5P	527725-02-6P	527725-03-7P	527725-04-8P
	527725-05-9P	527725-06-0P	527725-07-1P	527725-08-2P	527725-09-3P
	527725-10-6P	527725-11-7P	527725-12-8P	527725-13-9P	527725-14-0P
	527725-15-1P	527725-16-2P	527725-17-3P	527725-18-4P	
	527725-19-5P	527725-20-8P	527725-21-9P	527725-23-1P	527725-24-2P
	527725-25-3P	527725-26-4P	527725-27-5P	527725-28-6P	527725-29-7P
	527725-30-0P	527725-31-1P	527725-32-2P	527725-33-3P	527725-34-4P
	527725-35-5P	527725-36-6P	527725-37-7P	527725-38-8P	527725-39-9P
	527725-41-3P	527725-42-4P	527725-43-5P	527725-44-6P	527725-45-7P
	527725-46-8P	527725-47-9P	527725-48-0P	527725-49-1P	527725-50-4P
	527725-51-5P	527725-52-6P	527725-53-7P	527725-54-8P	527725-55-9P
	527725-56-0P	527725-57-1P	527725-58-2P	527725-59-3P	527725-60-6P
	527725-61-7P	527725-62-8P	527725-63-9P	527725-64-0P	527725-65-1P
	527725-66-2P	527725-67-3P	527725-68-4P	527725-69-5P	527725-70-8P
	527725-71-9P	527725-72-0P	527725-73-1P	527725-74-2P	527725-75-3P
	527725-76-4P	527725-77-5P	527725-78-6P	527725-79-7P	527725-80-0P
	527725-82-2P	527725-83-3P	527725-84-4P	527725-89-9P	527725-91-3P
	527725-92-4P	527725-93-5P	527725-94-6P	527725-95-7P	527725-96-8P

527725-97-9P 527725-98-0P 527725-99-1P 527726-00-7P 527726-01-8P
527726-02-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
Alzheimer's disease)

IT	527726-03-0P	527726-04-1P	527726-05-2P	527726-06-3P	527726-07-4P
	527726-08-5P	527726-09-6P	527726-10-9P	527726-11-0P	527726-12-1P
	527726-13-2P	527726-14-3P	527726-15-4P	527726-16-5P	527726-17-6P
	527726-18-7P	527726-19-8P	527726-20-1P	527726-21-2P	527726-22-3P
	527726-23-4P	527726-24-5P	527726-25-6P	527726-26-7P	527726-27-8P
	527726-28-9P	527726-29-0P	527726-30-3P	527726-31-4P	527726-32-5P
	527726-33-6P	527726-34-7P	527726-35-8P	527726-36-9P	527726-37-0P
	527726-38-1P	527726-39-2P	527726-40-5P	527726-41-6P	527726-42-7P
	527726-43-8P	527726-44-9P	527726-45-0P	527726-46-1P	527726-47-2P
	527726-48-3P	527726-49-4P	527726-50-7P	527726-51-8P	
	527726-52-9P	527726-53-0P	527726-54-1P	527726-55-2P	527726-56-3P
	527726-57-4P	527726-58-5P	527726-59-6P	527726-60-9P	527726-61-0P
	527726-62-1P	527726-63-2P	527726-64-3P	527726-65-4P	527726-66-5P
	527726-67-6P	527726-68-7P	527726-69-8P	527726-70-1P	527726-73-4P
	527726-74-5P	527726-75-6P	527726-76-7P	527726-77-8P	527726-78-9P
	527726-79-0P	527726-80-3P	527726-81-4P	527726-82-5P	527726-83-6P
	527726-84-7P	527726-85-8P	527726-86-9P	527726-87-0P	527726-88-1P
	527726-89-2P	527726-90-5P	527726-91-6P	527726-92-7P	527726-93-8P
	527726-94-9P	527726-95-0P	527726-96-1P	527726-97-2P	527726-98-3P
	527726-99-4P	527727-00-0P	527727-01-1P	527727-02-2P	527727-03-3P
	527727-04-4P	527727-05-5P	527727-06-6P	527727-07-7P	527727-08-8P
	527727-09-9P	527727-10-2P	527727-11-3P	527727-12-4P	527727-13-5P
	527727-14-6P	527727-15-7P	527727-16-8P	527727-17-9P	527727-18-0P
	527727-19-1P	527727-20-4P	527727-21-5P	527727-22-6P	527727-23-7P
	527727-24-8P	527727-25-9P	527727-26-0P	527727-27-1P	527727-28-2P
	527727-29-3P	527727-30-6P	527727-31-7P	527727-32-8P	527727-33-9P
	527727-34-0P	527727-35-1P	527727-36-2P	527727-37-3P	527727-38-4P
	527727-39-5P	527727-40-8P	527727-41-9P	527727-42-0P	527727-43-1P
	527727-44-2P	527727-45-3P	527727-46-4P	527727-47-5P	527727-48-6P
	527727-49-7P	527727-50-0P	527727-51-1P	527727-52-2P	527727-53-3P
	527727-54-4P	527727-55-5P	527727-56-6P	527727-57-7P	527727-59-9P
	527727-60-2P	527727-61-3P	527727-62-4P	527727-63-5P	527727-64-6P
	527727-65-7P	527727-66-8P	527727-67-9P	527727-68-0P	527727-69-1P
	527727-70-4P	527727-71-5P	527727-72-6P	527727-73-7P	527727-74-8P
	527727-75-9P	527727-76-0P	527727-77-1P	527727-78-2P	527727-79-3P
	527727-80-6P	527727-81-7P	527727-82-8P	527727-83-9P	527727-84-0P
	527727-85-1P	527727-86-2P	527727-87-3P	527727-88-4P	527727-89-5P
	527727-90-8P	527727-91-9P	527727-92-0P	527727-93-1P	527727-94-2P
	527727-95-3P	527727-96-4P	527727-97-5P	527727-98-6P	527727-99-7P
	527728-00-3P	527728-01-4P	527728-02-5P	527728-03-6P	527728-04-7P
	527728-05-8P	527728-06-9P	527728-07-0P	527728-08-1P	527728-09-2P
	527728-10-5P	527728-11-6P	527728-12-7P	527728-13-8P	527728-14-9P
	527728-15-0P	527728-16-1P	527728-17-2P	527728-18-3P	527728-19-4P
	527728-20-7P	527728-21-8P	527728-22-9P	527728-23-0P	527728-24-1P
	527728-25-2P	527728-26-3P	527728-27-4P	527728-28-5P	527728-29-6P
	527728-30-9P	527728-31-0P	527728-32-1P	527728-33-2P	527728-34-3P
	527728-35-4P	527728-36-5P	527728-37-6P	527728-38-7P	
	527728-39-8P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
Alzheimer's disease)

IT	527728-40-1P	527728-41-2P	527728-42-3P	527728-43-4P	527728-44-5P
	527728-45-6P	527728-46-7P	527728-47-8P	527728-48-9P	527728-49-0P
	527728-50-3P	527728-51-4P	527728-52-5P	527728-53-6P	527728-54-7P
	527728-55-8P	527728-56-9P	527728-57-0P	527728-58-1P	527728-59-2P
	527728-60-5P	527728-61-6P	527728-62-7P	527728-63-8P	527728-64-9P

527728-65-0P	527728-66-1P	527728-67-2P	527728-68-3P	527728-69-4P
527728-70-7P	527728-71-8P	527728-72-9P	527728-73-0P	527728-74-1P
527728-75-2P	527728-76-3P	527728-77-4P	527728-78-5P	527728-79-6P
527728-80-9P	527728-81-0P	527728-82-1P	527728-83-2P	527728-84-3P
527728-85-4P	527728-86-5P	527728-87-6P	527728-88-7P	527728-89-8P
527728-90-1P	527728-91-2P	527728-92-3P	527728-93-4P	527728-94-5P
527728-95-6P	527728-96-7P	527728-97-8P	527728-98-9P	527728-99-0P
527729-00-6P	527729-01-7P	527729-02-8P	527729-03-9P	527729-04-0P
527729-05-1P	527729-06-2P	527729-07-3P	527729-08-4P	527729-09-5P
527729-12-0P	527729-15-3P	527729-17-5P	527729-20-0P	527729-23-3P
527729-26-6P	527729-29-9P	527729-32-4P	527729-35-7P	527729-38-0P
527729-41-5P	527729-43-7P	527729-46-0P	527729-49-3P	527729-53-9P
527729-56-2P	527729-59-5P	527729-61-9P	527729-64-2P	527729-67-5P
527729-70-0P	527729-72-2P	527729-74-4P	527729-76-6P	527729-79-9P
527729-81-3P	527729-83-5P	527729-85-7P	527729-87-9P	527729-88-0P
527729-89-1P	527729-90-4P	527729-91-5P	527729-92-6P	
527729-93-7P	527729-94-8P	527729-95-9P	527729-96-0P	527729-97-1P
527729-98-2P	527729-99-3P	527730-00-3P	527730-01-4P	527730-02-5P
527730-03-6P	527730-04-7P	527730-05-8P	527730-06-9P	527730-07-0P
527730-08-1P	527730-09-2P	527730-10-5P	527730-11-6P	527730-12-7P
527730-13-8P	527730-14-9P	527730-15-0P	527730-16-1P	527730-17-2P
527730-18-3P	527730-19-4P	527730-20-7P	527730-21-8P	527730-22-9P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
 Alzheimer's disease)

L3 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:58051 CAPLUS

DN 138:136938

ED Entered STN: 24 Jan 2003

TI Preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as
 inhibitors of the beta secretase enzyme for treating Alzheimer's disease

IN Gailunas, Andrea; Hom, Roy; John, Varghese; Maillard, Michel; Chrusciel,
 Robert Alan; Fisher, Jed; Jacobs, Jon; Freskos, John N.; Brown, David L.;
 Fobian, Yvette M.

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SO PCT Int. Appl., 205 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C233-35

ICS A61K031-164; A61P025-28

CC 23-18 (Aliphatic Compounds)

Section cross-reference(s): 1, 25, 27, 28

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003006423	A1	20030123	WO 2002-US22255	20020711
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	EP 1409450	A1	20040421	EP 2002-750011	20020711
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	US 2001-308756P	P	20010730		
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US 2001-344872P	P	20011221
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US 2002-193044	A3	20020711
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003006423	ICM	C07C233-35
	ICS	A61K031-164; A61P025-28
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 [I,A]; C07D0235-16 [I,A]; C07D0235-26 [I,A];
 C07D0237-14 [I,A]; C07D0239-20 [I,A]; C07D0241-08
 [I,A]; C07D0241-12 [I,A]; C07D0249-04 [I,A];
 C07D0249-08 [I,A]; C07D0249-12 [I,A]; C07D0249-18

[I,A]; C07D0257-04 [I,A]; C07D0261-10 [I,A];
 C07D0263-56 [I,A]; C07D0263-57 [I,A]; C07D0263-58
 [I,A]; C07D0265-14 [I,A]; C07D0271-06 [I,A];
 C07D0275-04 [I,A]; C07D0277-34 [I,A]; C07D0277-46
 [I,A]; C07D0277-70 [I,A]; C07D0295-088 [I,A];
 C07D0295-155 [I,A]; C07D0307-52 [I,A]; C07D0307-54
 [I,A]; C07D0307-79 [I,A]; C07D0307-81 [I,A];
 C07D0307-91 [I,A]; C07D0311-58 [I,A]; C07D0317-28
 [I,A]; C07D0317-60 [I,A]; C07D0319-18 [I,A];
 C07D0321-02 [I,A]; C07D0333-22 [I,A]; C07D0333-24
 [I,A]; C07D0401-04 [I,A]; C07D0403-04 [I,A];
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 [I,A]; C07D0417-04 [I,A]; C07D0473-02 [I,A];
 C07D0487-04 [I,A]
 ECLA C07C233/40; C07C235/20; C07C235/34; C07C271/22;
 C07C311/06; C07C323/62; C07D207/26C; C07D207/32B2B;
 C07D209/08; C07D209/12; C07D209/18; C07D209/30;
 C07D209/48; C07D209/48D3A1A; C07D211/76; C07D213/38;
 C07D213/56; C07D213/64; C07D213/74B; C07D231/12B1;
 C07D233/54C; C07D233/54C2D4; C07D235/16; C07D235/26;
 C07D237/14; C07D239/20; C07D241/08; C07D241/12C;
 C07D249/04; C07D249/08C; C07D249/12; C07D249/18;
 C07D257/04D2C3; C07D257/04D4; C07D261/10B; C07D263/56B;
 C07D263/56D; C07D263/58D; C07D265/14; C07D271/06B;
 C07D275/04A; C07D277/34; C07D277/46; C07D277/70;
 C07D295/08B1D; C07D295/14A2; C07D307/52; C07D307/54;
 C07D307/79B; C07D307/81; C07D307/91B2; C07D311/58;
 C07D317/28; C07D317/60; C07D319/18; C07D321/02;
 C07D333/22; C07D333/24; C07D401/04+257+213;
 C07D403/04+257+207; C07D405/04+317+257;
 C07D409/04+333B+231; C07D413/04+263+213;
 C07D413/04+271+213; C07D417/04+277B+213; C07D473/02;
 C07D487/04+249C+235C; C07D487/04+249C+239C
 NO 2004000139 IPCI A07C0233-35 [ICM,7]; C07C0233-00 [ICM,7,C*];
 A61K0031-164 [ICS,7]; A61P0025-28 [ICS,7]; A61P0025-00
 [ICS,7,C*]
 ECLA C07C233/40; C07C235/20; C07C235/34; C07C271/22;
 C07C311/06; C07C323/62; C07D207/26C; C07D207/32B2B;
 C07D209/08; C07D209/12; C07D209/18; C07D209/30;
 C07D209/48; C07D209/48D3A1A; C07D211/76; C07D213/38;
 C07D213/56; C07D213/64; C07D213/74B; C07D231/12B1;
 C07D233/54C; C07D233/54C2D4; C07D235/16; C07D235/26;
 C07D237/14; C07D239/20; C07D241/08; C07D241/12C;
 C07D249/04; C07D249/08C; C07D249/12; C07D249/18;
 C07D257/04D2C3; C07D257/04D4; C07D261/10B; C07D263/56B;
 C07D263/56D; C07D263/58D; C07D265/14; C07D271/06B;
 C07D275/04A; C07D277/34; C07D277/46; C07D277/70;
 C07D295/08B1D; C07D295/14A2; C07D307/52; C07D307/54;
 C07D307/79B; C07D307/81; C07D307/91B2; C07D311/58;
 C07D317/28; C07D317/60; C07D319/18; C07D321/02;
 C07D333/22; C07D333/24; C07D401/04+257+213;
 C07D403/04+257+207; C07D405/04+317+257;
 C07D409/04+333B+231; C07D413/04+263+213;
 C07D413/04+271+213; C07D417/04+277B+213; C07D473/02;
 C07D487/04+249C+235C; C07D487/04+249C+239C
 ZA 2004000243 IPCI A61K [ICM,7]; A61P [ICS,7]; C07C [ICS,7]
 US 2006194817 IPCI A61K0031-495 [I,A]; A61K0031-44 [I,A]; A61K0031-18
 [I,A]
 NCL 514/255.010; 514/602.000; 544/392.000; 564/086.000;
 514/357.000; 546/336.000
 OS MARPAT 138:136938
 GI



AB The title compds. [I; m = 0-5; B = (un)substituted (hetero)aryl, (hetero)cycloalkyl; R4, R41 = H, CN, OCF3, etc.; R4 and R41 together = O; R42, R43 = H, CN, OCF3, etc.; R42 and R43 together = O; R1 = (CH2)1-2 S(O)0-2alkyl, substituted alkyl, aryl, etc.; R2 = H, alkyl, alkenyl, etc.; R3 = H, alkenyl, alkynyl, etc.; R2 and R3 taken together with the carbon atom to which they are attached form 3-7 membered carbocycle where one atom is optionally a heteroatom; Rc = H, alkyl, alkenyl, etc.], useful in treating Alzheimer's disease and other similar diseases characterized by deposition of A beta peptide in a mammal, were prepared E.g., a multi-step synthesis of (1S,2R)-II.HCl, starting from N-butylethylenediamine and di-Et oxalate, was given. The compds. I showed IC50 of < 50 μM against β-secretase. The compds. I are useful in pharmaceutical compns. and methods of treatment to reduce A beta peptide formation.

ST alkanamide aminohydroxypropyl prepn beta secretase inhibitor Alzheimer's disease; amyloid beta alkanamide aminohydroxypropyl prepn

IT Alzheimer's disease
(Lewy-body variant, treatment of; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Brain, disease
(amyloid angiopathy; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Brain, disease
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type, treatment of; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Mental and behavioral disorders
(dementia, treatment of degenerative dementias; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Amyloidosis
(hereditary, cerebral hemorrhage type, Dutch type, treatment of; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Anti-Alzheimer's agents
Cognition enhancers
Human
(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as

inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Cognitive disorders

(treatment of mild cognitive impairment; preparation of

N-(3-amino-2-hydroxy-

propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Alzheimer's disease

Down's syndrome

(treatment of; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(β -; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT 158736-49-3, β -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT	488844-33-3P	488844-35-5P	488844-36-6P	488844-37-7P	488844-38-8P
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	488844-44-6P	488844-45-7P	488844-46-8P	488844-47-9P	488844-48-0P
	488844-49-1P	488844-50-4P	488844-51-5P	488844-52-6P	488844-53-7P
	488844-54-8P	488844-55-9P	488844-56-0P	488844-57-1P	488844-58-2P
	488844-59-3P	488844-60-6P	488844-61-7P	488844-62-8P	488844-63-9P
	488844-64-0P	488844-65-1P	488844-67-3P	488844-68-4P	488844-69-5P
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	488844-85-5P	488844-86-6P	488844-87-7P	488844-88-8P	488844-89-9P
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	488845-59-6P	488845-60-9P	488845-61-0P	488845-62-1P	488845-63-2P
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	488846-38-4P	488846-39-5P	488846-40-8P	488846-41-9P	488846-42-0P
	488846-43-1P	488846-44-2P	488846-45-3P	488846-46-4P	488846-47-5P
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488846-52-2P	488846-53-3P	488846-54-4P	488846-56-6P	488846-57-7P
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488846-63-5P	488846-64-6P	488846-65-7P	488846-66-8P	488846-67-9P
488846-68-0P	488846-69-1P	488846-91-9P	488846-92-0P	488846-93-1P
488846-94-2P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT	488846-95-3P	488846-96-4P	488846-97-5P	488846-98-6P	488846-99-7P
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	488847-25-2P	488847-26-3P	488847-27-4P	488847-29-6P	488847-31-0P
	488847-33-2P	488847-35-4P	488847-37-6P	488847-40-1P	488847-41-2P
	488847-42-3P	488847-43-4P	488847-44-5P	488847-46-7P	488847-48-9P
	488847-50-3P	488847-52-5P	488847-54-7P	488847-56-9P	488847-58-1P
	488847-60-5P	488847-62-7P	488847-64-9P	488847-66-1P	488847-68-3P
	488847-70-7P	488847-71-8P	488847-72-9P	488847-73-0P	488847-74-1P
	488847-75-2P	488847-77-4P	488847-79-6P	488847-81-0P	488847-83-2P
	488847-85-4P	488847-87-6P	488847-89-8P	488847-91-2P	488847-93-4P
	488847-95-6P	488848-01-7P	488848-03-9P	488848-05-1P	488848-07-3P
	488848-09-5P	488848-11-9P	488848-13-1P	488848-15-3P	488848-17-5P
	488848-19-7P	488848-21-1P	488848-22-2P	488848-23-3P	488848-25-5P
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	488848-63-1P	488848-65-3P	488848-67-5P	488848-69-7P	488848-71-1P
	488848-73-3P	488848-75-5P	488848-77-7P	488848-79-9P	488848-81-3P
	488848-83-5P	488848-85-7P	488848-87-9P	488848-89-1P	488848-90-4P
	488848-91-5P	488848-92-6P	488848-94-8P	489467-78-9P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT	96-32-2, Methyl bromoacetate	105-53-3, Diethyl malonate	542-69-8, 1-Iodobutane
	930-68-7, Cyclohex-2-enone	4530-20-5, tert-Butoxycarbonyl-glycine	4926-28-7, 2-Bromo-4-methylpyridine
	5292-43-3, tert-Butyl bromoacetate	5625-67-2, Oxopiperazine	19522-69-1, N-Butylethylenediamine
	33777-32-1, 6-Propylcyclohex-2-en-1-one	59702-31-7, N-Ethylpiperazin-2,3-dione	99208-98-7, Methyl (S)-2-(trifluoromethylsulfonyloxy)propionate
	138397-85-0	488846-89-5	488846-90-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT	2385-28-6P	22274-75-5P	39762-51-1P	59702-09-9P	76003-29-7P
	488846-70-4P	488846-71-5P	488846-72-6P	488846-73-7P	488846-74-8P
	488846-75-9P	488846-76-0P	488846-77-1P	488846-78-2P	488846-79-3P
	488846-80-6P	488846-81-7P	488846-82-8P	488846-83-9P	488846-84-0P
	488846-85-1P	488846-86-2P	488846-87-3P	488846-88-4P	

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT	150234-52-9	186142-26-7	186142-28-9	252256-37-4	288584-07-6
	288584-08-7	478686-67-8	491669-24-0		

RL: PRP (Properties)

(unclaimed sequence; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Kissei Pharmaceutical; EP 0200406 A 1986 CAPLUS
- (2) Marlowe, C; US 6211183 B1 2001 CAPLUS
- (3) Squibb & Sons Inc; GB 2184730 A 1987 CAPLUS
- (4) Squibb & Sons Inc; EP 0580402 A 1994 CAPLUS
- (5) Upjohn Co; WO 0202512 A 2002 CAPLUS

L3 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:946261 CAPLUS

DN 138:14180

ED Entered STN: 13 Dec 2002

TI Preparation of peptide-related hydroxyalkylamines for pharmaceutical use in the treatment of Alzheimer's disease

IN Freskos, John; Aquino, Jose; Brown, David L.; Fang, Larry; Fobian, Yvette M.; Gailunas, Andrea; Guinn, Ashley; Varghese, John; Romero, Arthur Glenn; Tucker, John; Tung, Jay; Walker, Donald

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SO PCT Int. Appl., 360 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C317-26

ICS C07C323-39; C07C271-18; C07D309-10; C07D207-26; A61K031-33; A61K031-325; A61K031-165; C07D211-16

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002098849	A2	20021212	WO 2002-US17698	20020531
	WO 2002098849	A3	20031113		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2448834	AA	20021212	CA 2002-2448834	20020531
	AU 2002314914	A1	20021216	AU 2002-314914	20020531
	US 2003166717	A1	20030904	US 2002-160777	20020531
	EP 1395551	A2	20040310	EP 2002-741841	20020531
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	BR 2002010122	A	20040615	BR 2002-10122	20020531
	JP 2004535421	T2	20041125	JP 2003-501839	20020531
PRAI	US 2001-295332P	P	20010601		
	US 2001-332639P	P	20011119		
	US 2001-343772P	P	20011228		
	WO 2002-US17698	W	20020531		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002098849	ICM	C07C317-26
	ICS	C07C323-39; C07C271-18; C07D309-10; C07D207-26; A61K031-33; A61K031-325; A61K031-165; C07D211-16
	IPCI	C07C0317-26 [ICM,7]; C07C0317-00 [ICM,7,C*];

		C07C0323-39 [ICS,7]; C07C0323-00 [ICS,7,C*]; C07C0271-18 [ICS,7]; C07C0271-00 [ICS,7,C*]; C07D0309-10 [ICS,7]; C07D0309-00 [ICS,7,C*]; C07D0207-26 [ICS,7]; C07D0207-00 [ICS,7,C*]; A61K0031-33 [ICS,7]; A61K0031-325 [ICS,7]; A61K0031-165 [ICS,7]; C07D0211-16 [ICS,7]; C07D0211-00 [ICS,7,C*]
	IPCR	C07C0317-00 [I,C*]; C07C0317-46 [I,A]; C07C0317-48 [I,A]; C07C0317-50 [I,A]; C07C0323-00 [I,C*]; C07C0323-60 [I,A]; C07C0327-00 [I,C*]; C07C0327-32 [I,A]; C07D0207-00 [I,C*]; C07D0207-12 [I,A]; C07D0207-26 [I,A]; C07D0207-28 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0211-00 [I,C*]; C07D0211-46 [I,A]; C07D0211-96 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0213-81 [I,A]; C07D0213-82 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0233-00 [I,C*]; C07D0233-54 [I,A]; C07D0233-74 [I,A]; C07D0233-90 [I,A]; C07D0237-00 [I,C*]; C07D0237-04 [I,A]; C07D0241-00 [I,C*]; C07D0241-24 [I,A]; C07D0261-00 [I,C*]; C07D0261-18 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0277-56 [I,A]; C07D0295-00 [I,C*]; C07D0295-185 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0309-00 [I,C*]; C07D0309-12 [I,A]; C07D0317-00 [I,C*]; C07D0317-30 [I,A]; C07D0319-00 [I,C*]; C07D0319-06 [I,A]; C07D0333-00 [I,C*]; C07D0333-32 [I,A]; C07D0333-34 [I,A]; C07D0333-48 [I,A]; C07D0521-00 [I,A]; C07D0521-00 [I,C*]
	ECLA	C07C317/46; C07C327/32; C07D207/12; C07D207/26C; C07D207/28; C07D209/08; C07D211/46; C07D211/96; C07D213/30D2; C07D213/81E; C07D213/81F; C07D213/82D; C07D213/82G; C07D213/82H; C07D231/14; C07D233/54C2D5; C07D233/74; C07D233/90; C07D237/04; C07D241/24B; C07D261/18; C07D277/36; C07D277/56; C07D295/18B1G; C07D307/20; C07D309/12; C07D317/30; C07D319/06; C07D333/32; C07D333/34; C07D333/48C; C07D521/00B3C2; C07C317/48; C07C317/50; C07C323/60
CA 2448834	IPCI	C07C0317-26 [ICM,7]; C07C0317-00 [ICM,7,C*]; C07D0309-10 [ICS,7]; C07D0309-00 [ICS,7,C*]; C07D0211-16 [ICS,7]; C07D0211-00 [ICS,7,C*]; A61K0031-165 [ICS,7]; C07C0271-18 [ICS,7]; C07C0271-00 [ICS,7,C*]; C07D0207-26 [ICS,7]; C07D0207-00 [ICS,7,C*]; A61K0031-325 [ICS,7]; A61K0031-33 [ICS,7]; C07C0323-39 [ICS,7]; C07C0323-00 [ICS,7,C*]
	IPCR	C07C0317-00 [I,C*]; C07C0317-46 [I,A]; C07C0317-48 [I,A]; C07C0317-50 [I,A]; C07C0323-00 [I,C*]; C07C0323-60 [I,A]; C07C0327-00 [I,C*]; C07C0327-32 [I,A]; C07D0207-00 [I,C*]; C07D0207-12 [I,A]; C07D0207-26 [I,A]; C07D0207-28 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0211-00 [I,C*]; C07D0211-46 [I,A]; C07D0211-96 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0213-81 [I,A]; C07D0213-82 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0233-00 [I,C*]; C07D0233-54 [I,A]; C07D0233-74 [I,A]; C07D0233-90 [I,A]; C07D0237-00 [I,C*]; C07D0237-04 [I,A]; C07D0241-00 [I,C*]; C07D0241-24 [I,A]; C07D0261-00 [I,C*]; C07D0261-18 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0277-56 [I,A]; C07D0295-00 [I,C*]; C07D0295-185 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0309-00 [I,C*]; C07D0309-12 [I,A]; C07D0317-00 [I,C*]; C07D0317-30 [I,A]; C07D0319-00 [I,C*]; C07D0319-06 [I,A]; C07D0333-00 [I,C*]; C07D0333-32 [I,A]; C07D0333-34 [I,A]; C07D0333-48 [I,A]; C07D0521-00 [I,A]; C07D0521-00 [I,C*]
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	IPCR	C07C0317-00 [I,C*]; C07C0317-46 [I,A]; C07C0317-48 [I,A]; C07C0317-50 [I,A]; C07C0323-00 [I,C*]; C07C0323-60 [I,A]; C07C0327-00 [I,C*]; C07C0327-32 [I,A]; C07D0207-00 [I,C*]; C07D0207-12 [I,A]; C07D0207-26 [I,A]; C07D0207-28 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0211-00 [I,C*]; C07D0211-46 [I,A]; C07D0211-96 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0213-81 [I,A]; C07D0213-82 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0233-00 [I,C*]; C07D0233-54 [I,A]; C07D0233-74 [I,A]; C07D0233-90 [I,A]; C07D0237-00 [I,C*]; C07D0237-04 [I,A]; C07D0241-00 [I,C*]; C07D0241-24 [I,A]; C07D0261-00 [I,C*]; C07D0261-18 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0277-56 [I,A]; C07D0295-00 [I,C*]; C07D0295-185 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0309-00 [I,C*]; C07D0309-12 [I,A]; C07D0317-00 [I,C*]; C07D0317-30 [I,A]; C07D0319-00 [I,C*]; C07D0319-06 [I,A]; C07D0333-00 [I,C*]; C07D0333-32 [I,A]; C07D0333-34 [I,A]; C07D0333-48 [I,A]; C07D0521-00 [I,A]; C07D0521-00 [I,C*]
BR 2002010122	IPCI	C07C0317-26 [ICM,7]; C07C0317-00 [ICM,7,C*]; C07C0323-39 [ICS,7]; C07C0323-00 [ICS,7,C*]; C07C0271-18 [ICS,7]; C07C0271-00 [ICS,7,C*]; C07D0309-10 [ICS,7]; C07D0309-00 [ICS,7,C*]; C07D0207-26 [ICS,7]; C07D0207-00 [ICS,7,C*]; A61K0031-33 [ICS,7]; A61K0031-325 [ICS,7]; A61K0031-165 [ICS,7]; C07D0211-16 [ICS,7]; C07D0211-00 [ICS,7,C*]
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 4C054/FF23; 4C054/FF38; 4C055/AA01; 4C055/BA01;
 4C055/CA01; 4C055/CA58; 4C055/CB02; 4C055/CB19;
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4C086/BA14; 4C086/BB02; 4C086/BC07; 4C086/BC08;
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 4C206/ZC20; 4H006/AA01; 4H006/AA03; 4H006/AB21;
 4H006/AC80; 4H006/AC81; 4H006/TA03; 4H006/TA04;
 4H006/TB32; 4H006/TB33; 4H006/TB34; 4H006/TB35;
 4H006/TB36; 4H006/TB37; 4H006/TB38

OS MARPAT 138:14180

AB Hydroxyalkylamines RNNR20CHR1CH(OH)CR2R3NR20Rc [RN is an acyl group of defined structure; R20 is H, (un)substituted alkyl, alkoxy, alkoxy-, hydroxy-, or haloalkyl, or -R26-R27, where R26 is CO, SO2, CO2, CONH, or alkylcarbonyl and R27 is (un)substituted alkyl, alkoxy, arylalkyl, heterocycloalkyl, or heteroaryl; R1 is -(CH2)1-2-S(O)0-2-alkyl, (un)substituted alkyl, alkenyl, alkynyl, (hetero)aryl, heterocyclyl, etc.; R2, R3 are H or (un)substituted alkyl or CR2R3 is a 3-7 membered carbocycle in which one carbon atom is optionally replaced by O, S, SO2, or NRN-2; Rc is (un)substituted alkyl, (hetero)arylalkyl, heterocyclylalkyl, etc.] were prepared for treating Alzheimer's disease and similar diseases. Synthetic procedures are given in examples and schemes. Several hundred products of the invention are listed in a table and in the claims, including S-butyl-N-1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-D-cysteinamide.

ST peptide related hydroxyalkylamine prepn treatment Alzheimers

IT Amyloidosis

(Dutch-type; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

IT Brain, disease

(amyloid angiopathy; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

IT Hemorrhage

(cerebral; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

IT Mental and behavioral disorders

(dementia; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

IT Brain, disease

(hemorrhage; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

IT Alzheimer's disease

Down's syndrome

Human

Parkinson's disease

(preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

IT Peptides, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of peptide-related hydroxyalkylamines for treatment of
 Alzheimer's disease)

IT 70-25-7, 1 Methyl 3 nitro 1 nitrosoguanidine 100-07-2, p-Anisoyl
 chloride 696-40-2, 3 Iodobenzylamine 1639-06-1, 4 Heptanethiol
 2081-44-9 3430-39-5 5071-96-5, 3 Methoxybenzylamine 32085-88-4, 3 5
 Difluorobenzaldehyde 35356-70-8, Methyl 2 acetamidoacrylate 66673-40-3
 74124-79-1, Di succinimidyl carbonate 88568-95-0 98737-29-2
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RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of peptide-related hydroxyalkylamines for treatment of
 Alzheimer's disease)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of peptide-related hydroxyalkylamines for treatment of
 Alzheimer's disease)

L3 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:31402 CAPLUS

DN 136:102190

ED Entered STN: 11 Jan 2002

TI Preparation of substituted amines to treat Alzheimer's disease

IN Maillaird, Michel; Hom, Court; Gailunas, Andrea; Jagodzinska, Barbara;
 Fang, Lawrence Y.; John, Varghese; Freskos, John N.; Pulley, Shon R.;
 Beck, James P.; Tenbrink, Ruth E.

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SO PCT Int. Appl., 651 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C237-00

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 27, 28

FAN.CNT 5

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PI	WO 2002002512	A2	20020110	WO 2001-US21012	20010629
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CA 2410651	AA	20020110	CA 2001-2410651	20010629
AU 2001073137	A5	20020114	AU 2001-73137	20010629
US 2002128255	A1	20020912	US 2001-896139	20010629
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US 2001-279779P	P	20010329		
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WO 2001-US21012	W	20010629		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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EP 1586556 ECLA C07C215/28; C07C233/78; C07C235/84; C07C271/16; C07C271/18; C07C275/24; C07C311/03; C07C311/08; C07C311/13; C07C311/16; C07C311/37; C07C317/44; C07C323/60; C07D211/60; C07D215/12; C07D277/04; C07D295/12B1B; C07D295/22C2; C07D303/36; C07D307/52; C07D307/54; C07D333/24

IPCI C07C0233-78 [ICM,7]; C07C0233-00 [ICM,7,C*]; C07C0311-05 [ICS,7]; C07C0311-00 [ICS,7,C*]; C07C0275-24 [ICS,7]; C07C0275-00 [ICS,7,C*]; C07D0211-60 [ICS,7]; C07D0211-00 [ICS,7,C*]; C07D0295-12 [ICS,7]; C07D0295-00 [ICS,7,C*]; C07D0277-04 [ICS,7]; C07D0277-00 [ICS,7,C*]; A61K0031-165 [ICS,7]; A61K0031-17 [ICS,7]; A61K0031-18 [ICS,7]; A61K0031-33 [ICS,7]; A61P0025-28 [ICS,7]; A61P0025-00 [ICS,7,C*]

EP 1666452 ECLA C07C233/78

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NZ 538542 ECLA C07C239/20; C07C243/22; C07C243/28

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ECLA C07C215/28; C07C233/78; C07C235/84; C07C271/16;
C07C271/18; C07C275/24; C07C311/03; C07C311/08;
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NO 2002006199

IPCI

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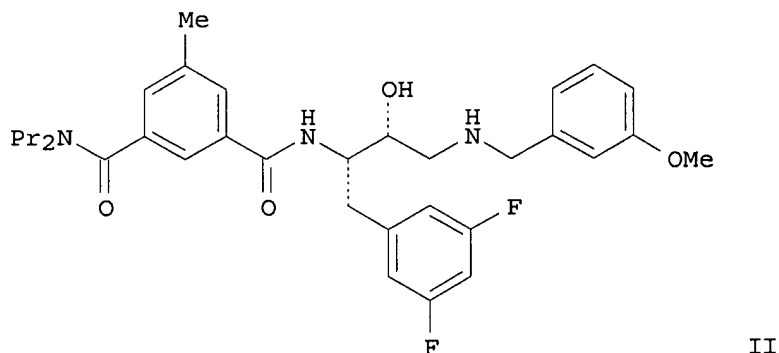
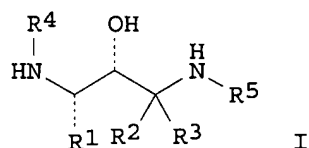
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 546/229.000; 548/530.000; 562/450.000; 564/152.000
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 C07D303/36; C07D307/52; C07D307/54; C07D333/24

US 2006211860 IPCI

NCL

ECLA



- AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepared Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamide acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 μ M against beta-secretase.
- ST amine prepn beta secretase inhibitor Alzheimer's disease; amyloid precursor protein cleavage inhibitor amine prepn; cognition enhancer amine prepn; Down's syndrome amine prepn; hereditary cerebral hemorrhage amyloidosis Dutch type amine prepn
- IT Amyloid precursor proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study) (APP695, inhibiting cleavage of; preparation of substituted amines for treating Alzheimer's disease)
- IT Brain, disease
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type, treatment of; preparation of substituted amines for treating Alzheimer's disease)
- IT Amyloidosis
(hereditary, cerebral hemorrhage type, Dutch type, treatment of; preparation of substituted amines for treating Alzheimer's disease)
- IT Amyloid precursor proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibiting cleavage of APP-751 isotype, APP-770 isotype, APP-695 Swedish mutation and APP-770 Swedish mutation; preparation of substituted amines for treating Alzheimer's disease)
- IT Anti-Alzheimer's agents
Cognition enhancers
(preparation of substituted amines for treating Alzheimer's disease)
- IT Human
(preparation of substituted amines for treatment of Alzheimer's disease)
- IT Down's syndrome
(treatment of; preparation of substituted amines for treating Alzheimer's disease)
- IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(β -, inhibiting of beta-amyloid plaque; preparation of substituted
amines for treating Alzheimer's disease)

IT 158736-49-3, β -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of substituted amines for treating Alzheimer's disease)

IT 388064-11-7P 388066-36-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

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388062-81-5P 388062-82-6P 388062-83-7P 388062-84-8P 388062-85-9P
388062-86-0P 388062-87-1P 388062-88-2P 388062-89-3P 388062-90-6P
388062-91-7P 388062-92-8P 388062-93-9P 388062-94-0P 388062-95-1P
388062-96-2P 388062-97-3P 388062-98-4P 388062-99-5P 388063-00-1P
388063-01-2P 388063-02-3P 388063-03-4P 388063-04-5P 388063-05-6P
388063-06-7P 388063-07-8P 388063-08-9P 388063-09-0P
388063-10-3P 388063-11-4P 388063-12-5P 388063-13-6P 388063-14-7P
388063-15-8P 388063-16-9P 388063-17-0P 388063-18-1P 388063-19-2P
388063-20-5P 388063-21-6P 388063-22-7P 388063-23-8P 388063-24-9P
388063-25-0P 388063-26-1P 388063-27-2P 388063-28-3P 388063-29-4P
388063-30-7P 388063-31-8P 388063-32-9P 388063-33-0P 388063-34-1P
388063-35-2P 388063-36-3P 388063-37-4P 388063-38-5P 388063-39-6P
388063-40-9P 388063-41-0P 388063-42-1P 388063-43-2P 388063-44-3P
388063-45-4P 388063-46-5P 388063-47-6P 388063-48-7P 388063-49-8P
388063-50-1P 388063-51-2P 388063-52-3P 388063-53-4P 388063-54-5P
388063-55-6P 388063-56-7P 388063-57-8P 388063-58-9P 388063-59-0P
388063-60-3P 388063-61-4P 388063-62-5P 388063-63-6P 388063-64-7P
388063-65-8P 388063-66-9P 388063-67-0P 388063-68-1P 388063-69-2P
388063-70-5P 388063-71-6P 388063-72-7P 388063-73-8P 388063-74-9P
388063-75-0P 388063-76-1P 388063-77-2P 388063-78-3P 388063-79-4P
388063-80-7P 388063-81-8P 388063-82-9P 388063-83-0P 388063-84-1P
388063-85-2P 388063-86-3P 388063-87-4P 388063-88-5P 388063-89-6P
388063-90-9P 388063-91-0P 388063-92-1P 388063-93-2P 388063-94-3P
388063-95-4P 388063-96-5P 388063-97-6P 388063-98-7P 388063-99-8P
388064-00-4P 388064-01-5P 388064-02-6P 388064-03-7P 388064-04-8P
388064-05-9P 388064-06-0P 388064-07-1P 388064-08-2P 388064-09-3P
388064-10-6P 388064-12-8P 388064-13-9P 388064-14-0P 388064-15-1P
388064-16-2P 388064-17-3P 388064-18-4P 388064-19-5P 388064-20-8P
388064-21-9P 388064-22-0P 388064-23-1P 388064-24-2P 388064-25-3P
388064-26-4P 388064-27-5P 388064-28-6P 388064-29-7P 388064-30-0P
388064-31-1P 388064-32-2P 388064-33-3P 388064-34-4P 388064-35-5P
388064-36-6P 388064-37-7P 388064-38-8P 388064-39-9P 388064-40-2P
388064-41-3P 388064-42-4P 388064-43-5P 388064-44-6P 388064-45-7P
388064-46-8P 388064-47-9P 388064-48-0P 388064-49-1P 388064-50-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of substituted amines for treating Alzheimer's disease)

IT 388064-51-5P 388064-52-6P 388064-53-7P 388064-54-8P
388064-55-9P 388064-56-0P 388064-57-1P 388064-58-2P
388064-59-3P 388064-60-6P 388064-61-7P 388064-62-8P 388064-63-9P

388067-72-9P	388067-73-0P	388067-74-1P	388067-75-2P	388067-76-3P
388067-77-4P	388067-78-5P	388067-79-6P	388067-80-9P	388067-81-0P
388067-82-1P	388067-83-2P	388067-84-3P	388067-85-4P	388067-86-5P
388067-87-6P	388067-88-7P	388067-89-8P	388067-90-1P	388067-91-2P
388067-92-3P	388067-93-4P	388067-94-5P	388067-95-6P	388067-96-7P
388067-97-8P	388067-98-9P	388067-99-0P	388068-00-6P	388068-01-7P
388068-02-8P	388068-03-9P	388068-04-0P	388068-05-1P	388068-06-2P
388068-07-3P	388068-08-4P	388068-09-5P	388068-10-8P	388068-11-9P
388068-12-0P	388068-13-1P	388068-14-2P	388068-15-3P	388068-16-4P
388068-17-5P	388068-18-6P	388068-19-7P	388068-20-0P	388068-21-1P
388068-22-2P	388068-23-3P	388068-24-4P	388068-25-5P	388068-26-6P
388068-27-7P	388068-28-8P	388068-29-9P	388068-30-2P	388068-31-3P
388068-32-4P	388068-33-5P	388068-34-6P	388068-35-7P	388068-36-8P
388068-37-9P	388068-38-0P	388068-39-1P	388068-40-4P	388068-41-5P
388068-42-6P	388068-43-7P	388068-44-8P	388068-45-9P	388068-46-0P
388068-47-1P	388068-48-2P	388068-49-3P	388068-50-6P	388068-51-7P
388068-52-8P	388068-53-9P	388068-54-0P	388068-55-1P	388068-56-2P
388068-57-3P	388068-58-4P	388068-59-5P	388068-60-8P	388068-61-9P
388068-62-0P	388068-63-1P	388068-64-2P	388068-65-3P	388068-66-4P
388068-67-5P	388068-68-6P	388068-69-7P	388068-70-0P	388068-71-1P
388068-72-2P	388068-73-3P	388068-74-4P	388068-75-5P	388068-76-6P
388068-77-7P	388068-78-8P	388068-79-9P	388068-80-2P	388068-81-3P
388068-82-4P	388068-83-5P	388068-84-6P	388068-85-7P	388068-86-8P
388068-87-9P	388068-88-0P	388068-89-1P	388068-90-4P	388068-91-5P
388068-92-6P	388068-93-7P	388068-94-8P	388068-95-9P	388068-96-0P
388068-97-1P	388068-98-2P	388068-99-3P	388069-00-9P	388069-01-0P
388069-02-1P	388069-03-2P	388069-04-3P	388069-05-4P	388069-06-5P
388069-07-6P	388069-08-7P	388069-09-8P	388069-10-1P	388069-11-2P
388069-12-3P	388069-13-4P	388069-14-5P	388069-15-6P	388069-16-7P
388069-17-8P	388069-18-9P	388069-19-0P	388069-20-3P	388069-21-4P
388069-22-5P	388069-24-7P	388069-26-9P	388069-28-1P	388069-29-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

IT	388069-31-6P	388069-34-9P	388069-36-1P	388069-38-3P	388069-40-7P
	388069-42-9P	388069-43-0P	388069-44-1P	388069-45-2P	388069-46-3P
	388069-47-4P	388069-48-5P	388069-49-6P	388069-50-9P	388069-51-0P
	388069-52-1P	388069-53-2P	388069-54-3P	388069-55-4P	
	388069-56-5P	388069-57-6P	388069-58-7P	388069-59-8P	
	388069-60-1P	388069-61-2P	388069-62-3P	388069-63-4P	388069-64-5P
	388069-65-6P	388069-66-7P	388069-67-8P	388069-69-0P	388069-70-3P
	388069-71-4P	388069-72-5P	388069-73-6P	388069-74-7P	388069-75-8P
	388069-76-9P	388069-77-0P	388069-78-1P	388069-79-2P	388069-80-5P
	388069-81-6P	388069-82-7P	388069-83-8P	388069-84-9P	388069-85-0P
	388069-86-1P	388069-87-2P	388069-88-3P	388069-89-4P	388069-90-7P
	388069-91-8P	388069-92-9P	388069-93-0P	388069-94-1P	388069-95-2P
	388069-96-3P	388069-97-4P	388069-98-5P	388069-99-6P	388070-00-6P
	388070-01-7P	388070-02-8P	388070-03-9P	388070-04-0P	388070-05-1P
	388070-06-2P	388070-07-3P	388070-08-4P	388070-09-5P	388070-10-8P
	388070-11-9P	388070-12-0P	388070-13-1P	388070-14-2P	388070-15-3P
	388070-16-4P	388070-17-5P	388070-18-6P	388070-19-7P	388070-20-0P
	388070-21-1P	388070-22-2P	388070-23-3P	388070-24-4P	388070-25-5P
	388070-26-6P	388070-27-7P	388070-28-8P	388070-29-9P	388070-30-2P
	388070-31-3P	388070-32-4P	388070-33-5P	388070-34-6P	388070-35-7P
	388070-36-8P	388070-37-9P	388070-38-0P	388070-39-1P	388070-40-4P
	388070-41-5P	388070-42-6P	388070-43-7P	388070-44-8P	388070-45-9P
	388070-46-0P	388070-47-1P	388070-48-2P	388070-49-3P	388070-50-6P
	388070-51-7P	388070-52-8P	388070-53-9P	388070-54-0P	388070-55-1P
	388070-56-2P	388070-57-3P	388070-58-4P	388070-59-5P	388070-60-8P
	388070-61-9P	388070-62-0P	388070-63-1P	388070-64-2P	388070-65-3P
	388070-66-4P	388070-67-5P	388070-68-6P	388070-69-7P	388070-70-0P
	388070-71-1P	388070-72-2P	388070-73-3P	388070-74-4P	388070-75-5P
	388070-76-6P	388070-77-7P	388070-78-8P	388070-79-9P	388070-80-2P
	388070-81-3P	388070-82-4P	388070-83-5P	388070-84-6P	388070-85-7P

388070-86-8P	388070-87-9P	388070-88-0P	388070-89-1P	
388070-90-4P	388070-91-5P	388070-92-6P	388070-93-7P	
388070-94-8P	388070-95-9P	388070-96-0P	388070-97-1P	388070-98-2P
388070-99-3P	388071-00-9P	388071-01-0P	388071-02-1P	388071-03-2P
388071-04-3P	388071-05-4P	388071-06-5P	388071-07-6P	388071-47-4P
388071-48-5P	388071-49-6P	388071-50-9P	388071-51-0P	388071-52-1P
388071-53-2P	388071-54-3P	388071-55-4P	388071-56-5P	388071-57-6P
388071-58-7P	388071-59-8P	388071-60-1P	388071-61-2P	388071-62-3P
388071-63-4P	388071-64-5P	388071-65-6P	388071-66-7P	388071-79-2P
388071-81-6P	388071-85-0P	388072-01-3P	388072-04-6P	388072-05-7P
388072-06-8P	388072-07-9P	388072-16-0P	388072-17-1P	388072-18-2P
388072-19-3P	388072-20-6P	388072-21-7P	388072-22-8P	388086-39-3P
388086-42-8P	388086-44-0P	388569-62-8P	388569-63-9P	388569-64-0P
388569-65-1P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

IT 51-36-5, 3,5-Dichlorobenzoic acid 62-53-3, Aniline, reactions 74-99-7, Propyne 86-58-8, 8-Quinolineboronic acid 93-48-1, 2,5-Dimethylbenzylamine 94-53-1, 1,3-Benzodioxole-5-carboxylic acid 96-99-1, 4-Chloro-3-nitrobenzoic acid 98-01-1, 2-Furaldehyde, reactions 98-80-6, Phenylboronic acid 99-64-9, 3-Dimethylaminobenzoic acid 100-46-9, Benzenemethanamine, reactions 100-82-3, 3-Fluorobenzylamine 102-14-7 105-43-1 106-94-5, 1-Bromopropane 107-10-8, Propylamine, reactions 110-58-7, 1-Pentanamine 117-78-2 123-75-1, Pyrrolidine, reactions 142-84-7, Dipropylamine 149-57-5, 2-Ethylhexanoic acid 454-92-2, 3-Trifluoromethylbenzoic acid 455-40-3, 3,5-Difluorobenzoic acid 499-06-9, 3,5-Dimethylbenzoic acid 541-46-8, Isovaleramide 556-08-1, 4-(Acetylamino)benzoic acid 579-18-0 585-32-0 587-48-4, 3-(Acetylamino)benzoic acid 590-86-3, Isovaleraldehyde 621-51-2 645-83-0 646-07-1, 4-Methylpentanoic acid 693-04-9, Butylmagnesium chloride 696-40-2, 3-Iodobenzylamine 707-60-8 709-19-3 716-76-7, [1,1'-Biphenyl]-3-carboxylic acid 1014-81-9, 3-Trifluoromethoxybenzoic acid 1066-54-2, Trimethylsilylacetylene 1132-21-4, 3,5-Dimethoxybenzoic acid 1205-30-7, 3-(Aminosulfonyl)-4-chlorobenzoic acid 1486-51-7, 4-(Benzyloxy)benzoic acid 1670-81-1, Indole-5-carboxylic acid 1758-46-9 1877-72-1, 3-Cyanobenzoic acid 1955-46-0, Monomethyl 5-nitroisophthalate 2038-57-5, 3-Phenylpropylamine 2217-40-5 2450-71-7, Propargylamine 2740-83-2, 3-Trifluoromethylbenzylamine 2975-41-9 3718-88-5, 3-Iodobenzylamine hydrochloride 3731-51-9, (2-Pyridinylmethyl)amine 4412-96-8 4543-47-9, 3-Furanmethanamine 4672-17-7 4740-24-3, 4-(Butylamino)benzoic acid 5071-96-5, 3-Methoxybenzylamine 5414-99-3 5720-07-0, 4-Methoxyphenylboronic acid 6120-95-2, 1-Phenylcyclopropanecarboxylic acid 6165-69-1, Thiophene-3-boronic acid 7409-18-9 7697-26-9, 3-Bromo-4-methylbenzoic acid 10269-01-9, 3-Bromobenzylamine 10277-74-4 10365-98-7, 3-Methoxyphenylboronic acid 10385-30-5, 4-Benzyloxybutyric acid 13331-23-2, 2-Furanylboronic acid 13536-04-4 15996-78-8 19788-37-5, 4-Chloromethyl-3,5-dimethylisoxazole 23357-52-0 23814-12-2, 1H-Benzotriazole-5-carboxylic acid 25611-78-3 30568-40-2 33142-21-1, Ethyl formylchloroacetate 37798-05-3, 2-Benzofuranmethanamine 37806-33-0 37806-39-6 51221-45-5 54930-39-1 58530-13-5, 3-Bromo-5-methylbenzoic acid 60875-16-3 62039-92-3 62416-04-0 65456-39-5 67515-74-6 67822-76-8 69082-97-9 72235-51-9 72235-53-1 72519-79-0 73183-34-3 73604-31-6, 3-Hydroxybenzylamine 76197-44-9 76197-47-2 78710-55-1 84110-40-7, Isobutylboronic acid 85068-29-7 85118-06-5 93071-76-2 98737-29-2 98737-30-5 106719-44-2 111331-82-9 126456-43-7 126926-35-0 128018-44-0 132664-85-8 143224-95-7 150517-77-4 160232-62-2 161622-05-5, 3-Fluoro-5-trifluoromethylbenzoic acid 162536-41-6 162536-83-6 165253-31-6, (Tetrahydro-3-furanylmethyl)amine 167011-40-7 172975-69-8, 3,5-Dimethylphenylboronic acid 176707-77-0 181425-91-2, Diethyl 5-(hydroxymethyl)isophthalate 183553-44-8 186463-23-0 199296-61-2 203852-04-4 204841-19-0,

3-Acetylphenylboronic acid	205445-52-9	207791-55-7	235106-09-9
244022-71-7	347142-76-1	377083-88-0	388072-23-9
388072-25-1	388072-26-2	388072-27-3	388072-28-4
388072-30-8	388072-31-9	388072-32-0	388072-33-1
388072-35-3	388072-36-4	388072-37-5	388072-38-6
388072-40-0	388072-41-1	388072-42-2	388072-43-3
388072-45-5	388072-46-6	388072-47-7	388072-48-8
388072-51-3	388072-52-4	388072-53-5	388072-54-6
388072-56-8	388072-57-9	388072-58-0	388072-59-1
388072-61-5	388072-62-6	388072-63-7	388072-64-8
388072-66-0	388072-67-1	388072-68-2	388072-69-3
388072-71-7	388072-72-8	388072-73-9	388072-74-0
388072-76-2	388072-77-3	388072-78-4	388072-79-5
388072-81-9	388072-82-0	388072-83-1	388072-84-2
388072-86-4	388072-87-5	388072-88-6	388072-89-7
388072-91-1	388072-92-2	388072-93-3	388072-94-4
388072-96-6	388072-97-7	388072-98-8	388072-99-9
388073-01-6	388073-02-7	388073-03-8	388073-04-9
388073-06-1	388073-07-2	388073-08-3	388073-09-4
388073-11-8	388073-12-9	388073-13-0	388073-14-1
388073-16-3	388073-17-4	388073-18-5	388073-19-6
388073-21-0	388073-22-1	388073-23-2	388073-24-3
388073-26-5	388073-27-6	388073-28-7	

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted amines for treating Alzheimer's disease)

IT	388073-29-8	388073-30-1	388073-31-2	388073-32-3	388073-33-4
	388073-34-5	388073-35-6	388073-36-7	388073-37-8	388073-38-9
	388073-39-0	388073-40-3	388073-41-4	388073-42-5	388073-43-6
	388073-44-7	388073-45-8	388073-46-9	388073-47-0	388073-48-1
	388073-49-2	388073-50-5	388073-51-6	388073-52-7	388073-53-8
	388073-54-9	388073-55-0	388073-56-1	388073-57-2	388073-58-3
	388073-59-4	388073-60-7	388073-61-8	388073-62-9	388073-63-0
	388073-64-1	388073-65-2	388073-66-3	388073-67-4	388073-68-5
	388073-69-6	388073-70-9	388073-71-0	388073-72-1	388073-73-2
	388073-74-3	388073-75-4	388073-76-5	388073-77-6	388073-78-7
	388073-79-8	388073-80-1	388073-81-2	388073-82-3	388073-83-4
	388073-84-5	388073-85-6	388073-86-7	388073-87-8	388073-88-9
	388073-89-0	388073-90-3	388073-91-4	388073-92-5	388073-93-6
	388073-94-7	388073-95-8	388073-96-9	388073-97-0	388073-98-1
	388073-99-2	388074-00-8	388074-01-9	388074-02-0	388074-03-1
	388074-04-2	388074-05-3	388074-06-4	388074-07-5	388074-08-6
	388074-09-7	388074-10-0	388074-11-1	388074-12-2	388074-13-3
	388074-14-4	388074-15-5	388074-16-6	388074-17-7	388074-18-8
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	388074-44-0	388074-45-1	388074-46-2	388074-48-4	388074-49-5
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	388074-55-3	388074-56-4	388074-57-5	388074-58-6	388074-59-7
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388569-66-2	388569-67-3	388569-68-4	388569-69-5	388569-70-8
388569-71-9				

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted amines for treating Alzheimer's disease)

IT	626-89-1P	16536-95-1P	28179-47-7P	41049-53-0P	50399-51-4P
	84374-70-9P	92136-39-5P	106691-72-9P	131052-47-6P	161796-10-7P
	328284-59-9P	388071-08-7P	388071-09-8P	388071-10-1P	388071-11-2P
	388071-12-3P	388071-13-4P	388071-14-5P	388071-15-6P	388071-16-7P
	388071-17-8P	388071-18-9P	388071-19-0P	388071-20-3P	388071-21-4P
	388071-22-5P	388071-23-6P	388071-24-7P	388071-25-8P	388071-26-9P
	388071-27-0P	388071-28-1P	388071-29-2P	388071-31-6P	388071-33-8P
	388071-35-0P	388071-36-1P	388071-37-2P	388071-39-4P	388071-41-8P
	388071-43-0P	388071-45-2P	388071-68-9P	388071-69-0P	388071-70-3P
	388071-71-4P	388071-72-5P	388071-73-6P	388071-74-7P	388071-75-8P
	388071-76-9P	388071-77-0P	388072-08-0P	388072-09-1P	388072-10-4P
	388072-11-5P	388072-12-6P	388072-13-7P	388072-14-8P	388072-15-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted amines for treating Alzheimer's disease)

IT 388071-80-5P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

IT	162536-84-7P	192863-37-9P	388071-78-1P	388071-82-7P	388071-83-8P
	388071-84-9P	388071-87-2P	388071-88-3P	388071-89-4P	388071-90-7P
	388071-91-8P	388071-92-9P	388071-93-0P	388071-94-1P	388071-95-2P
	388071-96-3P	388071-97-4P	388071-98-5P	388071-99-6P	388072-00-2P
	388072-03-5P				

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

L3 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:457125 CAPLUS

DN 135:242479

ED Entered STN: 25 Jun 2001

TI Chemoenzymatic synthesis of Fmoc-protected (2S,3S)-2-hydroxy-3-amino acids and their application in the synthesis of an α -hydroxylated β -hexapeptide

AU Tromp, R. A.; van der Hoeven, M.; Amore, A.; Brussee, J.; Overhand, M.; van der Marel, G. A.; van der Gen, A.

CS Gorlaeus Laboratories, Leiden Institute of Chemistry, Leiden University, Leiden, 2300 RA, Neth.

SO Tetrahedron: Asymmetry (2001), 12(8), 1109-1112

CODEN: TASYE3; ISSN: 0957-4166

PB Elsevier Science Ltd.

DT Journal

LA English

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 7, 22

OS CASREACT 135:242479

AB A chemoenzymic and stereoselective synthesis of Fmoc-protected (2S,3S)-2-hydroxy-3-amino acids from 2-furaldehyde via Grignard reaction is described as well as their application, without prior hydroxyl protection, in the solid-phase synthesis of a novel completely α -hydroxylated β -hexapeptide.

ST hydroxylated peptide hexa beta solid phase synthesis secondary structure; hydroxy amino acid protected chemoenzymic stereoselective synthesis; furaldehyde chemoenzymic synthesis stereoselective Grignard reaction

IT Stereoselective synthesis

(chemoenzymic; solid phase synthesis of hydroxylated beta hexapeptide from prepared protected hydroxyamino acids)

IT Amino acids, preparation
 RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (hydroxy; chemoenzymic and stereoselective synthesis of protected hydroxyamino acids from furaldehyde via Grignard reaction)

IT Solid phase synthesis
 (peptide; solid phase synthesis of hydroxylated beta hexapeptide from prepared protected hydroxyamino acids)

IT Secondary structure
 (secondary structure of hydroxylated beta hexapeptides)

IT Grignard reaction
 (stereoselective; stereoselective synthesis of protected hydroxyamino acids from furaldehyde via Grignard reaction)

IT 361161-53-7P
 RL: BPN (Biosynthetic preparation); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (solid phase synthesis of hydroxylated beta hexapeptide from prepared protected hydroxyamino acids)

IT 139765-20-1P
 RL: BPN (Biosynthetic preparation); RCT (Reactant); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (solid phase synthesis of hydroxylated beta hexapeptide from prepared protected hydroxyamino acids)

IT 361161-51-5P 361161-52-6P 361161-54-8P 361161-55-9P 361161-56-0P 361161-57-1P
 RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (solid phase synthesis of hydroxylated beta hexapeptide from prepared protected hydroxyamino acids)

IT 9024-43-5, R-Oxynitrilase
 RL: CAT (Catalyst use); USES (Uses)
 (solid phase synthesis of hydroxylated beta hexapeptide from prepared protected hydroxyamino acids)

IT 98-01-1, 2-Furaldehyde, reactions 1068-56-0, Isopropylmagnesium iodide 27720-98-5, Isobutylmagnesium iodide 28920-43-6, Fmoccl
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (solid phase synthesis of hydroxylated beta hexapeptide from prepared protected hydroxyamino acids)

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L3 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2000:573770 CAPLUS
 DN 133:177157
 ED Entered STN: 18 Aug 2000
 TI Preparation of [1-benzyl-2-hydroxy-3-(arylsulfonamido)propyl]carbamates as
 HIV aspartyl protease inhibitors
 IN Hale, Michael R.; Baker, Christopher T.; Stammers, Timothy A.; Sherrill,
 Ronald G.; Spaltenstein, Andrew; Furfine, Eric S.; Maltais, Francois;
 Andrews, Clarence Webster, III; Miller, John F.; Samano, Vicente
 PA Vertex Pharmaceuticals Incorporated, USA
 SO PCT Int. Appl., 369 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07C311-00
 CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000047551	A2	20000817	WO 2000-US3288	20000209
	WO 2000047551	A3	20010816		
	W:				
	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,				
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	IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,				
	MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,				
	SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,				
	DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,				
	CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	EP 1159278	A2	20011205	EP 2000-913402	20000209
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	IE, SI, LT, LV, FI, RO				
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	AT 311391	E	20051215	AT 2000-913402	20000209
	EP 1637518	A2	20060322	EP 2005-25977	20000209
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL				
	ES 2254156	T3	20060616	ES 2000-913402	20000209
	PT 1159278	T	20060630	PT 2000-913402	20000209
	US 2002198388	A1	20021226	US 2001-927271	20010809
	US 6617350	B2	20030909		
	US 2004127488	A1	20040701	US 2003-613650	20030702
PRAI	US 1999-120047P	P	19990212		
	SY 2000-1090	A	20000207		
	EP 2000-913402	A3	20000209		
	US 2000-500781	A3	20000209		
	WO 2000-US3288	W	20000209		
	US 2001-927271	A3	20010809		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000047551	ICM	C07C311-00
	IPCI	C07C0311-00 [ICM,7]

US 6319946
 IPCR C07D0317-00 [I,C*]; C07D0317-28 [I,A]; A61K0009-20 [I,C*]; A61K0009-20 [I,A]; A61K0009-48 [I,C*]; A61K0009-48 [I,A]; A61K0031-21 [I,C*]; A61K0031-27 [I,A]; A61K0031-275 [I,C*]; A61K0031-275 [I,A]; A61K0031-34 [I,C*]; A61K0031-34 [I,A]; A61K0031-341 [I,C*]; A61K0031-341 [I,A]; A61K0031-357 [I,C*]; A61K0031-357 [I,A]; A61K0031-36 [I,A]; A61K0031-5375 [I,C*]; A61K0031-5377 [I,A]; A61K0031-662 [I,C*]; A61K0031-662 [I,A]; A61P0031-00 [I,C*]; A61P0031-14 [I,A]; A61P0031-18 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07B0053-00 [I,C*]; C07B0053-00 [I,A]; C07C0271-00 [I,C*]; C07C0271-20 [I,A]; C07C0271-22 [I,A]; C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07C0311-29 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A]; C07C0317-00 [I,C*]; C07C0317-18 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0317-62 [I,A]; C07D0319-00 [I,C*]; C07D0319-06 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0493-00 [I,C*]; C07D0493-04 [I,A]; C07F0009-00 [I,C*]; C07F0009-6561 [I,A]
 ECLA C07C311/19; C07C311/29; C07C311/42; C07C311/46; C07C317/18; C07D307/20; C07D319/06; C07D493/04+307B+307B+2; C07F009/6561
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 IPCR C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07C0311-29 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A]; C07C0317-00 [I,C*]; C07C0317-18 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0319-00 [I,C*]; C07D0319-06 [I,A]; C07D0493-00 [I,C*]; C07D0493-04 [I,A]; C07F0009-00 [I,C*]; C07F0009-6561 [I,A]
 NCL 514/464.000; 514/233.800; 514/422.000; 514/444.000; 514/452.000; 544/148.000; 548/526.000; 549/060.000; 549/414.000; 549/435.000
 EP 1159278
 ECLA C07D307/20
 IPCI C07D0493-04 [ICM,6]; C07D0493-00 [ICM,6,C*]; C07C0311-29 [ICS,6]; C07C0311-42 [ICS,6]; C07C0311-00 [ICS,6,C*]; C07D0317-62 [ICS,6]; C07D0317-00 [ICS,6,C*]; C07D0307-20 [ICS,6]; C07D0307-00 [ICS,6,C*]; C07D0319-06 [ICS,6]; C07D0319-00 [ICS,6,C*]; C07D0407-12 [ICS,6]; C07D0407-00 [ICS,6,C*]; C07F0009-6561 [ICS,6]; C07F0009-00 [ICS,6,C*]; A61K0031-34 [ICS,6]; A61K0031-36 [ICS,6]; A61K0031-357 [ICS,6,C*]; A61K0031-18 [ICS,6]; A61K0031-665 [ICS,6]
 IPCR C07D0317-00 [I,C*]; C07D0317-28 [I,A]; A61K0009-20 [I,C*]; A61K0009-20 [I,A]; A61K0009-48 [I,C*]; A61K0009-48 [I,A]; A61K0031-21 [I,C*]; A61K0031-27 [I,A]; A61K0031-275 [I,C*]; A61K0031-275 [I,A]; A61K0031-34 [I,C*]; A61K0031-34 [I,A]; A61K0031-341 [I,C*]; A61K0031-341 [I,A]; A61K0031-357 [I,C*]; A61K0031-357 [I,A]; A61K0031-36 [I,A]; A61K0031-5375 [I,C*]; A61K0031-5377 [I,A]; A61K0031-662 [I,C*]; A61K0031-662 [I,A]; A61P0031-00 [I,C*]; A61P0031-14 [I,A]; A61P0031-18 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07B0053-00 [I,C*]; C07B0053-00 [I,A]; C07C0271-00 [I,C*]; C07C0271-20 [I,A]; C07C0271-22 [I,A]; C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07C0311-29 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A]; C07C0317-00 [I,C*]; C07C0317-18 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0317-62 [I,A]; C07D0319-00 [I,C*]; C07D0319-06 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0493-00 [I,C*]; C07D0493-04 [I,A]; C07F0009-00 [I,C*]; C07F0009-6561 [I,A]

JP 2002536430	IPCI	C07C0311-29 [ICM,7]; A61K0009-20 [ICS,7]; A61K0009-48 [ICS,7]; A61K0031-27 [ICS,7]; A61K0031-21 [ICS,7,C*]; A61K0031-275 [ICS,7]; A61K0031-34 [ICS,7]; A61K0031-341 [ICS,7]; A61K0031-357 [ICS,7]; A61K0031-36 [ICS,7]; A61K0031-5377 [ICS,7]; A61K0031-5375 [ICS,7,C*]; A61K0031-662 [ICS,7]; A61P0031-14 [ICS,7]; A61P0031-18 [ICS,7]; A61P0031-00 [ICS,7,C*]; A61P0043-00 [ICS,7]; C07C0271-20 [ICS,7]; C07C0271-22 [ICS,7]; C07C0271-00 [ICS,7,C*]; C07C0311-19 [ICS,7]; C07C0311-42 [ICS,7]; C07C0311-00 [ICS,7,C*]; C07D0307-20 [ICS,7]; C07D0307-00 [ICS,7,C*]; C07D0317-28 [ICS,7]; C07D0317-00 [ICS,7,C*] IPCR C07D0317-00 [I,C*]; C07D0317-28 [I,A]; A61K0009-20 [I,C*]; A61K0009-20 [I,A]; A61K0009-48 [I,C*]; A61K0009-48 [I,A]; A61K0031-21 [I,C*]; A61K0031-27 [I,A]; A61K0031-275 [I,C*]; A61K0031-275 [I,A]; A61K0031-34 [I,C*]; A61K0031-34 [I,A]; A61K0031-341 [I,C*]; A61K0031-341 [I,A]; A61K0031-357 [I,C*]; A61K0031-357 [I,A]; A61K0031-36 [I,A]; A61K0031-5375 [I,C*]; A61K0031-5377 [I,A]; A61K0031-662 [I,C*]; A61K0031-662 [I,A]; A61P0031-00 [I,C*]; A61P0031-14 [I,A]; A61P0031-18 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07B0053-00 [I,C*]; C07B0053-00 [I,A]; C07C0271-00 [I,C*]; C07C0271-20 [I,A]; C07C0271-22 [I,A]; C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07C0311-29 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A]; C07C0317-00 [I,C*]; C07C0317-18 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0317-62 [I,A]; C07D0319-00 [I,C*]; C07D0319-06 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0493-00 [I,C*]; C07D0493-04 [I,A]; C07F0009-00 [I,C*]; C07F0009-6561 [I,A] AT 311391 IPCR C07C0311-00 [I,C*]; C07C0317-00 [I,C*]; C07D0307-00 [I,C*]; C07D0319-00 [I,C*]; C07D0493-00 [I,C*]; C07F0009-00 [I,C*]; C07C0311-19 [I,A]; C07C0311-29 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A]; C07C0317-18 [I,A]; C07D0307-20 [I,A]; C07D0319-06 [I,A]; C07D0493-04 [I,A]; C07F0009-6561 [I,A] ECLA C07C311/19; C07C311/29; C07C311/42; C07C311/46; C07C317/18; C07D307/20; C07D319/06; C07D493/04+307B+307B+2; C07F009/6561 EP 1637518 IPCI C07C0311-19 [I,A]; C07C0311-29 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A]; C07C0311-00 [I,C*]; C07C0317-18 [I,A]; C07C0317-00 [I,C*]; C07D0307-20 [I,A]; C07D0319-06 [I,A]; C07D0319-00 [I,C*]; C07D0493-04 [I,A]; C07F0009-6561 [I,A]; C07F0009-00 [I,C*]; A61K0031-34 [I,A]; A61K0031-36 [I,A]; A61K0031-357 [I,C*]; A61K0031-18 [I,A]; A61K0031-665 [I,A]; A61P0031-18 [I,A]; A61P0031-00 [I,C*]; C07D0493-04 [N,A]; C07D0493-00 [N,C*]; C07D0307-00 [N,A] ES 2254156 IPCI C07D0493-04 [ICS,7]; C07D0493-00 [ICS,7,C*]; A61K0031-18 [ICS,7]; A61K0031-34 [ICS,7]; A61K0031-36 [ICS,7]; A61K0031-357 [ICS,7,C*]; A61K0031-665 [ICS,7]; C07C0311-29 [ICS,7]; C07C0311-42 [ICS,7]; C07C0311-00 [ICS,7,C*]; C07D0307-20 [ICS,7]; C07D0307-00 [ICS,7,C*]; C07D0317-62 [ICS,7]; C07D0317-00 [ICS,7,C*]; C07D0319-06 [ICS,7]; C07D0319-00 [ICS,7,C*]; C07D0407-12 [ICS,7]; C07D0407-00 [ICS,7,C*]; C07F0009-6561 [ICS,7]; C07F0009-00 [ICS,7,C*] IPCR C07D0317-00 [I,C*]; C07D0317-28 [I,A]; A61K0009-20 [I,C*]; A61K0009-20 [I,A]; A61K0009-48 [I,C*]; A61K0009-48 [I,A]; A61K0031-21 [I,C*]; A61K0031-27 [I,A]; A61K0031-275 [I,C*]; A61K0031-275 [I,A];
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A61K0031-34 [I,C*]; A61K0031-34 [I,A]; A61K0031-341 [I,C*]; A61K0031-341 [I,A]; A61K0031-357 [I,C*]; A61K0031-357 [I,A]; A61K0031-36 [I,A]; A61K0031-5375 [I,C*]; A61K0031-5377 [I,A]; A61K0031-662 [I,C*]; A61K0031-662 [I,A]; A61P0031-00 [I,C*]; A61P0031-14 [I,A]; A61P0031-18 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07B0053-00 [I,C*]; C07B0053-00 [I,A]; C07C0271-00 [I,C*]; C07C0271-20 [I,A]; C07C0271-22 [I,A]; C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07C0311-29 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A]; C07C0317-00 [I,C*]; C07C0317-18 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0317-62 [I,A]; C07D0319-00 [I,C*]; C07D0319-06 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0493-00 [I,C*]; C07D0493-04 [I,A]; C07F0009-00 [I,C*]; C07F0009-6561 [I,A]

ECLA C07C311/19; C07C311/29; C07C311/42; C07C311/46; C07C317/18; C07D307/20; C07D319/06; C07D493/04+307B+307B+2; C07F009/6561

PT 1159278 IPCI C07D0493-04 [ICS,7]; C07D0493-00 [ICS,7,C*]; A61K0031-18 [ICS,7]; A61K0031-34 [ICS,7]; A61K0031-36 [ICS,7]; A61K0031-357 [ICS,7,C*]; A61K0031-665 [ICS,7]; C07C0311-29 [ICS,7]; C07C0311-42 [ICS,7]; C07C0311-00 [ICS,7,C*]; C07D0307-20 [ICS,7]; C07D0307-00 [ICS,7,C*]; C07D0317-62 [ICS,7]; C07D0317-00 [ICS,7,C*]; C07D0319-06 [ICS,7]; C07D0319-00 [ICS,7,C*]; C07D0407-12 [ICS,7]; C07D0407-00 [ICS,7,C*]; C07F0009-6561 [ICS,7]; C07F0009-00 [ICS,7,C*]

IPCR C07D0317-00 [I,C*]; A61K0009-20 [I,C*]; A61K0009-48 [I,C*]; A61K0031-21 [I,C*]; A61K0031-275 [I,C*]; A61K0031-34 [I,C*]; A61K0031-341 [I,C*]; A61K0031-357 [I,C*]; A61K0031-5375 [I,C*]; A61K0031-662 [I,C*]; A61P0031-00 [I,C*]; A61P0043-00 [I,C*]; C07B0053-00 [I,C*]; C07C0271-00 [I,C*]; C07C0311-00 [I,C*]; C07C0317-00 [I,C*]; C07D0307-00 [I,C*]; C07D0319-00 [I,C*]; C07D0409-00 [I,C*]; C07D0493-00 [I,C*]; C07F0009-00 [I,C*]; C07D0317-28 [I,A]; A61K0009-20 [I,A]; A61K0009-48 [I,A]; A61K0031-27 [I,A]; A61K0031-275 [I,A]; A61K0031-34 [I,A]; A61K0031-341 [I,A]; A61K0031-357 [I,A]; A61K0031-36 [I,A]; A61K0031-5377 [I,A]; A61K0031-662 [I,A]; A61P0031-14 [I,A]; A61P0031-18 [I,A]; A61P0043-00 [I,A]; C07B0053-00 [I,A]; C07C0271-20 [I,A]; C07C0271-22 [I,A]; C07C0311-19 [I,A]; C07C0311-29 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A]; C07C0317-18 [I,A]; C07D0307-20 [I,A]; C07D0317-62 [I,A]; C07D0319-06 [I,A]; C07D0409-12 [I,A]; C07D0493-04 [I,A]; C07F0009-6561 [I,A]

ECLA C07C311/19; C07C311/29; C07C311/42; C07C311/46; C07C317/18; C07D307/20; C07D319/06; C07D493/04+307B+307B+2; C07F009/6561

US 2002198388 IPCI C07D0335-02 [ICM,7]; C07D0335-00 [ICM,7,C*]; C07D0333-20 [ICS,7]; C07D0333-00 [ICS,7,C*]; C07D0039-14 [ICS,7]

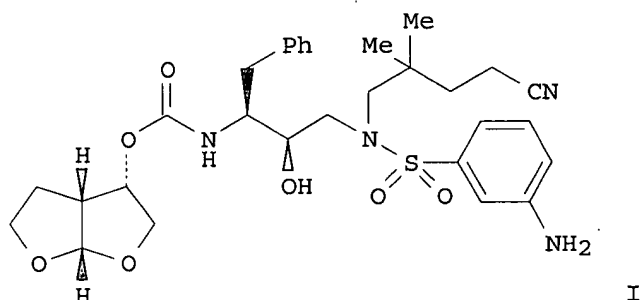
IPCR C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07C0311-29 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A]; C07C0317-00 [I,C*]; C07C0317-18 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0319-00 [I,C*]; C07D0319-06 [I,A]; C07D0493-00 [I,C*]; C07D0493-04 [I,A]; C07F0009-00 [I,C*]; C07F0009-6561 [I,A]

NCL 549/009.000; 549/013.000; 549/075.000; 549/440.000; 549/493.000

ECLA C07C311/19; C07C311/29; C07C311/42; C07C311/46; C07C317/18; C07D307/20; C07D319/06;

US 2004127488 IPCI C07D493/04+307B+307B+2; C07F009/6561
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 IPCR C07C0311-00 [I,C*]; C07C0311-19 [I,A]; C07C0311-29
 [I,A]; C07C0311-42 [I,A]; C07C0311-46 [I,A];
 C07C0317-00 [I,C*]; C07C0317-18 [I,A]; C07D0307-00
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 C07D0319-06 [I,A]; C07D0493-00 [I,C*]; C07D0493-04
 [I,A]; C07F0009-00 [I,C*]; C07F0009-6561 [I,A]
 NCL 514/217.120; 514/227.500; 514/237.800; 514/252.120;
 514/317.000; 514/365.000; 514/374.000; 514/396.000;
 514/408.000; 514/601.000; 514/617.000
 ECLA C07C311/19; C07C311/29; C07C311/42; C07C311/46;
 C07C317/18; C07D307/20; C07D319/06;
 C07D493/04+307B+307B+2; C07F009/6561

OS MARPAT 133:177157
 GI



AB ABxN(Gx)CH(D)CH(OR7)CH2ND'E'E [wherein A = H, or (un)substituted Ht, R1Ht, or R1Ak; Ak = alkyl; Ht = cycloalkyl, cycloalkenyl, or (un)substituted aryl or heterocyclyl; R1 = CO(CO), (O)SO2, O2C, or (un)substituted NHSO2 or NHCO(CO); B = (un)substituted NHCH2CO; x = 0 or 1; G = H, R7, alkyl; or G may be bound to R7 to form a heterocyclic ring; R7 = H, (CH2O)xY(ZM)(:X)Z(M)x; etc.; M = H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl, etc.; X = O or S; Y = P or S; Z = H, O, S, or (un)substituted NH2; D = independently Q or (un)substituted (cyclo)alkyl or (cyclo)alkenyl; Q = (un)substituted carbocyllyl or heterocyclyl; D' = (un)substituted alkyl, alkenyl, alkynyl; E = Ht, Oht, HtHt, alkoxy, (un)substituted NH2, alkyl, or carbocyclyl; E' = CO or SO2] were prepared as antiviral agents against HIV-1 and HIV-2 viruses. Thus, 3-NO2C6H4SO2Cl was added to tert-Bu (1S,2R)-N-[1-benzyl-3-[(4-cyano-2,2-dimethylbutyl)amino]-2-hydroxypropyl]carbamate (preparation given) to form the 3-nitrophenylsulfonamide (55%). Reduction to the 3-aminophenylsulfonamide (85%), followed by transesterification with [(3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl](4-nitrophenyl)carbonate (65%), gave I. In an antiviral activity assay, I inhibited HIV-1 protease in the MT4 cell line with Ki < 1 nM and IC50 < 0.1 μM.

ST heterocyclyl arylsulfonamidopropylcarbamate prepn aspartyl protease inhibitor; sulfonamidopropylcarbamate prepn HIV protease inhibitor; arylsulfonamidopropylcarbamate prepn antiviral

IT Anti-AIDS agents
 Antiviral agents
 Human immunodeficiency virus 1
 Human immunodeficiency virus 2
 (preparation of heterocyclyl arylsulfonamidopropylcarbamate HIV protease inhibitors by reductive alkylation of amines and subsequent addition of arylsulfonyl chlorides)

IT 288291-31-6P 288291-32-7P 288291-33-8P 288291-34-9P 288291-35-0P
 288291-42-9P 288291-55-4P 288291-61-2P 288291-62-3P 288291-66-7P

288291-71-4P	288291-74-7P	288291-75-8P	288291-78-1P	288291-80-5P
288291-81-6P	288291-87-2P	288291-95-2P	288291-99-6P	288292-00-2P
288292-12-6P	288292-28-4P	288292-37-5P	288292-38-6P	288304-71-2P
288304-72-3P	288304-76-7P	288304-77-8P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(HIV inhibitor; preparation of heterocyclyl arylsulfonamidopropylcarbamate
HIV protease inhibitors by reductive alkylation of amines and
subsequent addition of arylsulfonyl chlorides)

IT	288291-36-1P	288291-37-2P	288291-38-3P	288291-39-4P	288291-40-7P
	288291-41-8P	288291-43-0P	288291-44-1P	288291-45-2P	288291-46-3P
	288291-47-4P	288291-48-5P	288291-49-6P	288291-50-9P	288291-51-0P
	288291-52-1P	288291-53-2P	288291-54-3P	288291-56-5P	288291-57-6P
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	288291-72-5P	288291-73-6P	288291-76-9P	288291-77-0P	288291-79-2P
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	288292-10-4P	288292-11-5P	288292-13-7P	288292-14-8P	288292-15-9P
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	288292-21-7P	288292-22-8P	288292-23-9P	288292-24-0P	288292-25-1P
	288292-26-2P	288292-27-3P	288292-29-5P	288292-30-8P	288292-31-9P
	288292-32-0P	288292-33-1P	288292-34-2P	288292-35-3P	288292-36-4P
	288292-39-7P	288292-40-0P	288292-41-1P	288292-42-2P	288292-43-3P
	288292-44-4P	288292-45-5P	288292-46-6P	288292-47-7P	288292-48-8P
	288292-49-9P	288292-50-2P	288292-51-3P	288292-52-4P	288292-53-5P
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	288293-44-7P	288293-45-8P	288293-46-9P	288293-47-0P	288293-48-1P
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	288293-59-4P	288293-60-7P	288293-61-8P	288293-62-9P	288293-63-0P
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	288293-89-0P	288293-90-3P	288293-91-4P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(HIV inhibitor; preparation of heterocyclyl arylsulfonamidopropylcarbamate
HIV protease inhibitors by reductive alkylation of amines and
subsequent addition of arylsulfonyl chlorides)

IT	288293-92-5P	288293-93-6P	288293-94-7P	288293-95-8P	288293-96-9P
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288294-22-4P	288294-23-5P	288294-24-6P	288294-25-7P	288294-26-8P
288294-27-9P	288294-28-0P	288294-29-1P	288294-30-4P	288294-31-5P
288294-32-6P	288294-33-7P	288294-34-8P	288294-35-9P	288294-36-0P
288294-37-1P	288294-38-2P	288294-39-3P	288294-40-6P	288294-41-7P
288294-42-8P	288294-43-9P	288294-44-0P	288294-45-1P	288294-46-2P
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288294-82-6P	288294-83-7P	288294-84-8P	288294-85-9P	288294-86-0P
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288294-97-3P	288294-98-4P	288294-99-5P	288295-00-1P	288295-01-2P
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288295-22-7P	288295-23-8P	288295-24-9P	288295-25-0P	288295-26-1P
288295-27-2P	288295-28-3P	288295-29-4P	288295-30-7P	288295-31-8P
288295-32-9P	288295-33-0P	288295-34-1P	288295-35-2P	288295-36-3P
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288295-42-1P	288295-43-2P	288295-44-3P	288295-45-4P	288295-46-5P
288295-47-6P	288295-48-7P	288295-49-8P	288295-50-1P	288295-51-2P
288295-52-3P	288295-53-4P	288295-54-5P	288295-55-6P	288295-56-7P
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288295-67-0P	288295-68-1P	288295-69-2P	288297-41-6P	288304-73-4P
288304-74-5P	288304-75-6P	288304-78-9P	288304-79-0P	288304-80-3P
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288304-91-6P	288305-96-4P	288305-97-5P	288305-98-6P	288306-40-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(HIV inhibitor; preparation of heterocyclyl arylsulfonamidopropylcarbamate HIV protease inhibitors by reductive alkylation of amines and subsequent addition of arylsulfonyl chlorides)

IT	3619-38-3P	4195-73-7P	5745-75-5P	6138-17-6P, 1,3-Dioxolane-2-butanenitrile	6140-61-0P, 4-Cyano-2,2-dimethylbutyraldehyde	6852-60-4P
	25216-74-4P	42991-09-3P	58886-81-0P	91239-99-5P	142791-32-0P	
	147377-62-6P	162541-78-8P	165331-67-9P	288295-69-2P	288295-70-5P	
	288295-71-6P	288295-72-7P	288295-73-8P	288295-74-9P	288295-75-0P	
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	288295-86-3P	288295-87-4P	288295-88-5P	288295-89-6P		
	288295-90-9P	288295-91-0P	288295-92-1P	288295-93-2P		
	288295-94-3P	288295-95-4P	288295-96-5P	288295-97-6P	288295-98-7P	
	288295-99-8P	288296-00-4P	288296-01-5P	288296-02-6P	288296-03-7P	
	288296-04-8P	288296-05-9P	288296-06-0P	288296-07-1P	288296-08-2P	
	288296-09-3P	288296-10-6P	288296-11-7P	288296-12-8P	288296-13-9P	
	288296-14-0P	288296-15-1P	288296-16-2P	288296-17-3P	288296-18-4P	
	288296-19-5P	288296-20-8P	288296-21-9P	288296-22-0P	288296-23-1P	
	288296-24-2P	288296-25-3P	288296-26-4P	288296-27-5P	288296-28-6P	
	288296-29-7P	288296-30-0P	288296-31-1P	288296-32-2P	288296-33-3P	
	288296-34-4P	288296-35-5P	288296-36-6P	288296-37-7P	288296-38-8P	
	288296-39-9P	288296-40-2P	288296-41-3P	288296-42-4P	288296-43-5P	
	288296-44-6P	288296-45-7P	288296-46-8P	288296-47-9P	288296-48-0P	

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 288296-54-8P 288296-55-9P 288296-56-0P 288296-57-1P 288296-58-2P
 288296-59-3P 288296-60-6P 288296-61-7P 288296-62-8P 288296-63-9P
 288305-99-7P 288306-00-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heterocyclyl arylsulfonamidopropylcarbamate HIV protease inhibitors by reductive alkylation of amines and subsequent addition of arylsulfonyl chlorides)

IT 78-84-2, Isobutyraldehyde 78-94-4, Methyl vinyl ketone, reactions
 79-44-7, Dimethylcarbamoyl chloride 98-68-0, 4-Methoxybenzenesulfonyl
 chloride 98-74-8, 4-Nitrobenzenesulfonyl chloride 107-13-1,
 2-Propenenitrile, reactions 108-23-6, Isopropyl chloroformate
 121-51-7, 3-Nitrobenzenesulfonyl chloride 121-60-8, 4-
 Acetamidobenzenesulfonyl chloride 123-06-8, Ethoxymethylene malonitrile
 141-26-4, 3,7-Dimethyloct-7-enaldehyde 501-53-1, Benzyl chloroformate
 591-19-5, 3-Bromoaniline 872-53-7, Cyclopentanecarboxaldehyde
 944-27-4, Ethyl 4-(2-methyl-1,3-dioxolan-2-yl)butanoate 2043-61-0,
 Cyclohexylcarboxaldehyde 2938-69-4 4530-20-5, BOC-glycine 5978-08-5,
 2-(3-Chloropropyl)-2-methyl-1,3-dioxolane 7182-09-4,
 N-(1-Propen-1-yl)piperidine 7693-46-1, p-Nitrophenyl chloroformate
 15159-40-7, 4-Morpholinecarbonyl chloride 16686-11-6,
 2-(3-Chloropropyl)-1,3-dioxolane 19810-31-2, Benzyloxyacetyl chloride
 23905-46-6, 3-Acetamidobenzenesulfonyl chloride 37865-96-6,
 2-(2-Bromoethyl)-2-methyl-1,3-dioxolane 52387-41-4, 6-Chloro-2,2-
 dimethylhexanal 63758-12-3 79463-77-7, Diphenyl cyanocarbonimide
 86864-60-0, 2-(tert-Butyldimethylsilyloxy)bromoethane 87001-32-9,
 4-(Benzyloxy)benzenesulfonyl chloride 89031-84-5, 3-(tert-
 Butyldimethylsilyloxy)bromopropane 98737-29-2 108549-23-1, Dibenzyl
 diisopropyl phosphoramidite 115010-10-1, Benzo[1,3]dioxole-5-sulfonyl
 chloride 138499-08-8 143224-95-7, 3-(Methylsulfonyl)isobutyric acid
 160233-26-1, 3-Acetamido-4-fluorobenzenesulfonyl chloride 162536-42-7
 184155-38-2, 1,3-Dioxan-5-yl 4-nitrophenyl carbonate 192725-55-6
 252873-01-1 252873-02-2, 3-[(tert-Butoxycarbonyl)(methyl)amino]benzenesu
 lfonyl chloride 252873-35-1 252873-51-1 288296-64-0 288296-65-1,
 N-(2R,3S)-(3-Amino-2-hydroxy-4-phenylbutyl)-N-(4-cyano-2,2-dimethylbutyl)-
 4-methoxybenzenesulfonamide 288296-66-2 288296-67-3 288296-68-4
 288296-69-5 288296-70-8 288296-71-9 288296-72-0,
 2-(4-Chloro-1,1-dimethylbutyl)-1,3-dioxolane 288296-73-1 288296-74-2
 288296-75-3 288296-76-4 288296-77-5 288296-78-6,
 4-(N,N-Dibenzylamino)-2,2-dimethylbutyraldehyde 288296-79-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of heterocyclyl arylsulfonamidopropylcarbamate HIV protease inhibitors by reductive alkylation of amines and subsequent addition of arylsulfonyl chlorides)

L3 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1998:502547 CAPLUS

DN 129:136097

ED Entered STN: 13 Aug 1998

TI Preparation of heterocyclic sulfonamide inhibitors of aspartyl protease

IN Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao

PA Vertex Pharmaceuticals, Incorporated, USA

SO U.S., 87 pp., Cont.-in-part of U.S. 5,585,397.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07D215-12

INCL 546169000

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 28

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5783701	A	19980721	US 1995-393460	19950223

EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5585397	A	19961217	US 1993-142327	19931124
US 5723490	A	19980303	US 1995-424819	19950419
US 5977137	A	19991102	US 1998-115394	19980714
US 6392046	B1	20020521	US 1999-409808	19990930
US 2003064977	A1	20030403	US 2002-94763	20020308
US 6720335	B2	20040413		
US 2004167116	A1	20040826	US 2004-786997	20040224
PRAI US 1992-941982	B2	19920908		
US 1993-142327	A2	19931124		
EP 1993-921428	A3	19930907		
WO 1993-US8458	W	19930907		
US 1995-393460	B2	19950223		
US 1998-115394	A3	19980714		
US 1999-409808	A3	19990930		
US 2002-94763	A1	20020308		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5783701	ICM	C07D215-12
	INCL	546169000
	IPCI	C07D0215-12 [ICM,6]; C07D0215-00 [ICM,6,C*]
	IPCR	A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00 [I,C*]; C07D0207-26 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]
	NCL	546/169.000; 548/228.000; 548/550.000; 549/448.000; 549/475.000
	ECLA	C07D207/26C; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08; C07D277/36; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+209C; C07D405/12+307+211; C07D405/12+307+213; C07D409/12+333B+307; C07D409/12+333B+215; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+213; C07D413/12+271+215; C07D413/12+307+271; C07D413/14+333B+307+261; C07D413/14+333B+261+215; C07D417/12+277B+215; C07K005/06H2; C07D209/08; C07D213/30D
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	ECLA	C07D207/26C; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+213;

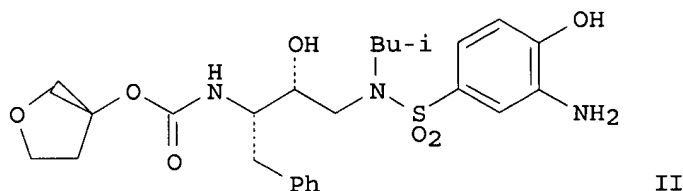
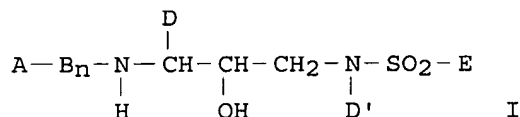
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US 5585397	IPCI	C07D0407-12 [ICM,6]; C07D0407-00 [ICM,6,C*]; C07D0307-20 [ICS,6]; C07D0307-00 [ICS,6,C*]; A61K0031-34 [ICS,6]
	IPCR	G01N0033-53 [I,C*]; G01N0033-53 [I,A]; A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-17 [I,C*]; A61K0031-17 [I,A]; A61K0031-18 [I,C*]; A61K0031-18 [I,A]; A61K0031-21 [I,C*]; A61K0031-27 [I,A]; A61K0031-34 [I,C*]; A61K0031-34 [I,A]; A61K0031-341 [I,C*]; A61K0031-341 [I,A]; A61K0031-343 [I,C*]; A61K0031-343 [I,A]; A61K0031-40 [I,C*]; A61K0031-40 [I,A]; A61K0031-4015 [I,C*]; A61K0031-4015 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]; A61K0031-404 [I,A]; A61K0031-41 [I,C*]; A61K0031-41 [I,A]; A61K0031-415 [I,C*]; A61K0031-415 [I,A]; A61K0031-42 [I,C*]; A61K0031-42 [I,A]; A61K0031-421 [I,C*]; A61K0031-421 [I,A]; A61K0031-4245 [I,C*]; A61K0031-4245 [I,A]; A61K0031-425 [I,C*]; A61K0031-425 [I,A]; A61K0031-426 [I,C*]; A61K0031-426 [I,A]; A61K0031-44 [I,C*]; A61K0031-44 [I,A]; A61K0031-4402 [I,C*]; A61K0031-4402 [I,A]; A61K0031-4418 [I,C*]; A61K0031-4418 [I,A]; A61K0031-4427 [I,C*]; A61K0031-4433 [I,A]; A61K0031-47 [I,C*]; A61K0031-47 [I,A]; A61K0031-496 [I,C*]; A61K0031-496 [I,A]; A61K0031-505 [I,C*]; A61K0031-505 [I,A]; A61K0031-535 [I,C*]; A61K0031-535 [I,A]; A61K0031-5375 [I,C*]; A61K0031-5375 [I,A]; A61K0031-5377 [I,A]; A61K0031-63 [I,C*]; A61K0031-63 [I,A]; A61K0038-00 [N,C*]; A61K0038-00 [N,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; C07C0307-00 [I,C*]; C07C0307-02 [I,A]; C07C0307-06 [I,A]; C07C0311-00 [I,C*]; C07C0311-13 [I,A]; C07C0311-18 [I,A]; C07C0311-29 [I,A]; C07C0311-41 [I,A]; C07D0207-00 [I,C*]; C07D0207-12 [I,A]; C07D0207-26 [I,A]; C07D0207-27 [I,A]; C07D0207-28 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0209-10 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-20 [I,A]; C07D0277-36 [I,A]; C07D0295-00 [I,C*]; C07D0295-12 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-14 [I,A]; C07D0307-20 [I,A]; C07D0307-52 [I,A]; C07D0307-64 [I,A]; C07D0307-79 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]; C07G0017-00 [I,C*]; C07G0017-00 [I,A]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]; G01N0033-569 [I,C*]; G01N0033-569 [I,A]
	NCL	514/473.000; 514/464.000; 546/169.000; 549/448.000; 549/475.000
	ECLA	C07D207/26C; C07D209/08; C07D213/30D; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08;

		C07D277/36; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+213; C07D405/12+307+211; C07D405/12+307+209C; C07D409/12+333B+215; C07D409/12+333B+307; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+215; C07D413/12+271+213; C07D413/12+307+271; C07D413/14+333B+307+261; C07D413/14+333B+261+215; C07D417/12+277B+215; C07K005/06H2
US 5723490	IPCI	A61K0031-27 [ICM,6]; A61K0031-21 [ICM,6,C*]; A61K0031-17 [ICS,6]; A61K0031-70 [ICS,6]
	IPCR	A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00 [I,C*]; C07D0207-26 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]
	NCL	514/478.000; 514/050.000; 514/477.000; 514/588.000
	ECLA	C07D207/26C; C07D209/08; C07D213/30D; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08; C07D277/36; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+213; C07D405/12+307+211; C07D405/12+307+209C; C07D409/12+333B+215; C07D409/12+333B+307; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+215; C07D413/12+271+213; C07D413/12+307+271; C07D413/14+333B+261+215
US 5977137	IPCI	A01N0043-42 [ICM,6]; A01N0043-34 [ICM,6,C*]; C07D0215-20 [ICS,6]; C07D0215-12 [ICS,6]; C07D0215-00 [ICS,6,C*]; C07D0214-14 [ICS,6]
	IPCR	A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00 [I,C*]; C07D0207-26 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]
	NCL	514/312.000; 514/311.000; 514/345.000; 514/350.000; 514/351.000; 514/376.000; 546/153.000; 546/169.000; 546/300.000; 546/335.000; 548/228.000; 548/229.000; 548/556.000; 549/065.000
	ECLA	C07K005/06H2
US 6392046	IPCI	A61K0031-473 [ICM,7]; A61K0031-4425 [ICS,7]; A61K0031-4427 [ICS,7]; A61K0031-4245 [ICS,7]; C07D0221-02 [ICS,7]; C07D0221-00 [ICS,7,C*]; C07D0413-02 [ICS,7]; C07D0413-00 [ICS,7,C*]; C07D0271-04 [ICS,7]; C07D0271-00 [ICS,7,C*]
	IPCR	A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00 [I,C*]; C07D0207-26 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30

[I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A];
 C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00
 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*];
 C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08
 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A];
 C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20
 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A];
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 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A];
 C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14
 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A];
 C07K0005-00 [I,C*]; C07K0005-078 [I,A]
 NCL 546/156.000; 546/169.000; 546/269.100; 546/336.000;
 546/337.000; 548/228.000; 548/229.000; 548/550.000;
 548/556.000
 ECLA C07D207/26C; C07D413/14+333B+307+261;
 C07D413/14+333B+261+215; C07D417/12+277B+215;
 C07K005/06H2; C07D209/08; C07D213/30D; C07D215/48;
 C07D231/14; C07D239/22D2; C07D263/24; C07D271/08;
 C07D277/36; C07D307/12; C07D307/20;
 C07D403/12+307+265D; C07D405/12+307+209C;
 C07D405/12+307+211; C07D405/12+307+213;
 C07D409/12+333B+307; C07D409/12+333B+215;
 C07D409/14+333B+215+213; C07D413/12+261+215;
 C07D413/12+271+213; C07D413/12+271+215;
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 US 2003064977 IPCI A61K0031-55 [ICM,7]; A61K0031-553 [ICS,7]; A61K0031-554
 [ICS,7]; A61K0031-535 [ICS,7]; A61K0031-497 [ICS,7];
 A61K0031-4965 [ICS,7,C*]; A61K0031-54 [ICS,7];
 A61K0031-505 [ICS,7]
 IPCR A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00
 [I,C*]; C07D0207-26 [I,A]; C07D0209-00 [I,C*];
 C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30
 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A];
 C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00
 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*];
 C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08
 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A];
 C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20
 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A];
 C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00
 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A];
 C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14
 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A];
 C07K0005-00 [I,C*]; C07K0005-078 [I,A]
 NCL 514/211.010; 514/217.120; 514/227.500; 514/237.800;
 514/252.100; 514/256.000; 514/354.000; 514/365.000;
 514/372.000; 514/396.000; 514/428.000; 514/466.000
 ECLA C07K005/06H2; C07D207/26C; C07D209/08; C07D213/30D;
 C07D215/48; C07D231/14; C07D239/22D2; C07D263/24;
 C07D271/08; C07D277/36; C07D307/12; C07D307/20;
 C07D403/12+307+265D; C07D405/12+307+209C;
 C07D405/12+307+211; C07D405/12+307+213;
 C07D409/12+333B+307; C07D409/12+333B+215;
 C07D409/14+333B+215+213; C07D413/12+261+215;
 C07D413/12+271+213; C07D413/12+271+215;
 C07D413/12+307+271; C07D413/14+333B+307+261;
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 US 2004167116 IPCI A61K0031-553 [ICM,7]; A61K0031-554 [ICS,7]; A61K0031-55
 [ICS,7]
 IPCR A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00
 [I,C*]; C07D0207-26 [I,A]; C07D0209-00 [I,C*];
 C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30
 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A];
 C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00

[I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*];
 C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08
 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A];
 C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20
 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A];
 C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00
 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A];
 C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14
 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A];
 C07K0005-00 [I,C*]; C07K0005-078 [I,A]
 NCL 514/211.010; 514/217.110; 514/227.500; 514/237.500;
 514/252.120; 514/317.000; 514/365.000; 514/374.000;
 514/400.000; 514/408.000
 ECLA C07D207/26C; C07D209/08; C07D213/30D; C07D215/48;
 C07D231/14; C07D239/22D2; C07D263/24; C07D271/08;
 C07D277/36; C07D307/12; C07D307/20;
 C07D403/12+307+265D; C07D405/12+307+209C;
 C07D405/12+307+211; C07D405/12+307+213;
 C07D409/12+333B+215; C07D409/12+333B+307;
 C07D409/14+333B+215+213; C07D413/12+261+215;
 C07D413/12+271+213; C07D413/12+271+215;
 C07D413/12+307+271; C07D413/14+333B+261+215;
 C07D413/14+333B+307+261; C07D417/12+277B+215;
 C07K005/06H2

OS MARPAT 129:136097
 GI



AB The title compds. I [A = H, -Ht, -R1Ht, (un)substituted -R1-alk(en)yl; R1 = CO, SO2, COCO, OCO, OSO2, NR2SO2, NR2CO, NR2COCO; Ht = (un)substituted cycloalk(en)yl, aryl, (benzo)heterocyclyl; R2 = H, alkyl, -alkyl-R7; B = NR2C(R3)2CO; n = 0, 1; R3 = (un)substituted alk(en)yl or cycloalk(en)yl; n = 1, 2; D, D' = R7, (un)substituted alk(en)yl or cycloalk(en)yl; R7 = (un)substituted Ph, carbocyclyl, or heterocyclyl; E = Ht, -O-Ht, -Ht-Ht, OR3, NR2R3, (un)substituted alk(en)yl or carbocyclyl; R4 = OR2, CONHR2, SO2NHR2, halo, NR2COR2, cyano] are prepared as inhibitors of HIV aspartyl protease. The invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity. The invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the invention compds., and to methods for screening compds. for anti-HIV activity. Prepn. of almost 200 compds. are described, and some of these plus addnl. compds. are claimed. Some of the compds., e.g., II, inhibit HIV replication (IC90) in CCRM-CEM cells in vitro at concns. of ≤ 100 nM.

ST sulfonamide prepn aspartyl protease inhibitor; HIV antiviral sulfonamide prepn

IT Antiviral agents
Human T-lymphotropic virus
Human immunodeficiency virus 1
Human immunodeficiency virus 2
(preparation of heterocyclic sulfonamide derivs. as inhibitors of HIV
aspartyl protease)

IT 144114-21-6, Retropepsin
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of heterocyclic sulfonamide derivs. as inhibitors
of HIV aspartyl protease)

IT 1080-11-1P 1828-66-6P, 4-Morpholinesulfonyl chloride 4295-99-2P
6053-81-2P, Aminomethylcyclopentane 23905-46-6P 25506-37-0P
30293-86-8P 32939-32-5P 35856-62-3P, 1-Piperidinesulfonyl chloride
52206-05-0P 52665-49-3P, 3-Furansulfonyl chloride 54981-39-4P
87001-32-9P, 4-Benzylloxybenzenesulfonyl chloride 102522-17-8P
114322-14-4P, 2,1,3-Benzoxadiazole-4-sulfonyl chloride 115010-10-1P,
1,3-Benzodioxole-5-sulfonyl chloride 115010-11-2P, 2,3-Dihydrobenzofuran-
5-sulfonyl chloride 116586-32-4P 130290-79-8P 132682-22-5P
132682-23-6P 134807-06-0P 134807-20-8P 138499-08-8P 143224-83-3P
158851-95-7P 159006-03-8P 159006-20-9P 159141-66-9P 160231-97-0P
160231-98-1P 160231-99-2P 160232-00-8P 160232-01-9P 160232-02-0P
160232-03-1P 160232-05-3P 160232-06-4P 160232-08-6P 160232-09-7P
160232-10-0P 160232-11-1P 160232-12-2P 160232-13-3P 160232-14-4P
160232-15-5P, 2,1,3-Benzoxadiazole-4-sulfonic acid 160232-17-7P
160232-18-8P 160232-19-9P, 2,1,3-Benzoxadiazole-5-thiol 160232-20-2P,
2,1,3-Benzoxadiazole-5-sulfonyl chloride 160232-22-4P 160232-23-5P
160232-24-6P 160232-25-7P 160232-26-8P 160232-27-9P 160232-28-0P
160232-29-1P 160232-30-4P 160232-31-5P 160232-32-6P 160232-33-7P
160232-34-8P 160232-36-0P 160232-37-1P 160232-38-2P 160232-39-3P
160232-40-6P 160232-41-7P 160232-42-8P 160232-43-9P 160232-44-0P
160232-45-1P 160232-46-2P 160232-47-3P 160232-48-4P
160232-49-5P 160232-50-8P 160232-51-9P 160232-52-0P 160232-53-1P
160232-54-2P 160232-56-4P 160232-60-0P 160232-61-1P 160232-62-2P
160232-63-3P 160232-64-4P 160232-65-5P 160232-66-6P 160232-68-8P
160232-69-9P 160232-70-2P 160232-71-3P 160232-72-4P 160232-73-5P
160232-74-6P 160232-75-7P 160232-76-8P 160232-77-9P 160232-78-0P
160232-79-1P 160232-80-4P 160232-81-5P 160232-82-6P 160232-83-7P
160232-84-8P 160232-85-9P 160232-86-0P 160232-87-1P 160232-89-3P
160232-91-7P 160232-92-8P 160232-93-9P 160232-94-0P 160232-95-1P
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160233-06-7P 160233-07-8P 160233-08-9P 160233-09-0P 160233-12-5P
160233-13-6P 160233-19-2P 160233-21-6P 160233-22-7P 160233-23-8P
160233-24-9P 160233-31-8P 160333-46-0P 160333-47-1P 160333-49-3P
160333-50-6P 184357-14-0P 184357-17-3P 186463-21-8P 186463-22-9P
186463-23-0P 186463-24-1P 186463-25-2P 186463-26-3P 186463-27-4P
186463-28-5P 186463-29-6P 186463-30-9P 186463-31-0P 186463-32-1P
186463-33-2P 186463-35-4P 186463-37-6P 186463-39-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of heterocyclic sulfonamide derivs. as inhibitors
of HIV aspartyl protease)

IT 157567-04-9P 157567-10-7P 159005-79-5P 159005-82-0P 159005-86-4P
160230-05-7P 160230-06-8P 160230-07-9P 160230-08-0P 160230-09-1P
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160230-20-6P 160230-21-7P 160230-22-8P 160230-23-9P 160230-24-0P
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160230-35-3P 160230-36-4P 160230-37-5P 160230-38-6P 160230-39-7P
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160230-60-4P 160230-61-5P 160230-62-6P 160230-63-7P 160230-64-8P
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160230-70-6P	160230-71-7P	160230-72-8P	160230-73-9P	160230-74-0P
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160230-80-8P	160230-81-9P	160230-82-0P	160230-83-1P	160230-84-2P
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160231-03-8P	160231-04-9P	160231-05-0P	160231-06-1P	160231-07-2P
160231-08-3P	160231-10-7P	160231-11-8P	160231-12-9P	160231-13-0P
160231-14-1P	160231-15-2P	160231-16-3P	160231-17-4P	160231-18-5P
160231-19-6P	160231-20-9P	160231-21-0P	160231-22-1P	160231-23-2P
160231-24-3P	160231-25-4P	160231-26-5P	160231-27-6P	160231-28-7P
160231-29-8P	160231-30-1P	160231-32-3P	160231-33-4P	160231-36-7P
160231-37-8P	160231-38-9P	160231-39-0P	160231-40-3P	160231-41-4P
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160231-47-0P	160231-48-1P	160231-49-2P	160231-51-6P	160231-52-7P
160231-53-8P	160231-54-9P	160231-55-0P	160231-56-1P	160231-57-2P
160231-58-3P	160231-59-4P	160231-60-7P	160231-61-8P	160231-62-9P
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160231-74-3P	160231-75-4P	160231-76-5P	160231-77-6P	160231-78-7P
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160231-95-8P	160231-96-9P	160333-38-0P	160333-40-4P	160333-41-5P
160333-42-6P	160333-43-7P	160333-44-8P	160333-45-9P	161814-49-9P
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186463-18-3P	186463-19-4P	186463-20-7P	186464-71-1P	203851-75-6P
203851-81-4P	203851-83-6P	203851-85-8P	203851-86-9P	210537-81-8P
210537-82-9P	210537-83-0P	210537-84-1P	210537-85-2P	210537-86-3P
210537-87-4P	210537-88-5P	210537-89-6P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic sulfonamide derivs. as inhibitors of HIV aspartyl protease)

IT 78169-47-8, Aspartyl protease

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(preparation of heterocyclic sulfonamide derivs. as inhibitors of HIV aspartyl protease)

IT 60-12-8, Phenethyl alcohol 74-89-5, Methylamine, reactions 75-44-5, Carbonic dichloride 78-81-9, Isobutylamine 79-22-1, Methyl chloroformate 93-10-7, Quinaldic acid 98-09-9, Benzenesulfonyl chloride 98-31-7, 3,4-Dichlorobenzenesulfonyl chloride 98-59-9, p-Toluenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-74-8, p-Nitrobenzenesulfonyl chloride 98-79-3, L-Pyroglutamic acid 99-16-1 100-46-9, Benzylamine, reactions 100-55-0, 3-Pyridylcarbinol 105-13-5, 4-Methoxybenzyl alcohol 108-23-6, Isopropyl chloroformate 109-61-5, Propyl chloroformate 110-89-4, Piperidine, reactions 110-91-8, Morpholine, reactions 121-47-1, 3-Aminobenzenesulfonic acid 121-60-8, 4-Acetamidobenzenesulfonyl chloride 124-63-0, Methanesulfonyl chloride 274-09-9, 1,3-Benzodioxole 349-71-3, 3-Fluoro-4-acetamidobenzenesulfonyl chloride 453-20-3 496-16-2, 2,3-Dihydrobenzofuran 501-53-1, Benzyl chloroformate 541-41-3, Ethyl chloroformate 543-27-1, Isobutyl chloroformate 585-47-7, 1,3-Benzenedisulfonyl dichloride 586-98-1, 2-Pyridylcarbinol 612-16-8, 2-Methoxybenzyl alcohol 617-89-0, Furfurylamine 628-12-6, 2-Methoxyethyl chloroformate 638-32-4, Succinamic acid 701-99-5, Phenoxyacetyl chloride 768-09-2, 2,1,3-Benzoxadiazol-5-ol 777-44-6, 3-Trifluoromethylbenzenesulfonyl chloride 1003-03-8, Cyclopentylamine 1445-91-6, (s)-(-)-1-Phenylethanol 1483-28-9, 2,5-Dimethoxybenzenesulfonyl chloride 1517-69-7, (+)-1-Phenylethanol 1656-44-6, 2,4-Dinitrobenzenesulfonyl chloride 1885-14-9, Phenyl chloroformate 1939-99-7, α -Toluenesulfonyl chloride 2905-21-7, 2-Fluorobenzenesulfonyl chloride 2937-50-0, Allyl chloroformate

2942-58-7, Diethyl cyanophosphonate 3160-59-6 3173-56-6, Benzyl isocyanate 3218-02-8, Cyclohexanemethanamine 3445-11-2 3513-81-3, 2-Methylene-1,3-propanediol 4025-64-3 4254-02-8, Cyclopentanecarbonitrile 4319-49-7, N-Aminomorpholine 5070-13-3 5680-80-8, Serine methyl ester hydrochloride 5988-19-2, L-Dihydroorotic acid 6306-52-1, Valine methyl ester hydrochloride 6971-51-3, 3-Methoxybenzyl alcohol 7693-46-1, p-Nitrophenyl chloroformate 13360-57-1, Dimethylsulfamoyl chloride 13918-92-8, 2,4-Difluorobenzenesulfonyl chloride 15833-61-1, Tetrahydro-3-furanmethanol 16078-30-1, 1-Acetylmethanamine 16375-88-5, 4-Acetamidobenzyl alcohol 16420-13-6, Dimethylthiocarbamoyl chloride 16761-18-5, 4-Acetamido-3-chlorobenzenesulfonyl chloride 22037-28-1, 3-Bromofuran 23095-31-0, 3,4-Dimethoxybenzenesulfonyl chloride 24424-99-5, Di-tert-butyl pyrocarbonate 28148-54-1, 2-Methylallylamine hydrochloride 30992-29-1 30996-79-3 49584-26-1, 4-Cyanobenzenesulfonyl chloride 52467-54-6 69812-29-9, 2-Acetamido-4-methyl-5-thiazolesulfonyl chloride 80466-79-1, 3,5-Dimethylisoxazole-4-sulfonyl chloride 80466-80-4, 2,4-Dimethylthiazole-5-sulfonyl chloride 86087-23-2 86087-24-3 88986-45-2, 3-Butenyl chloroformate 94108-56-2, 4-Trifluoromethoxybenzenesulfonyl chloride 98737-29-2 126714-85-0 128018-43-9 128018-44-0 132388-57-9 151858-64-9 158627-30-6, N,N-Disuccinimidyl carbonate 160233-26-1, 4-Fluoro-3-acetamidobenzenesulfonyl chloride 160233-27-2 160233-28-3 160233-29-4 160233-30-7 169772-25-2 186463-41-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of heterocyclic sulfonamide derivs. as inhibitors of HIV aspartyl protease)

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DN 128:204795

ED Entered STN: 16 Mar 1998

TI Preparation of THF-containing sulfonamides as inhibitors of aspartyl protease

IN Tung, Roger D.

PA Vertex Pharmaceuticals Inc., USA

SO U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 393,460, abandoned.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-27

ICS A61K031-17; A61K031-70

INCL 514478000

CC 27-6 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 10

FAN.CNT 5

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PI	US 5723490	A	19980303	US 1995-424819	19950419
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
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W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5723490	ICM	A61K031-27
	ICS	A61K031-17; A61K031-70
	INCL	514478000
	IPCI	A61K0031-27 [ICM,6]; A61K0031-21 [ICM,6,C*]; A61K0031-17 [ICS,6]; A61K0031-70 [ICS,6]
	IPCR	A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00 [I,C*]; C07D0207-26 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]
	NCL	514/478.000; 514/050.000; 514/477.000; 514/588.000

EP 885887	ECLA	C07D207/26C; C07D209/08; C07D213/30D; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08; C07D277/36; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+213; C07D405/12+307+211; C07D405/12+307+209C; C07D409/12+333B+215; C07D409/12+333B+307; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+215; C07D413/12+271+213; C07D413/12+307+271; C07D413/14+333B+261+215
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	ECLA	C07D207/26C; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+213; C07D405/12+307+211; C07D405/12+307+209C; C07D409/12+333B+215; C07D409/12+333B+307; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+215; C07D413/12+271+213; C07D413/12+307+271; C07D413/14+333B+307+261; C07D413/14+333B+261+215; C07D417/12+277B+215; C07K005/06H2; C07D209/08; C07D213/30D; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08; C07D277/36
US 5585397	IPCI	C07D0407-12 [ICM,6]; C07D0407-00 [ICM,6,C*]; C07D0307-20 [ICS,6]; C07D0307-00 [ICS,6,C*]; A61K0031-34 [ICS,6]
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	NCL	514/473.000; 514/464.000; 546/169.000; 549/448.000; 549/475.000
	ECLA	C07D207/26C; C07D209/08; C07D213/30D; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08; C07D277/36; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+213; C07D405/12+307+211; C07D405/12+307+209C; C07D409/12+333B+215; C07D409/12+333B+307; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+215; C07D413/12+271+213; C07D413/12+307+271; C07D413/14+333B+307+261; C07D413/14+333B+261+215; C07D417/12+277B+215; C07K005/06H2
US 5783701	IPCI	C07D0215-12 [ICM,6]; C07D0215-00 [ICM,6,C*]
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	NCL	546/169.000; 548/228.000; 548/550.000; 549/448.000; 549/475.000
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AT 222761

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	IPCR	C07D0307-14 [I,A]; A61K0031-335 [I,C*]; A61K0031-335 [I,A]; A61K0031-34 [I,C*]; A61K0031-34 [I,A]; A61K0031-341 [I,C*]; A61K0031-341 [I,A]; A61K0031-343 [I,C*]; A61K0031-343 [I,A]; A61K0031-357 [I,C*]; A61K0031-36 [I,A]; A61K0031-38 [I,C*]; A61K0031-38 [I,A]; A61K0031-381 [I,C*]; A61K0031-381 [I,A]; A61K0031-40 [I,C*]; A61K0031-40 [I,A]; A61K0031-4025 [I,C*]; A61K0031-4025 [I,A]; A61K0031-41 [I,C*]; A61K0031-41 [I,A]; A61K0031-4155 [I,C*]; A61K0031-4155 [I,A]; A61K0031-42 [I,C*]; A61K0031-42 [I,A]; A61K0031-422 [I,C*]; A61K0031-422 [I,A]; A61K0031-425 [I,C*]; A61K0031-425 [I,A]; A61K0031-427 [I,C*]; A61K0031-427 [I,A]; A61K0031-44 [I,C*]; A61K0031-44 [I,A]; A61K0031-4427 [I,C*]; A61K0031-4427 [I,A]; A61K0031-443 [I,A]; A61K0031-4433 [I,A]; A61K0031-445 [I,C*]; A61K0031-445 [I,A]; A61K0031-535 [I,C*]; A61K0031-535 [I,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; A61P0031-18 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20 [I,A]; C07D0307-52 [I,A]; C07D0307-64 [I,A]; C07D0307-79 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0407-00 [I,C*]; C07D0407-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]; C07D0521-00 [I,C*]; C07D0521-00 [I,A]
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		[I,C*]; A61K0031-425 [I,A]; A61K0031-427 [I,C*]; A61K0031-427 [I,A]; A61K0031-44 [I,C*]; A61K0031-44 [I,A]; A61K0031-4427 [I,C*]; A61K0031-4427 [I,A]; A61K0031-443 [I,A]; A61K0031-4433 [I,A]; A61K0031-445 [I,C*]; A61K0031-445 [I,A]; A61K0031-535 [I,C*]; A61K0031-535 [I,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; A61P0031-18 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20 [I,A]; C07D0307-52 [I,A]; C07D0307-64 [I,A]; C07D0307-79 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0407-00 [I,C*]; C07D0407-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]; C07D0521-00 [I,C*]; C07D0521-00 [I,A]
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NO 9704722	IPCI	C07D0307-20 [ICM,7]; C07D0307-00 [ICM,7,C*]; A61K0031-34 [ICS,7]
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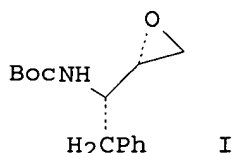
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ECLA C07D209/08; C07D213/30D; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08; C07D277/36; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+209C; C07D405/12+307+211; C07D405/12+307+213; C07D405/12+307B+211; C07D409/12+333B+215; C07D409/12+333B+307; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+213; C07D413/12+271+215; C07D413/12+307+271; C07D413/14+333B+261+215; C07D417/12+307B+277B; C07D521/00B3D4

BG 63677 IPCI A61K0031-34 [ICM,7]; A61K0031-16 [ICS,7]; C07D0307-20 [ICS,7]; C07D0307-66 [ICS,7]; C07D0307-00 [ICS,7,C*]

IPCR C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]; C07D0521-00 [I,A]; C07D0521-00 [I,C*]

OS MARPAT 128:204795
GI



AB THF-containing sulfonamides (THF)R1NHCHDCH(OH)CH2ND'SO2E [I, R1 = CO, SO2, COCO, etc.; D, D' = aryl, carbocyclyl, heterocyclyl, alkyl, alkenyl; E = alkenyl, Het, O(Het), (Het)(Het), etc. with Het = carbocyclyl, aryl, heterocyclyl], which are aspartyl protease inhibitors, were prepared. E.g., epoxide II was treated with isobutylamine, 4-FC6H4SO2Cl, then deprotected and treated with N-succinimidyl-(S)-3-tetrahydrofuran-2-yl carbonate to give a THF-containing sulfonamide. I are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses.

ST THF contg sulfonamide prepn; aspartyl protease inhibitor THF contg sulfonamide; HIV aspartyl protease inhibitor sulfonamide

IT	160230-44-4P	160230-46-6P	160230-56-8P	160230-59-1P	160230-60-4P
	160230-66-0P	160230-71-7P	160230-74-0P	160230-92-2P	160230-95-5P
	160230-97-7P	160231-00-5P	160231-01-6P	160231-02-7P	160231-14-1P
	160231-15-2P	160231-18-5P	160231-25-4P	160231-26-5P	160231-27-6P
	160231-36-7P	160231-37-8P	160231-38-9P	160231-39-0P	160231-40-3P
	160231-42-5P	160231-48-1P	160231-52-7P	160231-53-8P	160231-54-9P
	160231-55-0P	160231-56-1P	160231-60-7P	160231-61-8P	160231-62-9P
	160231-63-0P	160231-64-1P	160231-67-4P	160231-69-6P	160231-71-0P
	160231-72-1P	160231-73-2P	160231-74-3P	160231-75-4P	160231-76-5P

160231-77-6P	160231-78-7P	160231-82-3P	160231-83-4P	160231-84-5P
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175881-52-4P	184356-92-1P	184356-94-3P	186462-96-4P	186463-15-0P
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203851-72-3P	203851-73-4P	203851-74-5P	203851-75-6P	203851-76-7P
203851-77-8P	203851-78-9P	203851-79-0P	203851-80-3P	203851-81-4P
203851-82-5P	203851-83-6P	203851-84-7P	203851-85-8P	203851-86-9P
203851-87-0P	203851-88-1P	203851-89-2P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)

IT 78169-47-8, Aspartyl protease

RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

(preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)

IT 64-04-0, Phenethylamine 96-50-4, 2-Aminothiazole 98-60-2, 4-Chlorobenzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-74-8, 4-Nitrobenzenesulfonyl chloride 121-60-8, 4-Acetamidobenzenesulfonyl chloride 349-88-2, 4-Fluorobenzenesulfonyl chloride 584-13-4, 4-Amino-1,2,4-triazole 617-89-0, Furfurylamine 3218-02-8, Cyclohexanemethanamine 3400-45-1, Cyclopentylcarboxylic acid 4254-02-8, Cyclopentanecarbonitrile 5382-16-1, 4-Hydroxypiperidine 6053-81-2, Cyclopentylmethanamine 7154-73-6, 1-Pyrrolidineethanamine 26734-09-8 39546-32-2, 4-Piperidinecarboxamide 52467-54-6 87001-32-9, 4-Benzyloxybenzenesulfonyl chloride 98737-29-2 128018-43-9 187946-12-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)

IT 3217-94-5P, Cyclopentanecarboxamide 24924-72-9P 62992-68-1P 88915-26-8P 95798-23-5P 120278-07-1P 159141-66-9P 160230-41-1P 160231-30-1P 160231-33-4P 160232-08-6P 160232-45-1P 160232-54-2P 160232-56-4P 160232-62-2P 160232-63-3P 160232-68-8P 160232-69-9P 160232-70-2P 160232-71-3P 160232-72-4P 160232-91-7P 160232-99-5P 160233-19-2P 160233-23-8P 169814-97-5P 184357-17-3P 184357-20-8P 184357-21-9P 184357-36-6P 184357-37-7P 184357-38-8P 184357-39-9P 184357-40-2P 184357-41-3P 184357-42-4P 186463-17-2P 186463-23-0P 203851-90-5P 203851-91-6P 203851-92-7P 203851-93-8P 203851-95-0P 203851-96-1P 203851-97-2P 203851-98-3P 203851-99-4P 203852-00-0P 203852-01-1P 203852-02-2P 203852-03-3P 203852-04-4P 203852-05-5P 203852-06-6P 203852-07-7P 203852-08-8P 203852-09-9P 203852-10-2P 203852-11-3P 203852-12-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)

IT 3056-17-5, Stavudine 7481-89-2, Dideoxycytidine 30516-87-1, Zidovudine 69655-05-6, Didanosine 119644-22-3, 935U83 127779-20-8, Saquinavir 134678-17-4, 3TC 136470-78-5, 1592U89 143491-57-0, 524W91 155213-67-5, ABT 538 157810-81-6, MK 639 159989-65-8, AG 1343 161302-40-5, BMS 186318 174391-92-5, XM 450 177932-89-7, XM 412

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)

RE.CNT 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD
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 TI Preparation of sulfonamide inhibitors of aspartyl protease
 IN Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda R.
 PA Vertex Pharmaceuticals, Incorporated, USA
 SO U.S., 87 pp., Cont.-in-part of U.S. Ser. No. 941,982,abandoned.
 CODEN: USXXAM

DT Patent
 LA English
 IC ICM C07D407-12
 ICS C07D307-20; A61K031-34

INCL 514473000
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 28

FAN.CNT 5

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WO 9405639

IPCI

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C07K005/06H2

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	ECLA	C07D207/26C; C07D209/08; C07D213/30D; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08; C07D277/36; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+209C; C07D405/12+307+211; C07D405/12+307+213; C07D409/12+333B+307; C07D409/12+333B+215; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+213; C07D413/12+271+215; C07D413/12+307+271; C07D413/14+333B+307+261; C07D413/14+333B+261+215; C07D417/12+277B+215; C07K005/06H2
US 6372778	IPCI	C07D0215-12 [ICM,7]; C07D0215-14 [ICS,7]; C07D0215-00 [ICS,7,C*]
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	NCL	514/424.000; 514/311.000; 514/312.000; 514/351.000; 514/376.000; 546/153.000; 546/169.000; 546/300.000; 548/228.000; 548/229.000; 548/556.000
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C07D405/12+307+211; C07D405/12+307+213;
 C07D409/12+333B+307; C07D409/12+333B+215;
 C07D409/14+333B+215+213; C07D413/12+261+215;
 C07D413/12+271+213; C07D413/12+271+215;
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 C07D413/14+333B+261+215; C07D417/12+277B+215
 US 5977137 IPCI A01N0043-42 [ICM,6]; A01N0043-34 [ICM,6,C*];
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 IPCR A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00
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 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A];
 C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00
 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*];
 C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08
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 546/300.000; 546/335.000; 548/228.000; 548/229.000;
 548/556.000; 549/065.000
 ECLA C07K005/06H2
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 IPCR C07C0307-00 [I,C*]; C07C0307-06 [I,A]; C07C0311-00
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 NCL 514/231.500; 514/311.000; 514/376.000; 514/464.000;
 514/524.000; 546/169.000; 548/169.000; 548/228.000;
 548/550.000; 549/448.000; 549/475.000
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 C07C311/39; C07C311/46
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 C07D0221-02 [ICS,7]; C07D0221-00 [ICS,7,C*];
 C07D0413-02 [ICS,7]; C07D0413-00 [ICS,7,C*];
 C07D0271-04 [ICS,7]; C07D0271-00 [ICS,7,C*]
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 C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30
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 546/337.000; 548/228.000; 548/229.000; 548/550.000;
 548/556.000
 ECLA C07D207/26C; C07D413/14+333B+307+261;
 C07D413/14+333B+261+215; C07D417/12+277B+215;
 C07K005/06H2; C07D209/08; C07D213/30D; C07D215/48;
 C07D231/14; C07D239/22D2; C07D263/24; C07D271/08;

C07D277/36; C07D307/12; C07D307/20;
 C07D403/12+307+265D; C07D405/12+307+209C;
 C07D405/12+307+211; C07D405/12+307+213;
 C07D409/12+333B+307; C07D409/12+333B+215;
 C07D409/14+333B+215+213; C07D413/12+261+215;
 C07D413/12+271+213; C07D413/12+271+215;
 C07D413/12+307+271

US 2003064977 IPCI A61K0031-55 [ICM,7]; A61K0031-553 [ICS,7]; A61K0031-554 [ICS,7]; A61K0031-535 [ICS,7]; A61K0031-497 [ICS,7]; A61K0031-4965 [ICS,7,C*]; A61K0031-54 [ICS,7]; A61K0031-505 [ICS,7]

IPCR A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00 [I,C*]; C07D0207-26 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]

NCL 514/211.010; 514/217.120; 514/227.500; 514/237.800; 514/252.100; 514/256.000; 514/354.000; 514/365.000; 514/372.000; 514/396.000; 514/428.000; 514/466.000

ECLA C07K005/06H2; C07D207/26C; C07D209/08; C07D213/30D; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08; C07D277/36; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+209C; C07D405/12+307+211; C07D405/12+307+213; C07D409/12+333B+307; C07D409/12+333B+215; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+213; C07D413/12+271+215; C07D413/12+307+271; C07D413/14+333B+307+261; C07D413/14+333B+261+215; C07D417/12+277B+215

US 2003069222 IPCI A61K0031-553 [ICM,7]; A61K0031-554 [ICS,7]; A61K0031-55 [ICS,7]; A61K0031-54 [ICS,7]; A61K0031-535 [ICS,7]; A61K0031-445 [ICS,7]

IPCR A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07C0307-00 [I,C*]; C07C0307-06 [I,A]; C07C0311-00 [I,C*]; C07C0311-13 [I,A]; C07C0311-18 [I,A]; C07C0311-29 [I,A]; C07C0311-39 [I,A]; C07C0311-46 [I,A]; C07D0207-00 [I,C*]; C07D0207-26 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-36 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]

NCL 514/211.010; 514/217.120; 514/227.500; 514/231.200; 514/252.120; 514/331.000; 514/365.000; 514/374.000; 514/385.000

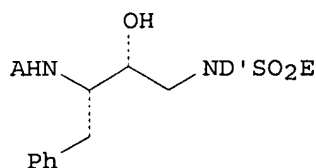
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 C07D277/36; C07D307/12; C07D307/20;
 C07D403/12+307+265D; C07D405/12+307+209C;
 C07D405/12+307+211

US 2004167116 IPCI A61K0031-553 [ICM,7]; A61K0031-554 [ICS,7]; A61K0031-55
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 C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-20
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 514/252.120; 514/317.000; 514/365.000; 514/374.000;
 514/400.000; 514/408.000
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 C07D413/14+333B+307+261; C07D417/12+277B+215;
 C07K005/06H2

US 2006189810 IPCI C07D0215-38 [I,A]; C07D0215-00 [I,C*]; C07D0213-78
 [I,A]; C07D0213-00 [I,C*]
 NCL 546/169.000; 546/298.000

OS MARPAT 126:144117
 GI



I

AB The title compds. I [A = 3-tetrahydrofuryloxycarbonyl; D' =
 (un)substituted alkyl; E = (un)substituted aryl] are prepared This
 invention also relates to pharmaceutical compns. comprising these compds.
 The compds. and pharmaceutical compns. of this invention are particularly
 well suited for inhibiting HIV-1 and HIV-2 protease activity and
 consequently, may be advantageously used as antiviral agents against the
 HIV-1 and HIV-2 viruses. This invention also relates to methods for
 inhibiting the activity of HIV aspartyl protease using the compds. of this

invention and methods for screening compds. for anti-HIV activity. The title compds. inhibit HIV replication at concentration of ≤ 100 nM.

ST sulfonamide prepn aspartyl protease inhibitor; HIV virucide sulfonamide prepn
IT Antiviral agents
Human immunodeficiency virus
(preparation of sulfonamide inhibitors of aspartyl protease with activity against HIV)

IT	157567-04-9P	157567-10-7P	159005-79-5P	159005-82-0P	159005-86-4P
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	160230-10-4P	160230-11-5P	160230-12-6P	160230-13-7P	160230-14-8P
	160230-15-9P	160230-16-0P	160230-17-1P	160230-18-2P	160230-19-3P
	160230-20-6P	160230-21-7P	160230-22-8P	160230-23-9P	160230-24-0P
	160230-25-1P	160230-27-3P	160230-29-5P	160230-31-9P	160230-33-1P
	160230-35-3P	160230-36-4P	160230-37-5P	160230-38-6P	160230-39-7P
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	160230-55-7P	160230-56-8P	160230-57-9P	160230-58-0P	160230-59-1P
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	160230-65-9P	160230-66-0P	160230-67-1P	160230-68-2P	160230-69-3P
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	160230-80-8P	160230-81-9P	160230-82-0P	160230-83-1P	160230-84-2P
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	160230-91-1P	160230-92-2P	160230-93-3P	160230-94-4P	160230-95-5P
	160230-97-7P	160230-98-8P	160231-00-5P	160231-01-6P	160231-02-7P
	160231-03-8P	160231-04-9P	160231-05-0P	160231-06-1P	160231-07-2P
	160231-08-3P	160231-10-7P	160231-11-8P	160231-12-9P	160231-13-0P
	160231-14-1P	160231-15-2P	160231-16-3P	160231-17-4P	160231-18-5P
	160231-19-6P	160231-20-9P	160231-21-0P	160231-22-1P	160231-23-2P
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	160231-42-5P	160231-43-6P	160231-44-7P	160231-45-8P	160231-47-0P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamide inhibitors of aspartyl protease)

IT	60-12-8, Phenethyl alcohol	74-89-5, Methylamine, reactions	75-44-5, Carbonic dichloride
	78-81-9, Isobutylamine	79-22-1, Methyl chloroformate	93-10-7, Quinaldic acid
	98-09-9, Benzenesulfonyl chloride	98-31-7, 3,4-Dichlorobenzenesulfonyl chloride	98-59-9, p-Toluenesulfonyl chloride
	98-68-0, 4-Methoxybenzenesulfonyl chloride	98-74-8, p-Nitrobenzenesulfonyl chloride	98-79-3, L-Pyroglutamic acid
	99-16-1, 100-46-9, Benzylamine, reactions	100-55-0, 3-Pyridylcarbinol	105-13-5, 4-Methoxybenzyl alcohol
	108-23-6, Isopropyl chloroformate	109-61-5, Propyl chloroformate	110-89-4, Piperidine, reactions
	110-91-8, Morpholine, reactions	121-47-1, 3-Aminobenzenesulfonic acid	121-60-8, 4-Acetamidobenzenesulfonyl chloride
	124-63-0, Methanesulfonyl chloride	274-09-9, 1,3-Benzodioxole	349-71-3, 3-Fluoro-4-acetamidobenzenesulfonyl chloride
	453-20-3, 496-16-2,		

2,3-Dihydrobenzofuran 501-53-1, Benzyl chloroformate 541-41-3, Ethyl chloroformate 543-27-1, Isobutyl chloroformate 585-47-7, 1,3-Benzenedisulfonyl dichloride 586-98-1, 2-Pyridylcarbinol 612-16-8, 2-Methoxybenzyl alcohol 617-89-0, Furfurylamine 628-12-6, 2-Methoxyethyl chloroformate 638-32-4, Succinamic acid 701-99-5, Phenoxyacetyl chloride 768-09-2, 2,1,3-Benzoxadiazol-5-ol 777-44-6, 3-Trifluoromethylbenzenesulfonyl chloride 1003-03-8, Cyclopentylamine 1445-91-6, (s)-(-)-1-Phenylethanol 1483-28-9, 2,5-Dimethoxybenzenesulfonyl chloride 1517-69-7, (+)-1-Phenylethanol 1656-44-6, 2,4-Dinitrobenzenesulfonyl chloride 1885-14-9, Phenyl chloroformate 1939-99-7, α -Toluenesulfonyl chloride 2905-21-7, 2-Fluorobenzenesulfonyl chloride 2937-50-0, Allyl chloroformate 2942-58-7, Diethyl cyanophosphonate 3160-59-6 3173-56-6, Benzyl isocyanate 3218-02-8, Cyclohexanemethanamine 3445-11-2 3513-81-3, 2-Methylene-1,3-propanediol 4025-64-3, 3-Chlorosulfonylbenzoic acid 4254-02-8, Cyclopentanecarbonitrile 4319-49-7, N-Aminomorpholine 5070-13-3 5680-80-8, Serine methyl ester hydrochloride 5988-19-2, L-Dihydroorotic acid 6306-52-1, Valine methyl ester hydrochloride 6971-51-3, 3-Methoxybenzyl alcohol 7693-46-1, p-Nitrophenyl chloroformate 13360-57-1, Dimethylsulfamoyl chloride 13918-92-8, 2,4-Difluorobenzenesulfonyl chloride 15833-61-1, Tetrahydro-3-furanmethanol 16078-30-1, 1-Acetylinoline 16375-88-5, 4-Acetamidobenzyl alcohol 16420-13-6, Dimethylthiocarbamoyl chloride 16761-18-5, 4-Acetamido-3-chlorobenzenesulfonyl chloride 22037-28-1, 3-Bromofuran 23095-31-0, 3,4-Dimethoxybenzenesulfonyl chloride 24424-99-5, Di-tert-butyl pyrocarbonate 28148-54-1, 2-Methylallylamine hydrochloride 30992-29-1 30996-79-3 49584-26-1, 4-Cyanobenzenesulfonyl chloride 52467-54-6 69812-29-9, 2-Acetamido-4-methyl-5-thiazolesulfonyl chloride 80466-79-1, 3,5-Dimethylisoxazole-4-sulfonyl chloride 80466-80-4, 2,4-Dimethylthiazole-5-sulfonyl chloride 86087-23-2 86087-24-3 88986-45-2, 3-Butenyl chloroformate 94108-56-2, 4-Trifluoromethoxybenzenesulfonyl chloride 98737-29-2 126714-85-0 128018-43-9 128018-44-0 132388-57-9 151858-64-9 158627-30-6, N,N-Disuccinimidyl carbonate 160233-26-1, 4-Fluoro-3-acetamidobenzenesulfonyl chloride 160233-27-2 160233-28-3 160233-29-4 160233-30-7 169772-25-2 186463-41-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfonamide inhibitors of aspartyl protease)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfonamide inhibitors of aspartyl protease)

IT 78169-47-8, Aspartyl protease

RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

(preparation of sulfonamide inhibitors of aspartyl protease with activity against HIV)

L3 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1997:5844 CAPLUS

DN 126:31265

ED Entered STN: 08 Jan 1997

TI Preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl protease for treatment of HIV infection.

IN Tung, Roger D.

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D307-20

ICS C07D307-66; A61K031-34; A61K031-16; C07D417-12; C07D405-12; C07D409-14; C07D413-12; C07D409-12; C07D413-14; C07D407-12

CC 27-6 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 5

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PI	WO 9633184	A1	19961024	WO 1996-US5475	19960418
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	AU 706732	B2	19990624		
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	EP 846110	B1	20020828		
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	NZ 306903	A	20000228	NZ 1996-306903	19960418
	AT 222761	E	20020915	AT 1996-912942	19960418
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	RO 119302	B1	20040730	RO 1997-1926	19960418
	SK 284785	B6	20051103	SK 1997-1431	19960418
	NO 9704722	A	19971013	NO 1997-4722	19971013
	NO 317734	B1	20041213		

BG 63677	B1	20020930	BG 1997-102048	19971117
PRAI US 1995-424819	A	19950419		
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WO 1996-US5475	W	19960418		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9633184	ICM	C07D307-20
	ICS	C07D307-66; A61K031-34; A61K031-16; C07D417-12; C07D405-12; C07D409-14; C07D413-12; C07D409-12; C07D413-14; C07D407-12
	IPCI	C07D0307-20 [ICM,6]; C07D0307-66 [ICS,6]; C07D0307-00 [ICS,6,C*]; A61K0031-34 [ICS,6]; A61K0031-16 [ICS,6]; C07D0417-12 [ICS,6]; C07D0417-00 [ICS,6,C*]; C07D0405-12 [ICS,6]; C07D0405-00 [ICS,6,C*]; C07D0409-14 [ICS,6]; C07D0413-12 [ICS,6]; C07D0409-12 [ICS,6]; C07D0409-00 [ICS,6,C*]; C07D0413-14 [ICS,6]; C07D0413-00 [ICS,6,C*]; C07D0407-12 [ICS,6]; C07D0407-00 [ICS,6,C*]
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US 5723490

IPCI A61K0031-27 [ICM,6]; A61K0031-21 [ICM,6,C*];
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IPCR A61K0038-00 [N,C*]; A61K0038-00 [N,A]; C07D0207-00
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NCL 514/478.000; 514/050.000; 514/477.000; 514/588.000
ECLA C07D207/26C; C07D209/08; C07D213/30D; C07D215/48;
C07D231/14; C07D239/22D2; C07D263/24; C07D271/08;
C07D277/36; C07D307/12; C07D307/20;
C07D403/12+307+265D; C07D405/12+307+213;
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AU 9655596

IPCI C07D0307-20 [ICM,6]; C07D0307-66 [ICS,6]; C07D0307-00
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IPCR C07D0307-14 [I,A]; A61K0031-335 [I,C*]; A61K0031-335
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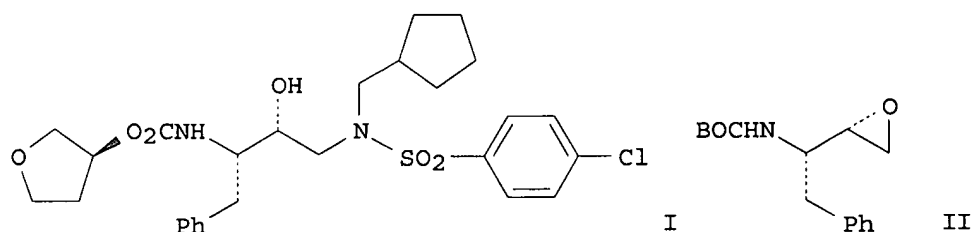
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	ECLA	C07D209/08; C07D213/30D; C07D215/48; C07D231/14; C07D239/22D2; C07D263/24; C07D271/08; C07D277/36; C07D307/12; C07D307/20; C07D403/12+307+265D; C07D405/12+307+209C; C07D405/12+307+211; C07D405/12+307+213; C07D405/12+307B+211; C07D409/12+333B+215; C07D409/12+333B+307; C07D409/14+333B+215+213; C07D413/12+261+215; C07D413/12+271+213; C07D413/12+271+215; C07D413/12+307+271; C07D413/14+333B+261+215; C07D417/12+307B+277B; C07D521/00B3D4
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BG 63677	IPCI	A61K0031-34 [ICM,7]; A61K0031-16 [ICS,7]; C07D0307-20 [ICS,7]; C07D0307-66 [ICS,7]; C07D0307-00 [ICS,7,C*]
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 C07D0417-12 [I,A]; C07D0521-00 [I,A]; C07D0521-00
 [I,C*]

OS MARPAT 126:31265
 GI



AB R1QNHCHR2CH(OH)CH2NR3SO2E [R1 = tetrahydrofuryl; Q = CO, SO2, COCO, O2C, OSO2, iminosulfonyl, aminocarbonyl, etc.; R2, R3 = (substituted) alkyl, alkenyl, carbocyclyl, cycloalkenyl, aryl, heterocyclyl; E = (substituted) heterocyclyl, carbocyclyl, aryl, heterocyclloxy, carbocyclloxy, aryloxy, amino, alkoxy, alkenyloxy, etc.], were prepared Thus, title compound (I), prepared from epoxide (II), showed Ki <0.1 nM against HIV-1 protease.

ST HIV infection treatment tetrahydropyranyloxycarbonylaminopropylsulfonamide prepn; aspartyl protease inhibitor tetrahydropyranyloxycarbonylaminopropyl sulfonamide; antiviral tetrahydropyranyloxycarbonylaminopropylsulfonamide prepn

IT Antiviral agents

(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl

protease for treatment of HIV infection)

IT Human immunodeficiency virus

Human immunodeficiency virus 1

(treatment of HIV infection; preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl protease for treatment of HIV infection)

IT 144114-21-6, Retropepsin

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(HIV protease inhibitors; preparation of tetrahydrofuran-containing sulfonamide

inhibitors of aspartyl protease for treatment of HIV infection)

IT 78169-47-8, Aspartyl protease

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(inhibitors; preparation of tetrahydrofuran-containing sulfonamide inhibitors of

aspartyl protease for treatment of HIV infection)

IT	160333-40-4P	160333-41-5P	184356-64-7P	184356-87-4P	184356-88-5P
	184356-89-6P	184356-90-9P	184356-91-0P	184356-92-1P	184356-93-2P
	184356-94-3P	184356-95-4P	184356-96-5P	184356-97-6P	184356-98-7P
	184356-99-8P	184357-00-4P	184357-01-5P	184357-02-6P	184357-03-7P
	184357-04-8P	184357-05-9P	184357-06-0P	184357-07-1P	184357-08-2P
	184357-09-3P	184357-10-6P	184357-11-7P	184357-12-8P	184357-13-9P
	184489-97-2P	184489-98-3P	184489-99-4P	184490-00-4P	184490-01-5P
	184490-02-6P	184490-03-7P	184490-04-8P	184490-05-9P	184490-06-0P
	184490-07-1P	184490-08-2P	184490-09-3P	184490-10-6P	184490-11-7P
	184490-12-8P	184490-13-9P	184490-14-0P	184490-15-1P	184490-16-2P

184490-17-3P	184490-19-5P	184490-20-8P	184490-21-9P	184490-22-0P
184490-23-1P	184490-24-2P	184490-25-3P	184490-26-4P	184490-27-5P
184490-28-6P	184490-29-7P	184490-30-0P	184490-31-1P	184490-32-2P
184490-33-3P	184490-34-4P	184490-35-5P	184490-36-6P	184490-37-7P
184490-38-8P	184490-39-9P	184490-40-2P	184490-41-3P	184490-42-4P
184490-43-5P	184490-44-6P	184490-45-7P	184490-46-8P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl

protease for treatment of HIV infection)

IT 64-04-0, 2-Phenethylamine 74-89-5, Methylamine, reactions 78-81-9, Isobutylamine 85-41-6, Phthalimide 96-50-4, 2-Aminothiazole 98-60-2, 4-Chlorobenzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 100-39-0, Benzyl bromide 121-60-8 349-88-2, 4-Fluorobenzenesulfonyl chloride 584-13-4, 4-Amino-1,2,4-triazole 617-89-0, Furfurylamine 946-80-5, Benzyl phenyl ether 1123-00-8, Cyclopentylacetic acid 3218-02-8, Cyclohexanemethanamine 4254-02-8, Cyclopentanecarbonitrile 5382-16-1, 4-Hydroxypiperidine 7154-73-6, N-(2-Aminoethyl)pyrrolidine 28148-54-1 52467-54-6 98737-29-2 128018-43-9 184357-44-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl

protease for treatment of HIV infection)

IT 3742-91-4P 5763-55-3P, Cyclopentaneethanamine 6053-81-2P, Cyclopentanemethanamine 24924-72-9P 25291-41-2P 26734-09-8P 39546-32-2P, 4-Piperidinecarboxamide 87001-32-9P 101264-48-6P 102522-17-8P 120278-07-1P 148017-28-1P 159141-66-9P 160231-33-4P 160232-08-6P 160232-45-1P 160232-48-4P 160232-49-5P 160232-54-2P 160232-56-4P 160232-60-0P 160232-62-2P 160232-63-3P 160232-64-4P 160232-70-2P 160232-91-7P 160232-92-8P 160233-21-6P 160233-23-8P 184357-14-0P 184357-17-3P 184357-18-4P 184357-19-5P 184357-20-8P 184357-21-9P 184357-22-0P 184357-23-1P 184357-24-2P 184357-25-3P 184357-26-4P 184357-27-5P 184357-28-6P 184357-29-7P 184357-30-0P 184357-31-1P 184357-32-2P 184357-33-3P 184357-34-4P 184357-35-5P 184357-36-6P 184357-37-7P 184357-38-8P 184357-39-9P 184357-40-2P 184357-41-3P 184357-42-4P 184357-43-5P 184490-47-9P 184490-48-0P 184490-49-1P 184490-50-4P 184490-51-5P 184652-99-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl

protease for treatment of HIV infection)

L3 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1996:637443 CAPLUS

DN 125:329473

ED Entered STN: 30 Oct 1996

TI Preparation of aminediol-containing peptide analogs as retroviral protease inhibitors

IN Gordon, Eric M.; Barrish, Joel C.; Bisacchi, Gregory S.; Sun, Chong-qing; Tino, Joseph A.; Vite, Gregory D.; Zahler, Robert

PA E. R. Squibb & Sons, Inc., USA

SO U.S., 219 pp., Cont.-in-part of U.S. Ser. No. 927,027, abandoned.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07D401-12

INCL 552303000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 5559256	A	19960924	US 1993-79978	19930625
	AU 9341659	A1	19940127	AU 1993-41659	19930630
	AU 677194	B2	19970417		
	HU 67090	A2	19950130	HU 1993-2080	19930719
	CA 2100894	AA	19940121	CA 1993-2100894	19930720
	NO 9302620	A	19940121	NO 1993-2620	19930720
	EP 580402	A2	19940126	EP 1993-305691	19930720
	EP 580402	A3	19970305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	ZA 9305243	A	19940217	ZA 1993-5243	19930720
	CN 1085546	A	19940420	CN 1993-108954	19930720
	JP 06206857	A2	19940726	JP 1993-201016	19930720
	US 5760036	A	19980602	US 1995-455295	19950531
	US 5776933	A	19980707	US 1995-456125	19950531
PRAI	US 1992-916916	B2	19920720		
	US 1992-927027	B2	19920806		
	US 1993-79978	A	19930625		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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US 5559256	ICM	C07D401-12
	INCL	552303000
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	IPCR	C07C0215-00 [I,C*]; C07C0215-28 [I,A]; C07C0233-00 [I,C*]; C07C0233-40 [I,A]; C07C0233-78 [I,A]; C07C0235-00 [I,C*]; C07C0235-40 [I,A]; C07C0237-00 [I,C*]; C07C0237-10 [I,A]; C07C0271-00 [I,C*]; C07C0271-20 [I,A]; C07C0271-22 [I,A]; C07C0271-34 [I,A]; C07C0275-00 [I,C*]; C07C0275-16 [I,A]; C07C0275-24 [I,A]; C07C0311-00 [I,C*]; C07C0311-03 [I,A]; C07C0333-00 [I,C*]; C07C0333-04 [I,A]; C07C0333-12 [I,A]; C07D0207-00 [I,C*]; C07D0207-09 [I,A]; C07D0207-16 [I,A]; C07D0207-267 [I,A]; C07D0209-00 [I,C*]; C07D0209-12 [I,A]; C07D0209-14 [I,A]; C07D0209-18 [I,A]; C07D0209-44 [I,A]; C07D0209-46 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0213-40 [I,A]; C07D0213-56 [I,A]; C07D0213-65 [I,A]; C07D0215-00 [I,C*]; C07D0215-14 [I,A]; C07D0215-48 [I,A]; C07D0217-00 [I,C*]; C07D0217-16 [I,A]; C07D0231-00 [I,C*]; C07D0231-56 [I,A]; C07D0233-00 [I,C*]; C07D0233-32 [I,A]; C07D0233-54 [I,A]; C07D0235-00 [I,C*]; C07D0235-12 [I,A]; C07D0235-14 [I,A]; C07D0235-16 [I,A]; C07D0235-24 [I,A]; C07D0239-00 [I,C*]; C07D0239-91 [I,A]; C07D0241-00 [I,C*]; C07D0241-42 [I,A]; C07D0263-00 [I,C*]; C07D0263-22 [I,A]; C07D0263-24 [I,A]; C07D0263-26 [I,A]; C07D0263-32 [I,A]; C07D0263-56 [I,A]; C07D0265-00 [I,C*]; C07D0265-32 [I,A]; C07D0277-00 [I,C*]; C07D0277-64 [I,A]; C07D0295-00 [I,C*]; C07D0295-092 [I,A]; C07D0295-185 [I,A]; C07D0305-00 [I,C*]; C07D0305-08 [I,A]; C07D0307-00 [I,C*]; C07D0307-20 [I,A]; C07D0307-24 [I,A]; C07D0309-00 [I,C*]; C07D0309-10 [I,A]; C07D0333-00 [I,C*]; C07D0333-64 [I,A]; C07D0413-00 [I,C*]; C07D0413-14 [I,A]; C07D0491-00 [I,C*]; C07D0491-04 [I,A]; C07D0521-00 [I,A]; C07D0521-00 [I,C*]; C07F0007-00 [I,C*]; C07F0007-08 [I,A]; C07F0007-18 [I,A]
	NCL	552/303.000; 560/025.000; 562/400.000; 562/405.000
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C07F007/08C6B; C07F007/18C4D4C; C07F007/18C4D4D;
C07C233/78; C07C235/40

AU 9341659

IPCI

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C07C0275-24 [ICS,5]; C07C0275-16 [ICS,5]; C07C0275-00
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IPCR

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HU 67090

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CA 2100894

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NO 9302620

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EP 580402

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ECLA C07C215/28; C07C233/40; C07C233/78; C07C235/40; C07C237/10; C07C271/20; C07C271/22; C07C271/4; C07C275/16; C07C275/24; C07C311/03; C07C333/04; C07C333/12; C07D207/09; C07D207/16; C07D007/26B1; C07D209/12; C07D209/14; C07D209/18; C07D209/44; C07D209/46; C07D213/30C; C07D213/303; C07D213/40C; C07D213/56; C07D213/65; C07D215/14; C07D215/48; C07D217/16; C07D231/56D3A; C07D233/54C2D2; C07D235/12; C07D235/14; C07D235/16; C07D235/24D; C07D239/91; C07D041/42; C07D263/22; C07D263/24; C07D263/26; C07D263/32; C07D263/56B; C07D265/32; C07D277/64; C07D295/08B1D8B; C07D295/18B1D; C07D305/08; C07D307/20; C07D307/24; C07D309/10; C07D333/64; C07D491/04+307B+221B; C07D521/00B1E2A; C07F007/08C6B; C07F007/08C6D; C07F; C07F007/18C4D4C

ZA 9305243 IPCI C07C [ICM]; C07D [ICS]; A61K [ICS]
 IPCR A61K [I,S]; A61K0031-045 [I,C*]; A61K0031-045 [I,A]; A61K0031-13 [I,C*]; A61K0031-13 [I,A]; A61K0031-16 [I,C*]; A61K0031-16 [I,A]; A61K0031-40 [I,C*]; A61K0031-40 [I,A]; A61K0031-44 [I,C*]; A61K0031-44 [I,A]; C07C [I,S]; C07C0209-00 [I,C*]; C07C0209-00 [I,A]; C07C0211-00 [I,C*]; C07C0211-00 [I,A]; C07C0215-00 [I,C*]; C07C0215-00 [I,A]; C07C0233-00 [I,C*]; C07C0233-00 [I,A]; C07C0271-00 [I,C*]; C07C0271-00 [I,A]; C07C0271-20 [I,A]; C07C0309-00 [I,C*]; C07C0309-14 [I,A]; C07C0327-00 [I,C*]; C07C0327-38 [I,A]; C07D [I,S]

CN 1085546 IPCI C07C0271-16 [ICM,5]; C07C0271-00 [ICM,5,C*]; C07D0207-08 [ICS,5]; C07D0207-00 [ICS,5,C*]; C07D0209-12 [ICS,5]; C07D0209-00 [ICS,5,C*]; C07D0231-24 [ICS,5]; C07D0231-00 [ICS,5,C*]; C07D0215-14 [ICS,5]; C07D0215-00 [ICS,5,C*]; C07D0235-06 [ICS,5]; C07D0235-00 [ICS,5,C*]; C07D0263-06 [ICS,5]; C07D0263-56 [ICS,5]; C07D0263-00 [ICS,5,C*]; C07D0295-084 [ICS,5]; C07D0295-00 [ICS,5,C*]
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JP 06206857 IPCI C07C0271-10 [ICM,5]; C07C0271-00 [ICM,5,C*]; A61K0031-13 [ICS,5]; A61K0031-16 [ICS,5]; A61K0031-18 [ICS,5]; A61K0031-265 [ICS,5]; A61K0031-21 [ICS,5,C*]; A61K0031-40 [ICS,5]; A61K0031-415 [ICS,5]; A61K0031-505 [ICS,5]; C07C0311-05 [ICS,5]; C07C0311-00 [ICS,5,C*]; C07C0313-06 [ICS,5]; C07C0313-00 [ICS,5,C*]; C07D0209-14 [ICS,5]; C07D0209-00 [ICS,5,C*]; C07D0213-30 [ICS,5]; C07D0213-36 [ICS,5]; C07D0213-40 [ICS,5]; C07D0213-55 [ICS,5]; C07D0213-00 [ICS,5,C*]; C07D0233-30 [ICS,5]; C07D0233-00 [ICS,5,C*]; C07D0235-16 [ICS,5]; C07D0235-00 [ICS,5,C*]; C07D0239-34 [ICS,5]; C07D0239-00 [ICS,5,C*]; C07D0243-04 [ICS,5]; C07D0243-00 [ICS,5,C*]; C07D0303-36 [ICS,5]; C07D0303-00 [ICS,5,C*]

US 5760036 IPCI A61K0031-445 [ICM,6]; A61K0031-535 [ICS,6]; C07D0295-192 [ICS,6]; C07D0295-00 [ICS,6,C*]
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NCL 514/237.500; 514/330.000; 544/168.000; 546/226.000
ECLA C07C215/28; C07D233/54C2D2; C07D235/12; C07D235/14;
C07D235/16; C07D235/24D; C07D239/91; C07D241/42;
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C07D295/18B1D; C07D305/08; C07D307/20; C07D307/24;
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C07D491/04+307B+221B; C07D521/00B1E2A; C07F007/08C6D;
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US 5776933

IPCI A61K0031-445 [ICM,6]; A61K0031-535 [ICS,6]
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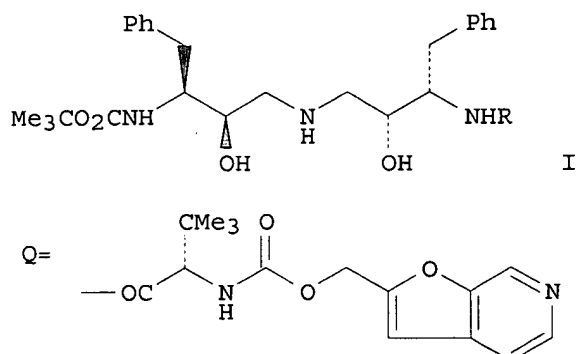
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 [I,A]

NCL 514/237.500; 514/330.000

ECLA C07C215/28; C07D207/09; C07F007/08C6D; C07F007/08C6B;
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 C07D233/54C2D2; C07D235/12; C07D235/14; C07D235/16;
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C07C271/22; C07C271/34; C07C275/16; C07C275/24;
C07C311/03; C07C333/04; C07C333/12

OS MARPAT 125:329473
GI



AB Aa-E-NR8CHR9H(OH)CH2NHCH2CH(OH)CHR9NR8-E-Ab [Aa, Ab = H, alkyl, R3C(:Z), R3SO2, R3R4NSO2, R3R4NC(:Z), R3SC(:O), R5R6R7COC(:Z); E = a single bond or a peptide chain containing 1 to 4 amino acids, the N-terminus of which is bonded to Aa or Ab; R3, R4 = H, alkyl, aryl, carbocyclyl; R5, R6, R7 = H, alkyl, aryl, carbocyclyl, fluorenyl, alkynyl, alkenyl; R5, R6, and R7 may, independently, be joined together with the carbon atom to which they are bonded, to form a mono-, bi- or tricyclic carbocyclic ring system; R8 = H, alkyl; R9 = arylalkyl; Z = O, S; wherein: wherever they appear alone or as part of another group, unless otherwise indicated, the terms "alkaline" or "alkyl" denote a straight or branched chain saturated radical containing 1 to

12

carbons in the normal chain, optionally substituted by one or more groups selected from (un)protected OH, oxo (with the proviso that the carbon bearing the oxo group is not adjacent to a heteroatom), CO2H, halo, alkoxy, aryloxy, alkoxycarbonyl, etc.] or salts thereof, which inhibit retroviral protease and are particularly useful in the treatment and/or prevention of HIV infection (AIDS), are prepared Thus, bis(3-amino-2-hydroxy-4-phenylbutyl)amine derivative (I; R = H) was condensed with L-tert-leucine derivative (HO-Q) using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBT in DMF/CH2CH2 at 0° to room temperature to give the title compound I (R = Q). The latter compound at 10 μM in vitro inhibited 99% HIV protease and showed IC50 of 0.012 μM which was the concentration of drug that increased the formazan production in CEM-SS cells infected with the RF strain of HIV to 50% of that produced by uninfected cells in the absence of drug.

ST aminediol contg peptide analog prepn; retroviral protease inhibitor; HIV infection AIDS treatment

IT Acquired immune deficiency syndrome
Virucides and Virustats

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminediol-containing peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT Peptides, preparation

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(analog, preparation of aminediol-containing peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT Virus, animal

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(human immunodeficiency, preparation of aminediol-containing peptide

analog as

retroviral protease inhibitors for treatment of HIV infection (AIDS))
IT 272-01-5P, Furo[2,3-b]pyridine 609-71-2P 2508-01-2P 3356-88-5P
3694-86-8P 7423-92-9P 13031-76-0P 15833-82-6P 15833-84-8P
22455-69-2P 27038-48-8P, Furo[2,3-b]pyridin-3(2H)-one 41036-01-5P
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Furo[2,3-b]pyridine-2-carboxaldehyde 116005-23-3P 117895-47-3P

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162540-49-0P 162540-50-3P 162540-51-4P 162540-52-5P 162540-53-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminediol-containing peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT 162540-54-7P 162540-55-8P 162540-56-9P 162540-57-0P 162540-58-1P
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162678-34-4P	162678-38-8P	170996-47-1P	170996-48-2P	171228-69-6P
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183161-35-5P	183162-38-1P	183162-39-2P	183162-40-5P	183162-41-6P
183162-42-7P	183162-44-9P	183162-45-0P	183162-49-4P	183162-51-8P
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183162-69-8P	183162-75-6P	183162-77-8P	183162-79-0P	183255-85-8P
183255-86-9P	183255-88-1P	183255-89-2P	183255-90-5P	183255-92-7P
183255-93-8P	183255-94-9P	183256-02-2P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminediol-containing peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT 144114-21-6, Retropepsin

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(preparation of aminediol-containing peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT 50-00-0, Formaldehyde, reactions 52-52-8, 1-Aminocyclopentanecarboxylic acid 56-12-2, 4-Aminobutyric acid, reactions 64-18-6, Formic acid, reactions 68-12-2, Dimethylformamide, reactions 70-25-7 72-18-4, L-Valine, reactions 74-88-4, Methyl iodide, reactions 74-89-5, Methylamine, reactions 75-16-1, Methylmagnesium bromide 75-36-5, Acetyl chloride 75-44-5, Phosgene 75-66-1, tert-Butyl mercaptan 75-98-9, Trimethylacetic acid 76-83-5, Triphenylmethyl chloride 77-76-9, 2,2-Dimethoxypropane 79-14-1, reactions 79-22-1, Methyl chloroformate 79-44-7, Dimethylcarbonyl chloride 79-50-5, DL-Pantolactone 83-33-0, 1-Indanone 91-62-3, 6-Methylquinoline 93-10-7, Quinaldic acid 95-54-5, o-Phenylenediamine, reactions 95-55-6, o-Aminophenol 96-49-1, Ethylene carbonate 98-59-9, Tosyl chloride 98-80-6, Phenylboronic acid 98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 100-44-7, Benzyl chloride, reactions 100-46-9, Benzylamine, reactions 100-52-7, Benzaldehyde, reactions 100-86-7, α,α -Dimethylphenethyl alcohol 103-74-2, 2-(2-Hydroxyethyl)pyridine 105-36-2, Ethyl bromoacetate 108-23-6, Isopropyl chloroformate 108-24-7, Acetic anhydride 109-00-2, 3-Hydroxypyridine 109-86-4, 2-Methoxyethanol 110-06-5, tert-Butyl disulfide 110-15-6, Butanedioic acid, reactions 110-91-8, Morpholine, reactions 111-42-2, reactions 119-67-5, 2-Carboxybenzaldehyde 122-59-8, Phenoxyacetic acid 122-98-5, 2-Anilinoethanol 122-99-6, 2-Phenoxyethanol 137-07-5, 2-Aminothiophenol 288-32-4, Imidazole, reactions 335-08-0, 1,1,1-Trifluoroacetone cyanohydrin 353-80-0 358-23-6, Triflic anhydride 453-20-3, 3-Hydroxytetrahydrofuran

473-85-8, 1,4-Anhydro-D-threitol 500-22-1, 3-Pyridinecarboxaldehyde
 501-53-1, Benzyl chloroformate 503-38-8, Trichloromethyl chloroformate
 534-03-2, 2-Amino-1,3-propanediol 539-74-2, Ethyl 3-bromopropionate
 540-51-2, 2-Bromoethanol 541-47-9, 3,3-Dimethylacrylic acid 558-30-5,
 Isobutylene oxide 586-98-1, 2-Pyridylcarbinol 591-80-0, 4-Pentenoic
 acid 593-56-6, Methoxyamine hydrochloride 594-56-9,
 2,3,3-Trimethylbutene 598-21-0, Bromoacetyl bromide 611-71-2
 617-35-6, Ethyl pyruvate 617-94-7, Dimethylphenyl carbinol 622-08-2,
 2-Benzyloxyethanol 622-40-2, 4-(2-Hydroxyethyl)morpholine 623-08-5,
 N-Methyl-p-toluidine 624-83-9, Methyl isocyanate 625-38-7, 3-Butenoic
 acid 627-18-9 628-41-1, 1,4-Cyclohexadiene 630-19-3, Pivalaldehyde
 644-36-0, o-Tolylacetic acid 670-95-1, 4-Phenylimidazole 672-15-1,
 L-Homo-serine 677-22-5, tert-Butylmagnesium chloride 687-47-8,
 (S)-Ethyl lactate 693-89-0, 1-Methylcyclopentene 759-24-0, Diethyl
 tert-butylmalonate 775-06-4, DL-Meta-tyrosine 821-09-0, 4-Penten-1-ol
 917-54-4, Methyllithium 937-14-4, m-Chloroperbenzoic acid 1003-04-9
 1070-83-3, tert-Butylacetic acid 1120-87-2, 4-Bromopyridine 1122-62-9,
 2-Acetylpyridine 1142-20-7 1145-80-8 1148-11-4 1149-26-4
 1161-13-3 1193-47-1, 2,2-Dimethylcyclohexanone 1462-03-9,
 1-Methyl-1-cyclopentanol 1609-86-5, tert-Butyl isocyanate 1664-54-6,
 3-Amino-3-phenylpropionic acid 1779-49-3, Methyltriphenylphosphonium
 bromide 1826-67-1, Vinylmagnesium bromide 2018-66-8 2130-96-3
 2212-75-1 2370-61-8 2976-75-2, (1-Naphthoxy)acetic acid 2987-16-8,
 3,3-Dimethylbutyraldehyde 3160-59-6 3173-56-6, Benzyl isocyanate
 3240-94-6, 4-(2-Chloroethyl)morpholine 3262-72-4 3587-60-8, Benzyl
 chloromethyl ether 3731-51-9, 2-(Aminomethyl)pyridine 4436-24-2,
 Benzyloxirane 4530-20-5 4541-32-6, 2,2-Dimethylcyclopentanone
 4857-04-9, 2-(Chloromethyl)benzimidazole 5034-06-0, Trimethylsulfoxonium
 chloride 5333-74-4, Ethyl tert-butylglyoxylate 5470-11-1,
 Hydroxylamine hydrochloride 6278-91-7, 4-Benzyloxy-2-butanone
 6290-49-9, Methyl methoxyacetate 6306-52-1, L-Valine methyl ester
 hydrochloride 6351-10-6, 1-Indanol 6829-40-9, Diethyl aminomalonate
 7326-19-4, D-Phenyllactic acid 7364-25-2, Indazolinone 7432-21-5
 7486-35-3, Vinyltributyltin 7536-55-2 7677-24-9, Trimethylsilyl
 cyanide 7693-46-1, p-Nitrophenyl chloroformate 10147-11-2,
 3-Phenyl-1-propyne 13031-04-4 13139-15-6 13139-16-7 13139-17-8,
 N-Benzyloxycarbonyloxy succinimide 13329-18-5, 5-Benzyloxy-2-pentanone
 13570-08-6, 2-Benzimidazoleacetic acid 13575-16-1, Ethyl
 5-Phenyloxazole-2-carboxylate 13734-34-4 13734-41-3 14347-78-5,
 (R)-2,2-Dimethyl-1,3-dioxolane-4-methanol 14397-64-9,
 1-Ethoxycarbonyl-2-indanone 15761-39-4 16520-62-0, 4-Phenyl-1-butyne
 16677-29-5 17199-29-0 17392-83-5, (R)-Methyl lactate 17463-43-3,
 DL-3,3,3-Trifluoroalane 18162-48-6, tert-Butyldimethylsilyl chloride
 18942-49-9 19575-07-6, Methyl quinaldate 19728-63-3, Z-Thr-OH
 19752-84-2, 3-Hydroxytetrahydropyran 19810-31-2, Benzyloxyacetyl
 chloride 20117-47-9, 1-Methyl-1-cyclobutanol 20160-60-5,
 2-Trimethylsilylethyl chloroformate 20412-38-8, Neopentyl chloroformate
 20662-89-9, 4-Phenyloxazole 20859-02-3, L-tert-Leucine 21641-92-9
 22146-57-2 22323-82-6, (S)-2,2-Dimethyl-1,3-dioxolane-4-methanol
 24424-99-5, Di-tert-butyl dicarbonate 26628-22-8, Sodium azide
 26782-71-8, D-tert-Leucine 28920-43-6, 9-Fluorenylmethyl chloroformate
 28954-12-3, L-Allothreonine 29943-42-8, Tetrahydro-4H-pyran-4-one
 30525-89-4, Paraformaldehyde 32366-02-2, N-Benzyl-N-methyl carbamoyl
 chloride 36024-28-9 37595-74-7, N-Phenyltriflimide 37736-82-6,
 N-tert-Butoxycarbonyl-L-cyclohexylalanine 40299-87-4,
 4-(Bromoacetyl)morpholine 41242-94-8, 2-Hydroxymethyl quinoxaline
 52373-72-5 53333-76-9, 2,2-Dimethyl-1-propanesulfonyl chloride
 58632-95-4, Boc-ON 59562-82-2 60456-21-5 67478-50-6 68835-89-2,
 Di-tert-amyl dicarbonate 69739-34-0, tert-Butyldimethylsilyl triflate
 76513-69-4, 2-(Trimethylsilyl)ethoxymethyl chloride 78879-20-6
 80360-23-2 85613-64-5 86087-23-2, (S)-(+)-3-Hydroxytetrahydrofuran
 106167-47-9 107202-43-7 112372-06-2, Furo[2,3-c]pyridine-2-
 carboxaldehyde 127862-89-9 162537-72-6, Furo[2,3-c]pyridine-2-methanol
 162537-73-7 162541-63-1 162678-30-0 162870-63-5
 RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aminediol-containing peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT 95-13-6P, 1H-Indene 102-14-7P 111-32-0P 272-62-8P,
 Furo[3,2-b]pyridine 334-88-3P, Diazomethane 374-35-6P 558-43-0P
 587-33-7P 815-17-8P 1184-93-6P 1191-31-7P 1615-14-1P,
 1H-Imidazole-1-ethanol 1780-17-2P, 2-Quinolinemethanol 1796-25-4P
 2215-63-6P 2258-42-6P, Acetic formic anhydride 2280-28-6P 2644-82-8P
 2842-44-6P 2849-93-6P, 1H-Benzimidazole-2-carboxylic acid 3587-64-2P
 3724-55-8P 4026-20-4P 4113-04-6P, 6-Quinolinecarboxaldehyde
 4441-30-9P, 4-Morpholinepropanol 4647-42-1P 4647-43-2P 4754-27-2P
 4856-97-7P, 1H-Benzimidazole-2-methanol 5105-78-2P 5367-24-8P
 6970-72-5P 7467-35-8P 7525-64-6P 7748-36-9P, 3-Oxetanol
 10068-52-7P 13737-35-4P 14440-98-3P 14598-96-0P 15546-08-4P
 17450-34-9P 18096-68-9P, 1H-Indene-2-methanol 19458-29-8P
 19539-50-5P, Furo[2,3-c]pyridine 20120-24-5P 20361-09-5P 22929-52-8P
 23249-97-0P, 1H-Benzimidazole-2-propanoic acid 24580-44-7P
 24621-70-3P, 1H-Indole-2-methanol 25854-85-7P 25854-87-9P
 30293-86-8P 31562-43-3P 33905-47-4P 34637-40-6P 35677-88-4P
 37535-57-2P 37859-42-0P, 2-Benzothiazolemethanol 39497-64-8P
 40594-83-0P 42417-41-4P 42417-65-2P 50411-26-2P 50531-59-4P
 51110-97-5P, 2-Benzoxazolepropanol 53346-03-5P 56365-70-9P
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 62965-10-0P 64360-69-6P 66866-64-6P 67706-63-2P 70448-03-2P
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 86562-71-2P 88246-12-2P 90819-30-0P 91968-72-8P 94882-74-3P
 98737-29-2P 98760-08-8P 98955-64-7P 98997-01-4P 100516-88-9P,
 6-Quinolinemethanol 100868-72-2P 102123-74-0P 102123-85-3P
 102152-03-4P 102229-10-7P 102831-44-7P 104948-22-3P 106513-42-2P
 108957-20-6P 112372-05-1P, Furo[3,2-b]pyridine-2-carboxaldehyde
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 162537-48-6P 162537-49-7P 162537-50-0P 162537-51-1P 162537-53-3P
 162537-54-4P 162537-55-5P 162537-56-6P 162537-61-3P,
 Furo[3,2-b]pyridine-2-methanol 162537-62-4P 162537-63-5P
 162537-64-6P 162537-65-7P 162537-66-8P 162537-67-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of aminediol-containing peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT 162537-68-0P 162537-69-1P 162537-70-4P 162537-74-8P 162538-06-9P
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 162538-32-1P 162538-34-3P 162538-36-5P 162538-41-2P 162538-43-4P
 162538-44-5P 162538-46-7P 162538-49-0P 162538-56-9P 162538-68-3P

162538-77-4P	162538-82-1P	162538-86-5P	162538-98-9P	162538-99-0P
162539-00-6P	162539-01-7P	162539-04-0P	162539-06-2P	162539-08-4P
162539-11-9P	162539-12-0P	162539-14-2P	162539-16-4P	162539-24-4P
162539-26-6P	162539-28-8P	162539-30-2P	162539-31-3P	162539-40-4P
162539-42-6P	162539-51-7P	162539-52-8P	162539-53-9P	162539-55-1P
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183256-00-0P	183256-01-1P	183256-04-4P	183256-05-5P	183256-06-6P
183256-07-7P	183256-08-8P			

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminediol-containing peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

L3 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:241976 CAPLUS
DN 124:331828
ED Entered STN: 25 Apr 1996
TI Inhibitors of Human Immunodeficiency Virus Type 1 Protease Containing
2-Aminobenzyl-Substituted 4-Amino-3-hydroxy-5-phenylpentanoic acid:
Synthesis, Activity, and Oral Bioavailability
AU Lehr, Philipp; Billich, Andreas; Charpiot, Brigitte; Ettmayer, Peter;
Scholz, Dieter; Rosenwirth, Brigitte; Gstach, Hubert
CS Sandoz Research Institute, Vienna, A-1235, Austria
SO Journal of Medicinal Chemistry (1996), 39(10), 2060-7
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
CC 1-5 (Pharmacology)
Section cross-reference(s): 25, 27, 28, 34
AB Systematic modifications of HIV protease inhibitor (2R,3S,4S)-4-
[[(benzyloxycarbonyl)-L-valyl]amino]-3-hydroxy-2-[(4-methoxybenzyl)amino]-

5-(phenylpentanoyl)-L-valine 2-(aminomethyl)benzimidazole amide led to a novel series of inhibitors with a shortened, modified carboxy terminus. Their synthesis, in vitro enzyme inhibitory data, and antiviral activities are reported. Of particular interest are derivs. featuring the (1S,2R)-1-amino-2-hydroxyindan moiety at the P2'-position since some of them exhibit substantial oral bioavailability in mice. The influence of aqueous solubility and structural parameters on the oral resorption of the inhibitors is discussed. Optimum enhancement of oral bioavailability was observed with L-tert-leucine in P2-position, resulting in the discovery of (2R,3S,4S)-4-[[[(benzyloxycarbonyl)-L-tert-leucyl]amino]-3-hydroxy-2-[(4-methoxybenzyl)amino]-5-phenylpentanoic acid (1S,2R)-1-amino-2-hydroxyindan amide which combines high antiviral activity (IC₅₀ = 250 nM) with a good pharmacokinetic profile (AUC = 82.5 µM·h at a dose of 125 mg/kg po in mice).

- ST aminobenzylhydroxyphenylpentanoate bioavailability HIV1 protease prepn; pentanoate deriv bioavailability HIV1 protease prepn; virus hydroxyphenylpentanoate aminobenzyl prepn; phenylpentanoate aminobenzyl HIV1 protease inhibition prepn
- IT Drug bioavailability
Virucides and Virustats
(preparation and bioavailability and HIV-1 protease inhibitory activity of (aminobenzyl)hydroxyphenylpentanoates)
- IT Virus, animal
(human immunodeficiency 1, preparation and bioavailability and HIV-1 protease inhibitory activity of (aminobenzyl)hydroxyphenylpentanoates)
- IT 161186-51-2P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation and bioavailability and HIV-1 protease inhibitory activity of (aminobenzyl)hydroxyphenylpentanoates)
- IT 161510-70-9P 164514-52-7P 164514-64-1P 164514-65-2P 164514-68-5P
164514-70-9P 164514-83-4P 164514-88-9P 165394-69-4P 165394-70-7P
165394-71-8P 165394-72-9P 165394-73-0P 172215-78-0P 172335-39-6P
176388-98-0P 176389-03-0P 176389-05-2P 176389-06-3P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation and bioavailability and HIV-1 protease inhibitory activity of (aminobenzyl)hydroxyphenylpentanoates)
- IT 164514-51-6P 164514-55-0P 164514-60-7P 164514-91-4P
164514-93-6P 164514-94-7P 172215-54-2P 172215-62-2P 172215-63-3P
172215-81-5P 172215-82-6P 176388-97-9P 176389-01-8P 176389-02-9P
176389-04-1P 176587-84-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and bioavailability and HIV-1 protease inhibitory activity of (aminobenzyl)hydroxyphenylpentanoates)
- IT 144114-21-6, Retropepsin
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation and bioavailability and HIV-1 protease inhibitory activity of (aminobenzyl)hydroxyphenylpentanoates)
- IT 539-48-0, 1,4-Benzenedimethanamine 2018-66-8 13286-59-4 23249-97-0,
1H-Benzimidazole-2-propanoic acid 161510-63-0 176895-80-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and bioavailability and HIV-1 protease inhibitory activity of (aminobenzyl)hydroxyphenylpentanoates)
- IT 126456-43-7P 163061-74-3P 164514-56-1P 164514-57-2P 164515-05-3P
164515-11-1P 164712-92-9P 174759-68-3P 176388-99-1P 176389-00-7P
176389-07-4P 176587-85-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and bioavailability and HIV-1 protease inhibitory activity of
(aminobenzyl)hydroxyphenylpentanoates)

L3 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:938729 CAPLUS
DN 124:105558
ED Entered STN: 23 Nov 1995
TI 3D-Quantitative Structure-Activity Relationships of Human Immunodeficiency
Virus Type-1 Proteinase Inhibitors: Comparative Molecular Field Analysis
of 2-Heterosubstituted Statine Derivatives-Implications for the Design of
Novel Inhibitors
AU Kroemer, Romano T.; Ettmayer, Peter; Hecht, Peter
CS SANDOZ Forschungsinstitut Ges. m. b. H, Vienna, A-1235, Austria
SO Journal of Medicinal Chemistry (1995), 38(25), 4917-28
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
CC 1-3 (Pharmacology)
Section cross-reference(s): 22, 34
AB A set of 100 novel 2-heterosubstituted statine derivs. inhibiting human
immunodeficiency virus type-1 proteinase has been investigated by
comparative mol. field anal. To combine the structural information
available from x-ray analyses with a predictive quant. structure-activity
relation (QSAR) model, docking expts. of a prototype compound into the
receptor were performed, and the 'active conformation' was determined. The
structure of the receptor was taken from the published x-ray anal. of the
proteinase with bound MVT-101, the latter compound exhibiting high
structural similarity with the inhibitors investigated. The validity of
the resulting QSARs was confirmed in four different ways. (1) The common
parameters, namely, the cross-validated r^2 values obtained by the
leave-one-out (LOO) method ($r^2_{ev} = 0.572-0.593$), and (2) the accurate
prediction of a test set of 67 compds. ($q^2 = 0.552-0.569$) indicated a high
consistency of the models. (3) Repeated analyses with two randomly
selected cross-validation groups were performed and the cross-validated r^2
values monitored. The resulting average r^2 values were of similar magnitudes
compared to those obtained by the LOO method. (4) The coefficient fields were
compared with the steric and electrostatic properties of the receptor and
showed a high level of compatibility. Further anal. of the results led to
the design of a novel class of highly active compds. containing an addnl.
linkage between P1' and P3'. The predicted activities of these inhibitors
were also in good agreement with the exptl. determined values.
ST QSAR HIV1 proteinase inhibitor statine
IT Conformation and Conformers
Quantitative structure-activity relationship
Virucides and Virustats
(3D-quant. structure-activity relationships of human immunodeficiency
virus type-1 proteinase inhibitors using comparative mol. field anal.
of 2-heterosubstituted statine derivs.)
IT Virus, animal
(human immunodeficiency 1, 3D-quant. structure-activity relationships
of human immunodeficiency virus type-1 proteinase inhibitors using
comparative mol. field anal. of 2-heterosubstituted statine derivs.)
IT 148741-82-6, SDZ 282826 148741-84-8, SDZ 282823 148741-94-0, SDZ
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(3D-quant. structure-activity relationships of human immunodeficiency virus type-1 proteinase inhibitors using comparative mol. field anal. of 2-heterosubstituted statine derivs.)

IT 144114-21-6, Retropepsin

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(3D-quant. structure-activity relationships of human immunodeficiency virus type-1 proteinase inhibitors using comparative mol. field anal. of 2-heterosubstituted statine derivs.)

IT 172960-94-0, SDZ 282807 173010-24-7, SDZ 282808
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(D-quant. structure-activity relationships of human immunodeficiency virus type-1 proteinase inhibitors using comparative mol. field anal. of 2-heterosubstituted statine derivs.)

L3 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:657540 CAPLUS
DN 123:82953
ED Entered STN: 07 Jul 1995
TI Preparation of 2,4-diamino-3-hydroxycarboxylic acid-derivative HIV proteinase inhibitors.
IN Billich, Andreas; Charpiot, Brigitte; Ettmayer, Peter; Gstach, Hubert; Lehr, Philipp; Scholz, Dieter
PA Sandoz Ltd., Switz.; Sandoz-Patent-G.m.b.H.; Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.
SO Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07C271-22
ICS C07K005-02; C07C237-20; C07C237-22; C07D471-14; C07D235-16; C07D285-125; A61K031-325; A61K037-02; A61K031-16
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 615969	A1	19940921	EP 1994-810150	19940309
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5538997	A	19960723	US 1994-177687	19940103
	NO 9400844	A	19940913	NO 1994-844	19940310
	AU 9457737	A1	19940915	AU 1994-57737	19940310
	AU 672867	B2	19961017		
	FI 9401149	A	19941222	FI 1994-1149	19940310
	CA 2118876	AA	19940913	CA 1994-2118876	19940311
	JP 07089919	A2	19950404	JP 1994-41047	19940311
	CN 1104209	A	19950628	CN 1994-102292	19940311
	ZA 9401734	A	19950911	ZA 1994-1734	19940311
	HU 71793	A2	19960228	HU 1994-745	19940311
PRAI	GB 1993-5144	A	19930312		
	GB 1993-19667	A	19930923		

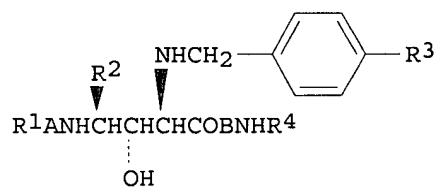
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 615969	ICM	C07C271-22
	ICS	C07K005-02; C07C237-20; C07C237-22; C07D471-14; C07D235-16; C07D285-125; A61K031-325; A61K037-02; A61K031-16
	IPCI	C07C0271-22 [ICM,5]; C07C0271-00 [ICM,5,C*]; C07K0005-02 [ICS,5]; C07K0005-00 [ICS,5,C*]; C07C0237-20 [ICS,5]; C07C0237-22 [ICS,5]; C07C0237-00 [ICS,5,C*]; C07D0471-14 [ICS,5]; C07D0471-00 [ICS,5,C*]; C07D0235-16 [ICS,5]; C07D0235-00 [ICS,5,C*]; C07D0285-125 [ICS,5]; C07D0285-00 [ICS,5,C*]; A61K0031-325 [ICS,5]; A61K0037-02 [ICS,5]; A61K0031-16 [ICS,5]
	IPCR	C07D0249-00 [I,C*]; C07D0249-08 [I,A]; A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-185 [I,C*]; A61K0031-19 [I,A]; A61K0031-195 [I,A]; A61K0031-21 [I,C*]; A61K0031-215 [I,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; A61P0037-00 [I,C*]; A61P0037-04 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07C0237-00 [I,C*]; C07C0237-10 [I,A]; C07C0237-20

		[I,A]; C07C0237-22 [I,A]; C07C0271-00 [I,C*]; C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-16 [I,A]; C07C0327-00 [I,C*]; C07C0327-20 [I,A]; C07C0327-38 [I,A]; C07D0213-00 [I,C*]; C07D0213-40 [I,A]; C07D0235-00 [I,C*]; C07D0235-30 [I,A]; C07D0277-00 [I,C*]; C07D0277-74 [I,A]; C07D0285-00 [I,C*]; C07D0285-125 [I,A]; C07D0487-00 [I,C*]; C07D0487-04 [I,A]
	ECLA	C07C237/20; C07C237/22; C07C271/22; C07C275/16; C07D213/40B; C07D277/74; C07D285/12D4; C07D047/04+239C+235C
US 5538997	IPCI	A61K0031-21 [ICM,6]; C07C0275-26 [ICS,6]; C07C0275-00 [ICS,6,C*]
	IPCR	C07C0237-00 [I,C*]; C07C0237-20 [I,A]; C07C0237-22 [I,A]; C07C0271-00 [I,C*]; C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-16 [I,A]; C07D0213-00 [I,C*]; C07D0213-40 [I,A]; C07D0277-00 [I,C*]; C07D0277-74 [I,A]; C07D0285-00 [I,C*]; C07D0285-125 [I,A]; C07D0487-00 [I,C*]; C07D0487-04 [I,A]
	NCL	514/510.000; 564/057.000
	ECLA	C07C237/20; C07C237/22; C07C271/22; C07C275/16; C07D213/40B; C07D277/74; C07D285/12D4; C07D047/04+239C+235C
NO 9400844	IPCI	C07C0229-22 [ICM,5]; C07C0229-00 [ICM,5,C*]; A61K0031-195 [ICS,5]; A61K0031-185 [ICS,5,C*]
	IPCR	C07D0249-00 [I,C*]; C07D0249-08 [I,A]; A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-185 [I,C*]; A61K0031-19 [I,A]; A61K0031-195 [I,A]; A61K0031-21 [I,C*]; A61K0031-215 [I,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; A61P0037-00 [I,C*]; A61P0037-04 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07C0237-00 [I,C*]; C07C0237-10 [I,A]; C07C0237-20 [I,A]; C07C0237-22 [I,A]; C07C0271-00 [I,C*]; C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-16 [I,A]; C07C0327-00 [I,C*]; C07C0327-20 [I,A]; C07C0327-38 [I,A]; C07D0213-00 [I,C*]; C07D0213-40 [I,A]; C07D0235-00 [I,C*]; C07D0235-30 [I,A]; C07D0277-00 [I,C*]; C07D0277-74 [I,A]; C07D0285-00 [I,C*]; C07D0285-125 [I,A]; C07D0487-00 [I,C*]; C07D0487-04 [I,A]
AU 9457737	IPCI	C07C0271-22 [ICM,5]; C07C0271-00 [ICM,5,C*]; C07C0237-20 [ICS,5]; C07C0237-00 [ICS,5,C*]; C07C0275-34 [ICS,5]; C07C0275-00 [ICS,5,C*]; C07K0005-02 [ICS,5]; C07K0005-00 [ICS,5,C*]; C07D0213-40 [ICS,5]; C07D0213-00 [ICS,5,C*]; C07D0235-14 [ICS,5]; C07D0235-00 [ICS,5,C*]; C07D0249-12 [ICS,5]; C07D0249-00 [ICS,5,C*]; C07D0277-74 [ICS,5]; C07D0277-00 [ICS,5,C*]; C07D0285-125 [ICS,5]; C07D0285-00 [ICS,5,C*]; C07D0487-04 [ICS,5]; C07D0487-00 [ICS,5,C*]; A61K0031-165 [ICS,5]
	IPCR	C07D0249-00 [I,C*]; C07D0249-08 [I,A]; A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-185 [I,C*]; A61K0031-19 [I,A]; A61K0031-195 [I,A]; A61K0031-21 [I,C*]; A61K0031-215 [I,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; A61P0037-00 [I,C*]; A61P0037-04 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07C0237-00 [I,C*]; C07C0237-10 [I,A]; C07C0237-20 [I,A]; C07C0237-22 [I,A]; C07C0271-00 [I,C*]; C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-16 [I,A]; C07C0327-00 [I,C*]; C07C0327-20 [I,A]; C07C0327-38 [I,A]; C07D0213-00 [I,C*]; C07D0213-40 [I,A]; C07D0235-00 [I,C*]; C07D0235-30 [I,A]; C07D0277-00 [I,C*]; C07D0277-74 [I,A]; C07D0285-00 [I,C*]; C07D0285-125 [I,A]; C07D0487-00 [I,C*];

FI 9401149	IPCI	C07D0487-04 [I,A] C07C0271-22 [ICM,5]; C07C0271-00 [ICM,5,C*]; C07C0237-20 [ICS,5]; C07C0237-22 [ICS,5]; C07C0237-00 [ICS,5,C*]; C07D0471-14 [ICS,5]; C07D0471-00 [ICS,5,C*]; C07D0235-16 [ICS,5]; C07D0235-00 [ICS,5,C*]; C07D0285-125 [ICS,5]; C07D0285-00 [ICS,5,C*]; C07K0005-02 [ICS,5]; C07K0005-00 [ICS,5,C*]
	IPCR	C07D0249-00 [I,C*]; C07D0249-08 [I,A]; A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-185 [I,C*]; A61K0031-19 [I,A]; A61K0031-195 [I,A]; A61K0031-21 [I,C*]; A61K0031-215 [I,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; A61P0037-00 [I,C*]; A61P0037-04 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07C0237-00 [I,C*]; C07C0237-10 [I,A]; C07C0237-20 [I,A]; C07C0237-22 [I,A]; C07C0271-00 [I,C*]; C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-16 [I,A]; C07C0327-00 [I,C*]; C07C0327-20 [I,A]; C07C0327-38 [I,A]; C07D0213-00 [I,C*]; C07D0213-40 [I,A]; C07D0235-00 [I,C*]; C07D0235-30 [I,A]; C07D0277-00 [I,C*]; C07D0277-74 [I,A]; C07D0285-00 [I,C*]; C07D0285-125 [I,A]; C07D0487-00 [I,C*]; C07D0487-04 [I,A]
CA 2118876	IPCI	C07C0271-22 [ICM,5]; C07C0271-00 [ICM,5,C*]; C07D0213-56 [ICS,5]; C07D0213-00 [ICS,5,C*]; C07D0235-16 [ICS,5]; C07D0235-00 [ICS,5,C*]; C07D0249-02 [ICS,5]; C07D0249-00 [ICS,5,C*]; C07D0277-74 [ICS,5]; C07D0277-00 [ICS,5,C*]; C07D0285-125 [ICS,5]; C07D0285-00 [ICS,5,C*]; C07D0333-24 [ICS,5]; C07D0333-00 [ICS,5,C*]; C07D0487-04 [ICS,5]; C07D0487-00 [ICS,5,C*]; A61K0031-16 [ICS,5]; A61K0031-27 [ICS,5]; A61K0031-21 [ICS,5,C*]; A61K0031-41 [ICS,5]; A61K0031-505 [ICS,5]
	IPCR	C07D0249-00 [I,C*]; C07D0249-08 [I,A]; A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-185 [I,C*]; A61K0031-19 [I,A]; A61K0031-195 [I,A]; A61K0031-21 [I,C*]; A61K0031-215 [I,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; A61P0037-00 [I,C*]; A61P0037-04 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07C0237-00 [I,C*]; C07C0237-10 [I,A]; C07C0237-20 [I,A]; C07C0237-22 [I,A]; C07C0271-00 [I,C*]; C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-16 [I,A]; C07C0327-00 [I,C*]; C07C0327-20 [I,A]; C07C0327-38 [I,A]; C07D0213-00 [I,C*]; C07D0213-40 [I,A]; C07D0235-00 [I,C*]; C07D0235-30 [I,A]; C07D0277-00 [I,C*]; C07D0277-74 [I,A]; C07D0285-00 [I,C*]; C07D0285-125 [I,A]; C07D0487-00 [I,C*]; C07D0487-04 [I,A]
JP 07089919	IPCI	C07C0237-10 [ICM,6]; A61K0031-165 [ICS,6]; A61K0031-19 [ICS,6]; A61K0031-195 [ICS,6]; A61K0031-185 [ICS,6,C*]; A61K0031-215 [ICS,6]; A61K0031-21 [ICS,6,C*]; C07C0237-22 [ICS,6]; C07C0237-00 [ICS,6,C*]; C07C0327-20 [ICS,6]; C07C0327-38 [ICS,6]; C07C0327-00 [ICS,6,C*]; C07D0235-30 [ICS,6]; C07D0235-00 [ICS,6,C*]; C07D0249-08 [ICS,6]; C07D0249-00 [ICS,6,C*]; C07D0277-74 [ICS,6]; C07D0277-00 [ICS,6,C*]; C07D0487-04 [ICS,6]; C07D0487-00 [ICS,6,C*]
CN 1104209	IPCI	C07C0271-22 [ICM,5]; C07C0271-00 [ICM,5,C*]; C07D0213-40 [ICS,5]; C07D0213-00 [ICS,5,C*]; C07D0235-14 [ICS,5]; C07D0235-00 [ICS,5,C*]; C07D0249-12 [ICS,5]; C07D0249-00 [ICS,5,C*]; C07D0277-74 [ICS,5]; C07D0277-00 [ICS,5,C*]; C07D0285-125 [ICS,5]; C07D0285-00 [ICS,5,C*]; C07D0487-04 [ICS,5]; C07D0487-00 [ICS,5,C*]; C07K0005-02 [ICS,5]; C07K0005-00 [ICS,5,C*]; A61K0031-165 [ICS,5]

IPCR C07D0249-00 [I,C*]; C07D0249-08 [I,A]; A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-185 [I,C*]; A61K0031-19 [I,A]; A61K0031-195 [I,A]; A61K0031-21 [I,C*]; A61K0031-215 [I,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; A61P0037-00 [I,C*]; A61P0037-04 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07C0237-00 [I,C*]; C07C0237-10 [I,A]; C07C0237-20 [I,A]; C07C0237-22 [I,A]; C07C0271-00 [I,C*]; C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-16 [I,A]; C07C0327-00 [I,C*]; C07C0327-20 [I,A]; C07C0327-38 [I,A]; C07D0213-00 [I,C*]; C07D0213-40 [I,A]; C07D0235-00 [I,C*]; C07D0235-30 [I,A]; C07D0277-00 [I,C*]; C07D0277-74 [I,A]; C07D0285-00 [I,C*]; C07D0285-125 [I,A]; C07D0487-00 [I,C*]; C07D0487-04 [I,A]
 ZA 9401734 IPCI C07C [ICM]; A61K [ICS]
 HU 71793 IPCR A61K [I,S]; C07C [I,S]
 IPCI C07C0237-04 [ICM,6]; C07C0237-00 [ICM,6,C*]; C07K0005-02 [ICS,6]; C07K0005-06 [ICS,6]; C07K0005-00 [ICS,6,C*]; A61K0031-16 [ICS,6]; A61K0038-04 [ICS,6]
 IPCR C07D0249-00 [I,C*]; C07D0249-08 [I,A]; A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-185 [I,C*]; A61K0031-19 [I,A]; A61K0031-195 [I,A]; A61K0031-21 [I,C*]; A61K0031-215 [I,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; A61P0037-00 [I,C*]; A61P0037-04 [I,A]; A61P0043-00 [I,C*]; A61P0043-00 [I,A]; C07C0237-00 [I,C*]; C07C0237-10 [I,A]; C07C0237-20 [I,A]; C07C0237-22 [I,A]; C07C0271-00 [I,C*]; C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-16 [I,A]; C07C0327-00 [I,C*]; C07C0327-20 [I,A]; C07C0327-38 [I,A]; C07D0213-00 [I,C*]; C07D0213-40 [I,A]; C07D0235-00 [I,C*]; C07D0235-30 [I,A]; C07D0277-00 [I,C*]; C07D0277-74 [I,A]; C07D0285-00 [I,C*]; C07D0285-125 [I,A]; C07D0487-00 [I,C*]; C07D0487-04 [I,A]
 OS MARPAT 123:82953
 GI



- AB The title compds. [I; A, B = direct bond (un)substituted aminoacyl moiety; R1 = H, amino-protecting group, etc.; R2 = side chain of a natural amino acid, (un)substituted alkyl, cycloalkyl, etc; R3 = halogen, alkyl, alkoxy, hydroxyalkoxy; R4 = 2(R)-hydroxyindan-1(S)-yl, (un)substituted 2-hydroxybenzyl, (S)-2-hydroxy-1-phenylethyl], useful as inhibitors of HIV proteinase (no data) for the treatment of HIV-induced diseases (e.g., AIDS) (no data), are prepared Thus, 4(S)-tert-butoxycarbonylamino-3(S)-hydroxy-2(R)-(4-methoxybenzylamino)-5-phenylpentanoic acid 1(S)-amino-2(R)-hydroxyindan-amide, m.p. 183-185°, was prepared from BOC-L-alaninol in 5 steps.
 ST aminohydroxycarboxylic deriv HIV proteinase inhibitor; butoxycarbonylamino-hydroxymethoxybenzylaminophenylpentanoic aminohydroxyindan amide HIV proteinase inhibitor; AIDS treatment aminohydroxycarboxylic HIV proteinase inhibitor
 IT Virucides and Virustats
 (2,4-diamino-3-hydroxycarboxylic acid-derivative HIV-proteinase inhibitors)

IT Acquired immune deficiency syndrome
(preparation of 2,4-diamino-3-hydroxycarboxylic acid-derivative HIV
proteinase
inhibitors for treatment of)

IT Virus, animal
(human immunodeficiency, preparation of 2,4-diamino-3-hydroxycarboxylic
acid-derivative HIV-proteinase inhibitors)

IT 164514-52-7D, salts
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(claimed compound; preparation of 2,4-diamino-3-hydroxycarboxylic
acid-derivative
HIV proteinase inhibitors)

IT	164514-51-6P	164514-52-7P	164514-53-8P	164514-54-9P	164514-55-0P
	164514-56-1P	164514-57-2P	164514-58-3P	164514-59-4P	
	164514-60-7P	164514-61-8P	164514-62-9P	164514-63-0P	
	164514-64-1P	164514-65-2P	164514-66-3P	164514-67-4P	164514-68-5P
	164514-69-6P	164514-70-9P	164514-71-0P	164514-72-1P	164514-73-2P
	164514-74-3P	164514-75-4P	164514-76-5P	164514-77-6P	164514-78-7P
	164514-79-8P	164514-80-1P	164514-81-2P	164514-82-3P	164514-83-4P
	164514-84-5P	164514-85-6P	164514-86-7P	164514-87-8P	164514-88-9P
	164514-89-0P	164514-90-3P	164514-91-4P	164514-92-5P	164514-93-6P
	164514-94-7P	164514-95-8P	164514-96-9P	164514-97-0P	164514-98-1P
	164514-99-2P	164515-00-8P	164515-01-9P	164515-02-0P	164515-03-1P
	164515-04-2P	164712-87-2P	164712-88-3P	164712-89-4P	164712-90-7P
	164712-91-8P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,4-diamino-3-hydroxycarboxylic acid-derivative HIV
proteinase
inhibitors)

IT 144114-21-6, HIV proteinase
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
(preparation of 2,4-diamino-3-hydroxycarboxylic acid-derivative HIV
proteinase
inhibitors)

IT 673-22-3, 2-Hydroxy-4-methoxybenzaldehyde 1099-45-2,
Ethoxycarbonylmethylenetriphenylphosphorane 2393-23-9,
4-Methoxybenzylamine 13139-17-8, N-(Benzyloxycarbonyloxy)succinimide
16088-07-6, Phenylalaninol 16948-40-6 62965-10-0 62965-35-9
66605-57-0 126456-43-7 164515-11-1 164712-92-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2,4-diamino-3-hydroxycarboxylic acid-derivative HIV
proteinase
inhibitors)

IT	17861-16-4P	124818-94-6P	161510-63-0P	164515-05-3P	164515-06-4P
	164515-07-5P	164515-08-6P	164515-09-7P	164515-10-0P	

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of 2,4-diamino-3-hydroxycarboxylic acid-derivative HIV
proteinase
inhibitors)

L3 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:511385 CAPLUS
DN 122:290438
ED Entered STN: 27 Apr 1995
TI Preparation of diphenyl-substituted amino alcohols as protease inhibitors
IN Gordon, Eric M.; Barrish, Joel C.; Bisacchi, Gregory S.; Sun, Chong Qing;
Tino, Joseph A.; Vite, Gregory D.; Zahler, Robert
PA E. R. Squibb and Sons, Inc., USA
SO Eur. Pat. Appl., 393 pp.

CODEN: EPXXDW

DT Patent

LA English

IC ICM C07C271-20

ICS C07C271-34; C07C271-52; C07C233-78; C07C237-20; C07C237-10;
C07C275-18; C07D207-09; C07D209-14; C07D213-40; C07D215-14

ICA C07D217-16; A61K031-16; A61K031-40; A61K031-44

CC 25-7 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 580402	A2	19940126	EP 1993-305691	19930720
	EP 580402	A3	19970305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	US 5559256	A	19960924	US 1993-79978	19930625
	ZA 9305243	A	19940217	ZA 1993-5243	19930720
PRAI	US 1992-916916	A	19920720		
	US 1992-927027	A	19920806		
	US 1993-79978	A	19930625		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 580402	ICM	C07C271-20
	ICS	C07C271-34; C07C271-52; C07C233-78; C07C237-20; C07C237-10; C07C275-18; C07D207-09; C07D209-14; C07D213-40; C07D215-14
	ICA	C07D217-16; A61K031-16; A61K031-40; A61K031-44
	IPCI	C07C0271-20 [ICM,5]; C07C0271-34 [ICS,5]; C07C0271-52 [ICS,5]; C07C0271-00 [ICS,5,C*]; C07C0233-78 [ICS,5]; C07C0233-00 [ICS,5,C*]; C07C0237-20 [ICS,5]; C07C0237-10 [ICS,5]; C07C0237-00 [ICS,5,C*]; C07C0275-18 [ICS,5]; C07C0275-00 [ICS,5,C*]; C07D0207-09 [ICS,5]; C07D0207-00 [ICS,5,C*]; C07D0209-14 [ICS,5]; C07D0209-00 [ICS,5,C*]; C07D0213-40 [ICS,5]; C07D0213-00 [ICS,5,C*]; C07D0215-14 [ICS,5]; C07D0215-00 [ICS,5,C*]; C07D0217-16 [ICA,5]; C07D0217-00 [ICA,5,C*]; A61K0031-16 [ICA,5]; A61K0031-40 [ICA,5]; A61K0031-44 [ICA,5]
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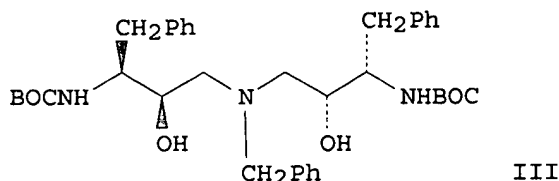
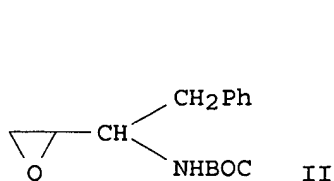
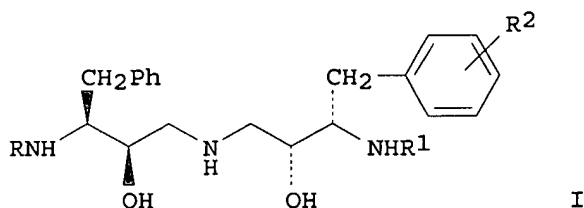
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US 5559256

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OS MARPAT 122:290438
 GI



AB Novel amino alcs. [I; R, R1 = protecting group, substituent; R2 = H,

substituent], useful in inhibiting retroviral protease, particularly useful in the treatment and/or prevention of HIV infection (AIDS), are prepared A mixture of 2:1 II/PhCH₂NH₂ was heated at 105-108° under Ar to give 56% III, which was refluxed over 20% Pd(OH)₂/C in EtOH-cyclohexene to give 69% I (R = R₁ = Boc, R₂ = H), which showed 100% inhibition of HIV protease at 10 μM and IC₅₀ of 0.09 μM against HIV CEM cells.

ST iminobisphenylbutanol prepn protease inhibitor; amino alc diphenyl HIV virucide; AIDS prevention iminobisphenylbutanol prepn

IT Acquired immune deficiency syndrome

(preparation of diphenyl-substituted amino alcs. as protease inhibitors)

IT Virus, animal

(human immunodeficiency, preparation of diphenyl-substituted amino alcs. as protease inhibitors)

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diphenyl-substituted amino alcs. as protease inhibitors)

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162677-58-9P	162677-59-0P	162677-60-3P	162677-61-4P	162677-62-5P
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162677-89-6P	162677-90-9P	162677-91-0P	162677-92-1P	162677-93-2P
162677-94-3P	162677-95-4P	162677-96-5P	162677-97-6P	162677-98-7P
162677-99-8P	162678-00-4P	162678-01-5P	162678-02-6P	162678-03-7P
162678-04-8P	162678-05-9P	162678-06-0P	162678-07-1P	162678-08-2P
162678-09-3P	162678-10-6P	162678-11-7P	162678-12-8P	162678-13-9P
162678-14-0P	162678-15-1P	162678-16-2P	162678-17-3P	162678-18-4P
162678-19-5P	162678-20-8P	162678-23-1P	162678-25-3P	162678-26-4P
162678-28-6P	162678-29-7P	162678-31-1P	162678-33-3P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diphenyl-substituted amino alcs. as protease inhibitors)

IT 144114-21-6, Retropepsin

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(preparation of diphenyl-substituted amino alcs. as protease inhibitors)

IT 70-25-7 72-18-4, L-Valine, reactions 75-98-9, Trimethylacetic acid
76-83-5, Trityl chloride 77-76-9, 2,2-Dimethoxypropane 79-14-1,
reactions 79-22-1, Methyl chloroformate 79-33-4, reactions 79-44-7,
Dimethyl carbamyl chloride 83-33-0, 1-Indanone 91-62-3,
6-Methylquinoline 93-09-4, 2-Naphthoic acid 93-10-7, Quinaldic acid
95-13-6, Indene 95-54-5, o-Phenylenediamine, reactions 95-55-6,
o-Aminophenol 96-49-1, Ethylene carbonate 98-59-9, Tosyl chloride
98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 100-44-7, Benzyl
chloride, reactions 100-46-9, Benzyl amine, reactions 100-52-7,
Benzaldehyde, reactions 100-55-0, 3-Pyridinemethanol 100-86-7,
 α,α -Dimethylphenethyl alcohol 102-14-7 103-74-2,
2-(2-Hydroxyethyl)pyridine 103-82-2, Phenylacetic acid, reactions
105-36-2, Ethyl bromoacetate 108-23-6, Isopropyl chloroformate
109-00-2, 3-Pyridinol 109-86-4, 2-Methoxyethanol 109-92-2 110-06-5,
tert-Butyl disulfide 110-15-6, Succinic acid, reactions 110-91-8,
Morpholine, reactions 111-42-2, Diethanolamine, reactions 119-67-5,
2-Carboxybenzaldehyde 122-59-8, Phenoxycetic acid 122-99-6,
2-Phenoxyethanol 288-32-4, Imidazole, reactions 335-08-0 453-20-3,
3-Furanol, tetrahydro-, (\pm)- 473-85-8 500-22-1,
3-Pyridinecarboxaldehyde 501-53-1, Benzyl chloroformate 534-03-2,
2-Amino-1,3-propanediol 539-74-2, Ethyl 3-bromopropionate 540-51-2,
2-Bromoethanol 541-47-9, 3,3-Dimethylacrylic acid 547-64-8, Methyl

lactate 558-30-5, Isobutylene oxide 586-98-1, 2-Pyridylcarbinol 590-42-1, tert-Butylisothiocyanate 591-80-0, 4-Pentenoic acid 593-56-6, Methoxyamine hydrochloride 594-56-9, 2,3,3-Trimethyl-1-butene 594-61-6, 2-Hydroxyisobutyric acid 598-21-0, Bromoacetyl bromide 611-71-2, R-Mandelic acid 617-35-6, Ethyl pyruvate 617-94-7, Dimethylphenylcarbinol 622-08-2, 2-Benzyloxyethanol 622-40-2, 4-(2-Hydroxyethyl)morpholine 623-08-5, N-Methyl-p-toluidine 624-83-9, Methyl isocyanate 625-38-7, 3-Butenoic acid 627-18-9, 3-Bromo-1-propanol 630-19-3, Pivaldehyde 644-36-0, o-Tolylacetic acid 670-95-1, 4-Phenylimidazole 672-15-1, L-Homoserine 677-22-5, tert-Butyl magnesium chloride 684-07-1 687-47-8, S-Ethyl lactate 693-89-0, 1-Methylcyclopentene 775-06-4, DL-Meta-tyrosine 815-17-8 821-09-0, 4-Penten-1-ol 830-96-6, 1H-Indole-3-propanoic acid 937-14-4, m-Chloroperbenzoic acid 1070-83-3, tert-Butylacetic acid 1120-87-2, 4-Bromopyridine 1122-62-9, 2-Acetylpyridine 1142-20-7, N-Benzyloxycarbonyl-L-alanine 1148-11-4, N-Benzyloxycarbonyl-L-proline 1149-26-4, Cbz-L-valine 1161-13-3, N-Benzyloxycarbonyl-L-phenylalanine 1462-03-9, 1-Methyl-1-cyclopentanol 1609-86-5, tert-Butylisocyanate 1685-33-2, N-Benzyloxycarbonyl-D-valine 1826-67-1, Vinyl magnesium bromide 2018-66-8, N-Benzyloxycarbonyl-L-leucine 2130-96-3 2304-96-3 2976-75-2, (1-Naphthyloxy)acetic acid 2987-16-8, 3,3-Dimethylbutyraldehyde 3173-56-6, Benzylisocyanate 3240-94-6, 4-(2-Chloroethyl)morpholine 3262-72-4, Boc-L-serine 3587-60-8, Benzyl chloromethyl ether 3587-64-2 3597-91-9, 4-Biphenylmethanol 3731-51-9, 2-Pyridinemethanamine 3966-30-1 4026-20-4, 2-Hydroxy-3,3-dimethylbutanoic acid 4530-20-5, N-(tert-Butoxycarbonyl)glycine 4541-32-6, 2,2-Dimethylcyclopentanone 4835-90-9 4857-04-9, 2-Chloromethylbenzimidazole 5105-78-2 5333-74-4, Ethyl tert-butylglyoxylate 6278-91-7, 4-Benzyloxy-2-butanone 6306-52-1, L-Valine methyl ester hydrochloride 6351-10-6, (+)-1-Indanol 6829-40-9, Diethyl aminomalonate 7326-19-4, D-Phenyllactic acid 7364-25-2, Indazolinone 7486-35-3, Vinyltributyltin 7525-64-6 7536-55-2 7693-46-1, p-Nitrophenyl chloroformate 10068-52-7 10147-11-2, 3-Phenyl-1-propyne 10326-41-7, D-Lactic acid, reactions 13031-04-4 13139-16-7, N-tert-Butoxycarbonyl-L-isoleucine 13570-08-6, 2-Benzimidazoleacetic acid 13734-34-4, N-(tert-Butoxycarbonyl)-L-phenylalanine 13734-41-3, N-(tert-Butoxycarbonyl)-L-valine 14347-78-5 14397-64-9, 1H-Indene-1-carboxylic acid, 2,3-dihydro-2-oxo-, ethyl ester, (+)- 15833-84-8 16520-62-0, 4-Phenyl-1-butyne 17191-44-5 17199-29-0, S-Mandelic acid 18162-48-6, tert-Butyldimethylsilyl chloride 19575-07-6, Methyl quinaldate 19728-63-3, N-Benzyloxycarbonyl-L-threonine 19752-84-2 20117-47-9, 1-Methyl-1-cyclobutanol 20160-60-5 20312-36-1 20412-38-8, Neopentyl chloroformate 20662-89-9, 4-Phenyloxazole 20859-02-3, L-tert-Leucine 21641-92-9 22146-57-2 22323-82-6 24424-99-5, Di-tert-butyldicarbonate 26782-71-8, D-tert-Leucine 28920-43-6, 9-Fluorenylmethyl chloroformate 28954-12-3, L-Allothreonine 30293-86-8 32366-02-2, N-Benzyl-N-methylcarbamoyl chloride 37595-74-7, N-Phenyltriflimide 37736-82-6 37859-42-0, 2-Benzothiazolemethanol 40299-87-4 41242-94-8, 2-Quinoxalinemethanol 52373-72-5 52532-02-2 53333-76-9, 1-Propanesulfonyl chloride, 2,2-dimethyl- 58632-95-4 59562-82-2, 1,2-Butanediol, 3,3-dimethyl-, (+)- 62965-10-0 64360-69-6 67478-50-6 68835-89-2, Di-tert-amyl dicarbonate 69739-34-0 80360-23-2, 1H-Indole-2-carboxaldehyde, 1-phenylsulfonyl- 83096-36-0 84709-85-3 85328-36-5 85613-64-5 85951-09-3 85995-53-5 86087-23-2, 3-Furanol, tetrahydro-, (S)- 91968-72-8 102229-10-7 106167-47-9 107202-43-7 109274-92-2, Furo[2,3-b]pyridine-2-carboxaldehyde 112372-05-1, Furo[3,2-b]pyridine-2-carboxaldehyde 112372-06-2, Furo[2,3-c]pyridine-2-carboxaldehyde 113459-50-0 117895-47-3 127041-02-5 127556-03-0 128018-44-0 137515-66-3 141978-97-4 143576-95-8 144186-52-7 154612-75-6 156474-21-4 156474-22-5 160232-54-2 162538-15-0 162541-28-8 162541-29-9 162541-30-2 162541-31-3 162541-32-4 162541-33-5 162541-34-6 162541-35-7 162541-36-8 162541-37-9 162541-39-1 162541-40-4 162541-41-5 162541-42-6 162541-43-7 162541-44-8 162541-45-9 162541-46-0 162541-47-1 162541-48-2 162541-49-3

162541-50-6	162541-51-7	162541-53-9	162541-54-0	162541-55-1
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162541-62-0	162541-63-1	162541-64-2	162541-65-3	162541-67-5
162541-68-6	162541-69-7	162541-70-0		

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of diphenyl-substituted amino alcs. as protease inhibitors)

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	162541-76-6	162541-77-7	162541-78-8	162541-79-9	162541-80-2
	162541-81-3	162541-82-4	162541-84-6	162541-85-7	162541-86-8
	162541-87-9	162541-88-0	162541-89-1	162541-90-4	162541-92-6
	162541-95-9	162541-96-0	162541-97-1	162541-98-2	162541-99-3
	162542-00-9	162542-01-0	162542-02-1	162542-03-2	162542-05-4
	162542-08-7	162542-09-8	162542-10-1	162542-11-2	162678-21-9
	162678-22-0	162678-24-2	162678-30-0	162678-32-2	162678-34-4
	162678-36-6	162678-37-7	162678-38-8	162678-39-9	162776-40-1
	162776-41-2	162870-63-5	183161-64-0	183162-19-8	183162-29-0
	183162-35-8	183162-42-7	183162-44-9	183162-45-0	183162-77-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of diphenyl-substituted amino alcs. as protease inhibitors)

IT	374-35-6P	558-43-0P	587-33-7P	1184-93-6P	1191-31-7P	1780-17-2P,
	2-Quinolinemethanol	1796-25-4P,	1-Bromoacetylpiperidine	2215-63-6P		
	2280-28-6P	2508-01-2P	2644-82-8P,	6-Chloromethylquinoline	2842-44-6P	
	2849-93-6P,	1H-Benzimidazole-2-carboxylic acid	3724-55-8P,	Methyl		
	3-butenate	4113-04-6P,	6-Quinolinecarboxaldehyde	4441-30-9P,		
	4-Morpholinepropanol	4647-42-1P	4647-43-2P	4754-27-2P	4856-97-7P,	
	1H-Benzimidazole-2-methanol	7467-35-8P	7748-36-9P,	3-Oxetanol		
	13737-35-4P	14440-98-3P	14598-96-0P	15546-08-4P	17450-34-9P,	
	1H-Imidazole-1-acetic acid,	ethyl ester	18096-68-9P,			
	1H-Indene-2-methanol	20361-09-5P	22455-69-2P	22929-52-8P,		
	Tetrahydrofuran-3-one	23249-97-0P,	1H-Benzimidazole-2-propanoic acid			
	24580-44-7P	24621-70-3P,	1H-Indole-2-methanol	25854-85-7P		
	25854-87-9P	31562-43-3P,	tert-Butylsulfinyl chloride	35677-88-4P		
	37535-57-2P	39497-64-8P	40594-83-0P	41036-01-5P	42417-41-4P	
	42417-65-2P,	N-Benzylloxycarbonyl-N-methyl-L-valine	50531-59-4P			
	51110-97-5P,	2-Benzoxazolepropanol	53346-03-5P	56365-70-9P		
	57443-39-7P	59524-02-6P	60398-41-6P	62030-47-1P	66866-64-6P	
	67706-63-2P	71264-44-3P	73282-11-8P	77186-95-9P,		
	Benzoxazole-2-methanol	86096-65-3P	88246-12-2P	90819-30-0P		
	94882-74-3P	98737-29-2P	98760-08-8P	98955-64-7P	98997-01-4P	
	100516-88-9P,	6-Quinolinemethanol	100841-12-1P	102123-74-0P		
	102152-03-4P	102831-44-7P	106513-42-2P	108957-20-6P	113247-51-1P	
	115916-75-1P	116005-23-3P	127382-65-4P	134807-06-0P	134807-20-8P	
	134807-28-6P	138432-95-8P	143372-45-6P	143372-46-7P	143372-47-8P	
	143688-65-7P	144186-00-5P	144731-95-3P	144825-44-5P	144825-44-5P	
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	162536-40-5P	162536-41-6P	162536-42-7P	162536-43-8P	162536-44-9P	
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	162536-66-5P	162536-67-6P	162536-68-7P	162536-69-8P	162536-70-1P	
	162536-71-2P	162536-72-3P	162536-73-4P	162536-74-5P	162536-77-8P	
	162536-78-9P	162536-79-0P	162536-80-3P	162536-81-4P	162536-82-5P	
	162536-83-6P	162536-84-7P	162536-85-8P	162536-86-9P	162536-87-0P	
	162536-88-1P	162536-89-2P	162536-90-5P	162536-91-6P	162536-92-7P	
	162536-93-8P	162536-94-9P	162536-95-0P	162536-96-1P	162536-97-2P	
	162536-98-3P	162536-99-4P	162537-00-0P	162537-02-2P	162537-03-3P	
	162537-08-8P	162537-10-2P	162537-11-3P	162537-12-4P	162537-13-5P	
	162537-14-6P	162537-15-7P	162537-16-8P	162537-17-9P	162537-20-4P	
	162537-21-5P	162537-22-6P	162537-26-0P	162537-27-1P	162537-31-7P	
	162537-32-8P	162537-33-9P	162537-34-0P	162537-35-1P	162537-36-2P	
	162537-37-3P	162537-39-5P	162537-40-8P	162537-41-9P	162537-42-0P	
	162537-43-1P	162537-44-2P	162537-45-3P	162537-46-4P	162537-47-5P	
	162537-48-6P	162537-49-7P	162537-50-0P	162537-51-1P	162537-53-3P	
	162537-54-4P	162537-55-5P	162537-56-6P	162537-61-3P,		
	Furo[3,2-b]pyridine-2-methanol	162537-62-4P	162537-63-5P			

162537-64-6P 162537-65-7P 162537-66-8P 162537-67-9P 162537-68-0P
 162537-69-1P 162537-70-4P 162537-71-5P 162537-72-6P,
 Furo[2,3-c]pyridine-2-methanol 162537-73-7P 162537-74-8P
 162537-75-9P 162537-76-0P 162537-77-1P 162537-78-2P 162537-79-3P
 162537-80-6P 162537-81-7P 162537-82-8P, Furo[2,3-b]pyridine-2-methanol
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of diphenyl-substituted amino alcs. as protease inhibitors)

IT 183161-50-4P 183161-56-0P 183161-58-2P 183161-60-6P 183161-62-8P
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 183162-79-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation of diphenyl-substituted amino alcs. as protease inhibitors)

L3 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:293723 CAPLUS

DN 122:81141

ED Entered STN: 14 Jan 1995

TI Preparation of heterocyclylarylsulfonamide inhibitors of HIV-aspartyl
 protease

IN Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao

PA Vertex Pharmaceuticals Inc., USA

SO PCT Int. Appl., 291 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D215-48

ICS A61K031-16; C07D413-12; C07D417-12; C07D409-14; C07D409-12;
 C07D213-30; C07C311-41; C07D207-26; C07D305-12; C07D239-54;
 C07D277-36

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 5

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	KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU,				
	SD, SE, SK, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,				
	BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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US 1993-142327	A3	19931124		
US 1995-484326	A3	19950607		
US 2002-94790	A3	20020308		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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NCL 514/473.000; 514/464.000; 546/169.000; 549/448.000; 549/475.000

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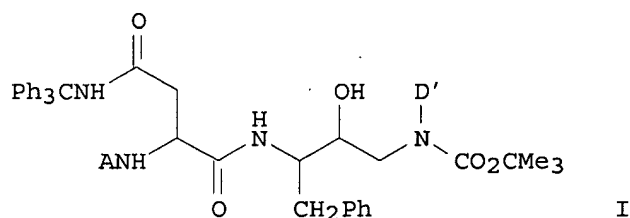
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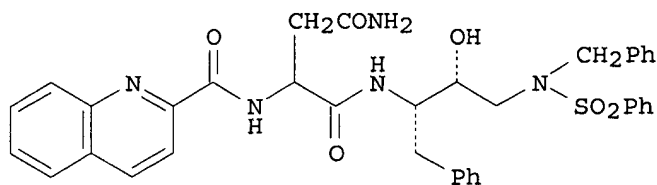
IPCR

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HK 1012631	IPCI IPCR	[I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]; C07G0017-00 [I,C*]; C07G0017-00 [I,A]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]; G01N0033-569 [I,C*]; G01N0033-569 [I,A] C07D [ICM,7]; A61K [ICS,7]; C07C [ICS,7] G01N0033-53 [I,C*]; G01N0033-53 [I,A]; A61K0031-165 [I,C*]; A61K0031-165 [I,A]; A61K0031-17 [I,C*]; A61K0031-17 [I,A]; A61K0031-18 [I,C*]; A61K0031-18 [I,A]; A61K0031-21 [I,C*]; A61K0031-27 [I,A]; A61K0031-34 [I,C*]; A61K0031-34 [I,A]; A61K0031-341 [I,C*]; A61K0031-341 [I,A]; A61K0031-343 [I,C*]; A61K0031-343 [I,A]; A61K0031-40 [I,C*]; A61K0031-40 [I,A]; A61K0031-4015 [I,C*]; A61K0031-4015 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]; A61K0031-404 [I,A]; A61K0031-41 [I,C*]; A61K0031-41 [I,A]; A61K0031-415 [I,C*]; A61K0031-415 [I,A]; A61K0031-42 [I,C*]; A61K0031-42 [I,A]; A61K0031-421 [I,C*]; A61K0031-421 [I,A]; A61K0031-4245 [I,C*]; A61K0031-4245 [I,A]; A61K0031-425 [I,C*]; A61K0031-425 [I,A]; A61K0031-426 [I,C*]; A61K0031-426 [I,A]; A61K0031-44 [I,C*]; A61K0031-44 [I,A]; A61K0031-4402 [I,C*]; A61K0031-4402 [I,A]; A61K0031-4418 [I,C*]; A61K0031-4418 [I,A]; A61K0031-4427 [I,C*]; A61K0031-4433 [I,A]; A61K0031-47 [I,C*]; A61K0031-47 [I,A]; A61K0031-496 [I,C*]; A61K0031-496 [I,A]; A61K0031-505 [I,C*]; A61K0031-505 [I,A]; A61K0031-535 [I,C*]; A61K0031-535 [I,A]; A61K0031-5375 [I,C*]; A61K0031-5375 [I,A]; A61K0031-5377 [I,A]; A61K0031-63 [I,C*]; A61K0031-63 [I,A]; A61K0038-00 [N,C*]; A61K0038-00 [N,A]; A61P0031-00 [I,C*]; A61P0031-12 [I,A]; C07C0307-00 [I,C*]; C07C0307-02 [I,A]; C07C0307-06 [I,A]; C07C0311-00 [I,C*]; C07C0311-13 [I,A]; C07C0311-18 [I,A]; C07C0311-29 [I,A]; C07C0311-41 [I,A]; C07D0207-00 [I,C*]; C07D0207-12 [I,A]; C07D0207-26 [I,A]; C07D0207-27 [I,A]; C07D0207-28 [I,A]; C07D0209-00 [I,C*]; C07D0209-08 [I,A]; C07D0209-10 [I,A]; C07D0213-00 [I,C*]; C07D0213-30 [I,A]; C07D0215-00 [I,C*]; C07D0215-48 [I,A]; C07D0231-00 [I,C*]; C07D0231-14 [I,A]; C07D0239-00 [I,C*]; C07D0239-22 [I,A]; C07D0263-00 [I,C*]; C07D0263-24 [I,A]; C07D0271-00 [I,C*]; C07D0271-08 [I,A]; C07D0277-00 [I,C*]; C07D0277-20 [I,A]; C07D0277-36 [I,A]; C07D0295-00 [I,C*]; C07D0295-12 [I,A]; C07D0307-00 [I,C*]; C07D0307-12 [I,A]; C07D0307-14 [I,A]; C07D0307-20 [I,A]; C07D0307-52 [I,A]; C07D0307-64 [I,A]; C07D0307-79 [I,A]; C07D0403-00 [I,C*]; C07D0403-12 [I,A]; C07D0405-00 [I,C*]; C07D0405-12 [I,A]; C07D0409-00 [I,C*]; C07D0409-12 [I,A]; C07D0409-14 [I,A]; C07D0413-00 [I,C*]; C07D0413-12 [I,A]; C07D0413-14 [I,A]; C07D0417-00 [I,C*]; C07D0417-12 [I,A]; C07G0017-00 [I,C*]; C07G0017-00 [I,A]; C07K0005-00 [I,C*]; C07K0005-078 [I,A]; G01N0033-569 [I,C*]; G01N0033-569 [I,A]
HK 1023561 US 2006189810	IPCI IPCI	C07D [ICM,7]; A61K [ICS,7]; C07C [ICS,7] C07D0215-38 [I,A]; C07D0215-00 [I,C*]; C07D0213-78 [I,A]; C07D0213-00 [I,C*]
OS MARPAT 122:81141 GI	NCL	546/169.000; 546/298.000



I



II

- AB Title compds. A(B)xNHCH(D)CH(OH)CH2N(D')SO2E (A = H, Het, R1-Het, (substituted)R1-C1-6 alkyl, (substituted) R1-C2-6 alkenyl wherein R1 = CO, SO2, COCO, O2C, etc., Het = C5-7 cycloalkyl, C5-7 cycloalkenyl, C6-10 aryl, (substituted) 5-7-membered heterocyclyl; R2 = H, (Ar)-C1-3 alkyl; B = NR2CR3CO, null wherein R3 = H, (substituted)Het or C1-6 alkyl or C2-6 alkenyl or C3-6 cycloalkyl or C5-6 cycloalkenyl; x = 0,1; D, D' = Ar, (substituted) C1-4 alkyl wherein Ar = Ph, (substituted) 3-6-membered carbocyclyl or 5-6-membered heterocyclyl; E = Het-O, Het-Het, (substituted) C1-6 alkyl or C2-6 alkenyl, C3-6 carbocyclyl) useful also against viral infection of HIV-2, HIV-2, or HTLV, are prepared 4,3-(AcNH)FC6H3SO2Cl and syn-I (A = quinolin-2-ylcarbonyl, D' = Me2CHCH2) (preparation given) in CH2Cl2 was treated with F3CCO2H followed by NaHCO3 and 4-FC6H4SO2Cl to give the title compound II which inhibited HIV-1 protease with IC50 of <0.1 nM.
- ST heterocyclylarylsulfonamide prepn antiviral; aspartyl protease HIV inhibition heterocyclylarylsulfonamide; HIV treatment heterocyclylarylsulfonamide
- IT Virucides and Virustats
(sulfonamide inhibitors of HIV-aspartyl protease)
- IT Sulfonamides
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(sulfonamide inhibitors of HIV-aspartyl protease)
- IT Virus, animal
(human T-cell leukemia, inhibitors, heterocyclylarylsulfonamides)
- IT Virus, animal
(human immunodeficiency 1, inhibitors, heterocyclylarylsulfonamides)
- IT Virus, animal
(human immunodeficiency 2, inhibitors, heterocyclylarylsulfonamides)
- IT 78169-47-8, Aspartic proteinase
RL: RCT (Reactant); RACT (Reactant or reagent)
(of HIV-1, inhibitors, heterocyclylarylsulfonamides)
- IT 1080-11-1P 1828-66-6P, 4-Morpholinesulfonyl chloride 4295-99-2P
6053-81-2P, Cyclopentanemethanamine 23905-46-6P 25506-37-0P
32939-32-5P 35856-62-3P, 1-Piperidinesulfonyl chloride 52206-05-0P
52665-49-3P, 3-Furansulfonyl chloride 54981-39-4P 87001-32-9P
114322-14-4P, 2,1,3-Benzoxadiazole-4-sulfonyl chloride 115010-10-1P,
1,3-Benzodioxole-5-sulfonyl chloride 115010-11-2P 116586-32-4P
130290-79-8P 132682-22-5P 134807-06-0P 134807-20-8P 138499-08-8P
143224-83-3P 144542-44-9P 158851-95-7P 159006-03-8P 159006-20-9P
159141-66-9P 160230-69-3P 160231-97-0P 160231-98-1P 160231-99-2P
160232-00-8P 160232-01-9P 160232-02-0P 160232-03-1P 160232-04-2P
160232-05-3P 160232-06-4P 160232-07-5P 160232-08-6P 160232-09-7P
160232-10-0P 160232-11-1P 160232-12-2P 160232-13-3P 160232-14-4P

160232-15-5P, 2,1,3-Benzoxadiazole-4-sulfonic acid 160232-16-6P
 160232-17-7P 160232-18-8P 160232-19-9P, 2,1,3-Benzoxadiazole-5-thiol
 160232-20-2P, 2,1,3-Benzoxadiazole-5-sulfonyl chloride 160232-21-3P
 160232-22-4P 160232-23-5P 160232-24-6P 160232-25-7P 160232-26-8P
 160232-27-9P 160232-28-0P 160232-29-1P 160232-30-4P 160232-31-5P
 160232-32-6P 160232-33-7P 160232-34-8P 160232-35-9P 160232-36-0P
 160232-37-1P 160232-38-2P 160232-39-3P 160232-40-6P 160232-41-7P
 160232-42-8P 160232-43-9P 160232-44-0P 160232-45-1P
 160232-46-2P 160232-47-3P 160232-48-4P 160232-49-5P 160232-50-8P
 160232-51-9P 160232-52-0P 160232-53-1P 160232-54-2P 160232-55-3P
 160232-56-4P 160232-57-5P 160232-58-6P 160232-59-7P 160232-60-0P
 160232-61-1P 160232-62-2P 160232-63-3P 160232-64-4P 160232-65-5P
 160232-66-6P 160232-67-7P 160232-68-8P 160232-69-9P 160232-70-2P
 160232-71-3P 160232-72-4P 160232-73-5P 160232-74-6P 160232-75-7P
 160232-76-8P 160232-77-9P 160232-78-0P 160232-79-1P 160232-80-4P
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 160232-96-2P 160232-97-3P 160232-98-4P 160232-99-5P 160233-00-1P
 160233-01-2P 160233-02-3P 160233-03-4P 160233-04-5P 160233-05-6P
 160233-06-7P 160233-07-8P 160233-08-9P 160233-09-0P 160233-10-3P
 160233-11-4P 160233-12-5P 160233-13-6P 160233-14-7P 160233-15-8P
 160233-16-9P 160233-17-0P 160233-18-1P 160233-19-2P 160233-20-5P
 160233-21-6P 160233-22-7P 160233-23-8P 160233-24-9P 160233-31-8P
 160333-46-0P 160333-47-1P 160333-48-2P 160333-49-3P 160333-50-6P
 160333-51-7P 160333-52-8P 160333-53-9P 160333-54-0P 160333-56-2P
 160333-57-3P 160333-58-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation and reaction of, in preparation of HIV-1 protease inhibitors)

IT	157567-04-9P	157567-10-7P	159005-79-5P	159005-82-0P	159005-86-4P
	160230-05-7P	160230-06-8P	160230-07-9P	160230-08-0P	160230-09-1P
	160230-10-4P	160230-11-5P	160230-12-6P	160230-13-7P	160230-14-8P
	160230-15-9P	160230-16-0P	160230-17-1P	160230-18-2P	160230-19-3P
	160230-20-6P	160230-21-7P	160230-22-8P	160230-23-9P	160230-24-0P
	160230-25-1P	160230-27-3P	160230-29-5P	160230-31-9P	160230-33-1P
	160230-35-3P	160230-36-4P	160230-37-5P	160230-38-6P	160230-39-7P
	160230-40-0P	160230-41-1P	160230-42-2P	160230-43-3P	160230-44-4P
	160230-45-5P	160230-46-6P	160230-47-7P	160230-48-8P	160230-49-9P
	160230-50-2P	160230-51-3P	160230-52-4P	160230-53-5P	160230-54-6P
	160230-55-7P	160230-56-8P	160230-57-9P	160230-58-0P	160230-59-1P
	160230-60-4P	160230-61-5P	160230-62-6P	160230-63-7P	160230-64-8P
	160230-65-9P	160230-66-0P	160230-67-1P	160230-68-2P	160230-69-3P
	160230-70-6P	160230-71-7P	160230-72-8P	160230-73-9P	160230-74-0P
	160230-75-1P	160230-76-2P	160230-77-3P	160230-78-4P	160230-79-5P
	160230-80-8P	160230-81-9P	160230-82-0P	160230-83-1P	160230-84-2P
	160230-85-3P	160230-86-4P	160230-87-5P	160230-88-6P	160230-89-7P
	160230-90-0P	160230-91-1P	160230-92-2P	160230-93-3P	160230-94-4P
	160230-95-5P	160230-96-6P	160230-97-7P	160230-98-8P	160230-99-9P
	160231-00-5P	160231-01-6P	160231-02-7P	160231-03-8P	160231-04-9P
	160231-05-0P	160231-06-1P	160231-07-2P	160231-08-3P	160231-10-7P
	160231-11-8P	160231-12-9P	160231-13-0P	160231-14-1P	160231-15-2P
	160231-16-3P	160231-17-4P	160231-18-5P	160231-19-6P	160231-20-9P
	160231-21-0P	160231-22-1P	160231-23-2P	160231-24-3P	160231-25-4P
	160231-26-5P	160231-27-6P	160231-28-7P	160231-29-8P	160231-30-1P
	160231-31-2P	160231-32-3P	160231-33-4P	160231-34-5P	160231-35-6P
	160231-36-7P	160231-37-8P	160231-38-9P	160231-39-0P	160231-40-3P
	160231-41-4P	160231-42-5P	160231-43-6P	160231-44-7P	160231-45-8P
	160231-47-0P	160231-48-1P	160231-49-2P	160231-51-6P	160231-52-7P
	160231-53-8P	160231-54-9P	160231-55-0P	160231-56-1P	160231-57-2P
	160231-58-3P	160231-59-4P	160231-60-7P	160231-61-8P	160231-62-9P
	160231-63-0P	160231-64-1P	160231-65-2P	160231-66-3P	160231-67-4P
	160231-68-5P	160231-69-6P	160231-70-9P	160231-71-0P	160231-72-1P
	160231-73-2P	160231-74-3P	160231-75-4P	160231-76-5P	160231-77-6P
	160231-78-7P	160231-79-8P	160231-80-1P	160231-81-2P	160231-82-3P

160231-83-4P 160231-84-5P 160231-85-6P 160231-86-7P 160231-87-8P
 160231-88-9P 160231-89-0P 160231-90-3P 160231-91-4P 160231-92-5P
 160231-93-6P 160231-94-7P 160231-95-8P 160231-96-9P 160333-37-9P
 160333-38-0P 160333-39-1P 160333-40-4P 160333-41-5P 160333-42-6P
 160333-43-7P 160333-44-8P 160333-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of as HIV-1 protease inhibitor)

IT 60-12-8, Phenethyl alcohol 78-81-9, Isobutylamine 93-10-7, Quinaldic acid 98-31-7, 3,4-Dichlorobenzenesulfonyl chloride 98-68-0, 4-Methoxybenzenesulfonyl chloride 98-79-3 99-16-1 100-55-0, 3-Pyridyl carbinol 105-13-5, 4-Methoxybenzyl alcohol 108-23-6, Isopropyl chloroformate 109-61-5, n-Propyl chloroformate 110-89-4, Piperidine, reactions 121-47-1, 3-Aminobenzenesulfonic acid 121-60-8, N-Acetylsulfanilyl chloride 274-09-9, 1,3-Benzodioxole 349-71-3, 3-Fluoro-4-acetamidobenzenesulfonyl chloride 349-88-2, 4-Fluorobenzenesulfonyl chloride 453-20-3, (RS)-3-hydroxytetrahydrofuran 496-16-2, 2,3-Dihydrobenzofuran 501-53-1, Benzyl chloroformate 513-42-8, Methallyl alcohol 543-27-1, Isobutyl chloroformate 585-47-7, 1,3-Benzenedisulfonyl dichloride 586-95-8, 4-Pyridyl carbinol 586-98-1, 2-Pyridyl carbinol 612-16-8, 2-Methoxybenzyl alcohol 617-89-0, Furfurylamine 628-12-6, 2-Methoxyethyl chloroformate 638-32-4, Succinamic acid 701-99-5, Phenoxyacetyl chloride 768-09-2, Benzofurazan-5-ol 777-44-6, 3-(Trifluoromethyl)benzenesulfonyl chloride 946-80-5, Benzyl phenyl ether 1003-03-8, Cyclopentylamine 1445-91-6 1483-28-9, 2,5-Dimethoxybenzenesulfonyl chloride 1493-13-6, Trifluoromethanesulfonic acid 1517-69-7 1656-44-6, 2,4-Dinitrobenzenesulfonyl chloride 1885-14-9, Phenyl chloroformate 2799-21-5 2905-21-7, 2-Fluorobenzenesulfonyl chloride 2937-50-0, Allyl chloroformate 3160-59-6 3173-56-6, Benzyl isocyanate 3445-11-2, 1-(2-Hydroxyethyl)-2-pyrrolidinone 3513-81-3, 2-Methylene-1,3-propanediol 4025-64-3, 3-(Chlorosulfonyl)benzoic acid 4254-02-8, Cyclopentanecarbonitrile 4319-49-7, N-Aminomorpholine 5070-13-3 5680-80-8, Serine methyl ester hydrochloride 5988-19-2, L-Dihydroorotic acid 6306-52-1, Valine methyl ester hydrochloride 6971-51-3, 3-Methoxybenzyl alcohol 7252-53-1, Cyclopropylmethylamine hydrochloride 7633-32-1 7693-46-1, p-Nitrophenyl chloroformate 13258-63-4, 4-Pyridineethanamine 13360-57-1, Dimethylsulfamoyl chloride 13918-92-8, 2,4-Difluorobenzenesulfonyl chloride 16078-30-1, 1-Acetylinoline 16375-88-5, 4-Acetamidobenzyl alcohol 16420-13-6, Dimethylthiocarbamoyl chloride 16761-18-5, 4-Acetamido-3-chlorobenzenesulfonyl chloride 22037-28-1, 3-Bromofuran 23095-31-0, 3,4-Dimethoxybenzenesulfonyl chloride 24424-99-5, Di-tert-butyl pyrocarbonate 28148-54-1 30992-29-1 52467-54-6 69812-29-9, 2-Acetamido-4-methyl-5-thiazolesulfonyl chloride 74124-79-1, N,N'-Disuccinimidyl carbonate 80466-79-1, 3,5-Dimethylisoxazole-4-sulfonyl chloride 80466-80-4, 2,4-Dimethylthiazole-5-sulfonyl chloride 86087-23-2, (S)-(+)-3-Hydroxytetrahydrofuran 88986-45-2 94108-56-2 98737-29-2 126714-85-0 128018-43-9 128018-44-0 132388-57-9 145758-05-0, 3,4-Difluorobenzenesulfonyl chloride 151858-64-9 160232-67-7 160233-25-0 160233-26-1, 4-Fluoro-3-acetamidobenzenesulfonyl chloride 160233-27-2 160233-28-3 160233-29-4 160233-30-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of HIV-1 protease inhibitors)

L3 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:67093 CAPLUS

DN 122:82001

ED Entered STN: 08 Nov 1994

TI Enantiospecific synthesis of 2-hetero aralkyl substituted statine analogs, novel highly potent HIV-1 protease inhibitors

AU Scholz, D.; Retscher, H.; Charpiot, B.; Gstach, H.; Lehr, P.; Rosenwirth, B.; Billich, A.

CS Sandoz Forschungsinstitut, Vienna, A 1235, Austria

SO Pept.: Chem., Struct. Biol., Proc. Am. Pept. Symp., 13th (1994), Meeting

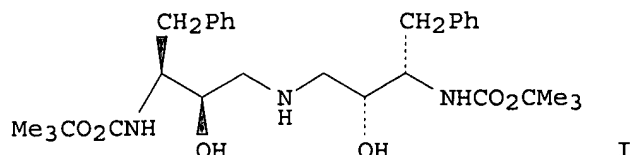
Date 1993, 193-5. Editor(s): Hodges, Robert S.; Smith, John A. Publisher:
ESCOM, Leiden, Neth.
CODEN: 60LXAW

DT Conference
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 7
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A symposium report on the enantiospecific synthesis of 2-hetero aralkyl substituted statine analog I as a novel highly potent HIV-1 protease inhibitor. Dipeptide alc. II underwent Dess-Martin oxidation, a Wittig reaction, and an epoxidn. to give epoxide III, which was treated with 4-MeOC6H4CH2NH2 to give IV (R = Et). The latter was saponified to give IV (R = H), which was treated valinamide derivative V to give I (SDZ 282-870).
ST enantiospecific synthesis hetero aralkyl statine symposium; HIV1 protease inhibitor symposium
IT Virus, animal
(human immunodeficiency 1, enantiospecific synthesis of 2-hetero aralkyl substituted statine analog as a novel highly potent HIV-1 protease inhibitor)
IT Synthesis
(stereoselective, of 2-hetero aralkyl substituted statine analog as a novel highly potent HIV-1 protease inhibitor)
IT 144114-21-6, Retropepsin
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(enantiospecific synthesis of 2-hetero aralkyl substituted statine analog as a novel highly potent HIV-1 protease inhibitor)
IT 160495-86-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(enantiospecific synthesis of 2-hetero aralkyl substituted statine analog as a novel highly potent HIV-1 protease inhibitor)
IT 2393-23-9 144006-29-1 148743-43-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(enantiospecific synthesis of 2-hetero aralkyl substituted statine analog as a novel highly potent HIV-1 protease inhibitor)
IT 160495-87-4P 160495-88-5P 160495-89-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantiospecific synthesis of 2-hetero aralkyl substituted statine analog as a novel highly potent HIV-1 protease inhibitor)
L3 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:11984 CAPLUS
DN 123:143351
ED Entered STN: 08 Nov 1994
TI Amino Diol HIV Protease Inhibitors. 1. Design, Synthesis, and Preliminary SAR
AU Barrish, Joel C.; Gordon, Eric; Alam, Masud; Lin, Pin-Fang; Bisacchi, Gregory S.; Chen, Ping; Cheng, Peter T. W.; Fritz, Alan W.; Greytok, Jill A.; et al.
CS Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SO Journal of Medicinal Chemistry (1994), 37(12), 1758-68
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English

CC 25-4 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 34
 GI



AB A series of HIV protease inhibitors containing a novel C2 sym. amino diol core structure were prepared from amino acid starting materials. The ability of the amino diols to inhibit HIV replication in cell culture is comparable to their ability to inhibit the isolated enzyme, a result compatible with good cell membrane penetration by this class of compds. Optimization of the structure-activity in this series led to amino diol I [K_i = 100 nM; ED50 (HIV-1) = 80 nM]. I is a selective inhibitor of HIV protease vs. other aspartyl proteases such as human renin, human cathepsin D, and porcine pepsin. In addition, I is equipotent against HIV-1 and HIV-2 in cell culture and demonstrates similar activity in infected T-lymphocytes and PBMCs. After i.v. and oral administration in rats, I displayed significant oral bioavailability (ca. 40%) and a promising plasma elimination half-life (4 h).

ST aminohydroxyphenylbutylamine prepn protease inhibitor; HIV inhibitor
 bisaminohydroxyphenylbutylamine prepn

IT Virus, animal
 (human immunodeficiency 1, inhibitors, bis[amino(hydroxy)phenylbutyl]amines)

IT Virus, animal
 (human immunodeficiency 2, inhibitors, bis[amino(hydroxy)phenylbutyl]amines)

IT 161302-38-1P 162538-12-7P 162538-18-3P 162538-22-9P
 162538-39-8P 162538-45-6P 162538-55-8P 162539-07-3P 162539-13-1P
 162539-20-0P 162539-23-3P 162539-64-2P 162677-30-7P 162677-32-9P
 162677-36-3P 162677-37-4P 162677-38-5P 162678-23-1P 165727-43-5P
 165727-44-6P 166019-57-4P 166019-58-5P 166019-61-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design, synthesis, and preliminary SAR of amino diol HIV protease inhibitors)

IT 144114-21-6, Retropepsin
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(design, synthesis, and preliminary SAR of amino diol HIV protease inhibitors)

IT 13734-34-4, N-tert-Butoxycarbonyl-L-phenylalanine 99113-30-1
 107202-43-7

RL: RCT (Reactant); RACT (Reactant or reagent)
 (design, synthesis, and preliminary SAR of amino diol HIV protease inhibitors)

IT 60398-41-6P 94670-70-9P 98737-29-2P 98760-08-8P 102123-74-0P
 107202-62-0P 128018-44-0P 130944-47-7P 156474-21-4P 156474-22-5P
 160232-54-2P 162536-41-6P 162536-42-7P 162536-72-3P 162536-73-4P
 162536-74-5P 162537-01-1P 162538-06-9P 162538-15-0P 162538-21-8P
 162538-40-1P 162538-98-9P 162538-99-0P 162539-06-2P 162539-11-9P
 162539-12-0P 162541-31-3P 162541-33-5P 162541-42-6P 165727-45-7P
 165727-46-8P 165727-47-9P 165727-48-0P 165727-49-1P 165727-50-4P
 165727-51-5P 165727-52-6P 165727-53-7P 166019-59-6P 166019-60-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design, synthesis, and preliminary SAR of amino diol HIV protease inhibitors)

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	89.64	90.29
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	ENTRY	SESSION
CA SUBSCRIBER PRICE	-21.00	-21.00

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